

=> d his

(FILE 'HOME' ENTERED AT 09:22:58 ON 05 OCT 2006)

FILE 'HCAPLUS' ENTERED AT 09:23:29 ON 05 OCT 2006

E US20040235780/PN

L1 1 S US20040235780/PN
SEL RN

FILE 'REGISTRY' ENTERED AT 09:24:00 ON 05 OCT 2006

L2 8 S E1-8
E 220750-46-9/RN
L3 1 S 220750-46-9/RN
L4 1 S 92562-88-4/RN
L5 1 S 25526-93-6/RN
E THYAMINE/CN
E THYMINE/CN
L6 1 S THYMINE/CN
E CYTOSINE/CN
L7 1 S CYTOSINE/CN
E ADENINE/CN
L8 1 S ADENINE/CN
E GUANINE/CN
L9 1 S GUANINE/CN
E INOSINE/CN
L10 1 S INOSINE/CN
E URACIL/CN
L11 1 S URACIL/CN
E 5-ETHYLURACIL/CN
E 5-ETHYLURACIL/CN
L12 1 S 5-ETHYLURACIL/CN
E 2,6-DIAMINOPURINE/CN
L13 1 S 2,6-DIAMINOPURINE/CN

FILE 'LREGISTRY' ENTERED AT 09:52:02 ON 05 OCT 2006

FILE 'REGISTRY' ENTERED AT 09:53:10 ON 05 OCT 2006

E 16.138.1/RID

FILE 'LREGISTRY' ENTERED AT 09:54:28 ON 05 OCT 2006

L14 STR 92562-88-4

FILE 'REGISTRY' ENTERED AT 09:55:22 ON 05 OCT 2006

L15 50 S L14

FILE 'LREGISTRY' ENTERED AT 09:55:51 ON 05 OCT 2006

L16 STR L14

FILE 'REGISTRY' ENTERED AT 09:56:48 ON 05 OCT 2006

L17 50 S L16
L18 1733 S L16 FUL
SAV L18 KHA250/A

FILE 'LREGISTRY' ENTERED AT 10:01:06 ON 05 OCT 2006

L19 STR 65-71-4
L20 STR L19

FILE 'REGISTRY' ENTERED AT 10:30:06 ON 05 OCT 2006

L21 50 S L20 SSS SAM SUB=L18
L22 0 S L19 SSS SAM SUB=L18
L23 1142 S L20 SSS FUL SUB=L18
SAV L23 KHA250A/A
L24 2 S L19 SSS FUL SUB=L18
SAV L24 KHA250B/A
L25 4 S L2 AND L23
L26 4 S L2 NOT L25

L27 54 S L23 AND ?CYTIDIN?/CNS
 L28 36 S L27 AND 1/F NOT (1-5/CL OR 1-5/BR OR 2-5/F)
 L29 9 S L28 AND 3/N AND 3/O
 L30 2 S L29 AND C9H12FN3O3/MF
 L31 6 S L23 AND ?FLUORADENOSIN?/CNS
 L32 1 S L31 AND C10 H12 F N5 O2/MF

FILE 'HCAPLUS' ENTERED AT 10:49:37 ON 05 OCT 2006

L33 4 S L3
 L34 49 S L4
 L35 292 S L5
 L36 39 S L30
 L37 35 S L32
 L38 338 S L33-L37
 L39 315 S L25
 L40 1 S L24
 L41 697 S L23
 L42 835 S L18
 L43 697 S L38-L41

FILE 'REGISTRY' ENTERED AT 10:56:23 ON 05 OCT 2006

L44 1 S 129618-40-2/RN

FILE 'HCAPLUS' ENTERED AT 10:56:51 ON 05 OCT 2006

L45 1501 S L44
 L46 33 S L45 AND L42
 L47 33 S L45 AND L43
 L48 30 S L45 AND L38
 L49 33 S L46-L48
 L50 QUE PHARMAC?/SC, SX
 L51 33 S L49 AND L50
 L52 57456 S ANTIVIRAL OR ANTI(N)VIRAL
 L53 24 S L51 AND L52
 L54 9 S L51 NOT L53
 E HIV/CT
 E HUMAN IMMUNODEFICIENCY VIRUS/CT
 L55 35862 S HUMAN IMMUNODEFICIENCY VIRUS?/CT
 L56 20 S L55 AND L51
 L57 QUE HUMAN()IMMUNODEFICIEN?()VIRUS? OR HIV OR AIDS
 L58 32 S L51 AND L57
 L59 33 S L51 OR L53 OR L56 OR L58
 L60 24 S L59 AND 1907-2003/PY, PRY

FILE 'BIOSIS' ENTERED AT 11:15:27 ON 05 OCT 2006

L61 145 S L43
 L62 133 S L38
 L63 147 S L42
 L64 147 S L61-L63
 L65 1381 S L44
 L66 2 S L65 AND L64
 L67 2 S L66 AND (L52 OR L57)

FILE 'EMBASE' ENTERED AT 11:20:37 ON 05 OCT 2006

L68 274 S L42
 L69 5710 S L44
 L70 30 S L68 AND L69
 L71 30 S L70 AND (L52 OR L57)
 L72 17 S L71 AND 1907-2003/PY

FILE 'MEDLINE' ENTERED AT 11:22:53 ON 05 OCT 2006

FILE 'EMBASE' ENTERED AT 11:23:47 ON 05 OCT 2006

FILE 'MEDLINE' ENTERED AT 11:25:37 ON 05 OCT 2006

L73 125 S L38
 L74 155 S L42
 L75 155 S L73-L74

L76 1183 S L44
L77 1 S L75 AND L76

FILE 'HCAPLUS, BIOSIS, EMBAS'
OCT 2006

FILE 'HCAPLUS' ENTERED AT 11

FILE 'HCAPLUS, BIOSIS, EMBAS'
OCT 2006

L78 43 DUP REM L60 L67 L'

FILE 'BIOSIS' ENTERED AT 11::
L79 2 S L78

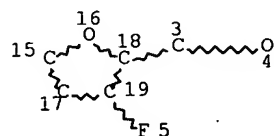
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L80 16 S L78

FILE 'MEDLINE' ENTERED AT 11
L81 1 S L78

FILE 'HCAPLUS' ENTERED AT 11
L82 24 S L78

=> d que stat 182

L2 8 SEA FILE=REGISTRY
144114-21-6/BI OR
52350-85-3/BI OR
92562-88-4/BI)
L3 1 SEA FILE=REGISTRY
L4 1 SEA FILE=REGISTRY
L5 1 SEA FILE=REGISTRY
L16 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE
L18 1733 SEA FILE=REGISTRY
L19 STR

Page 3

10/809,250

E, MEDLINE' ENTERED AT 11:27:48 ON 05

:28:47 ON 05 OCT 2006

E, MEDLINE' ENTERED AT 11:29:25 ON 05

72 L77 (1 DUPLICATE REMOVED)

29:55 ON 05 OCT 2006

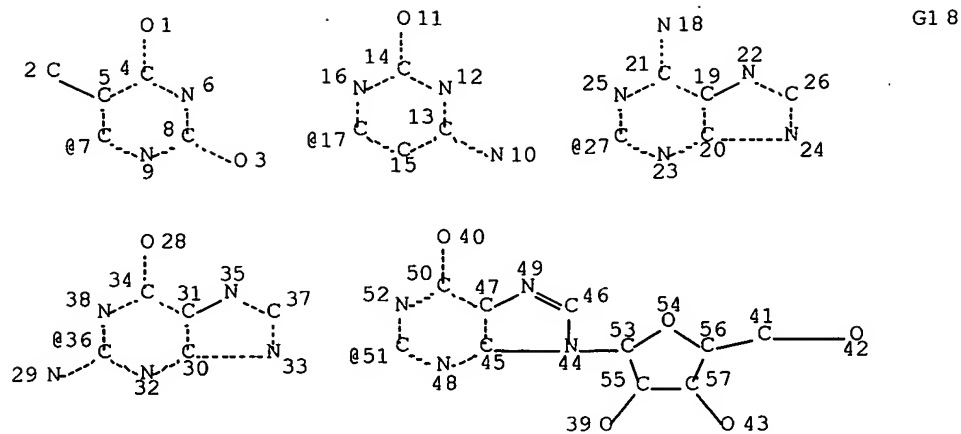
30:06 ON 05 OCT 2006

:30:16 ON 05 OCT 2006

:30:24 ON 05 OCT 2006

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220750-46-9/BI OR 25526-93-6/BI OR
770723-01-8/BI OR 9068-38-6/BI OR

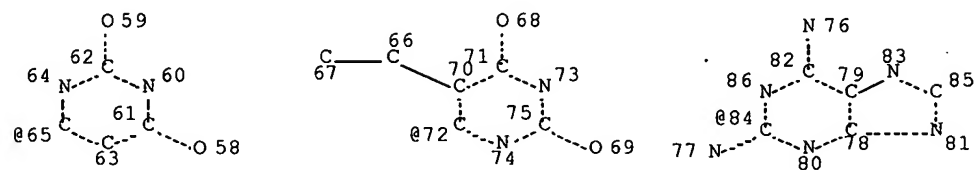
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ABB=ON PLU=ON 92562-88-4/RN
ABB=ON PLU=ON 25526-93-6/RN



Page 1-A

7

Page 1-B



Page 2-A

VAR G1=7/17/27/36/51/65/72/84

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

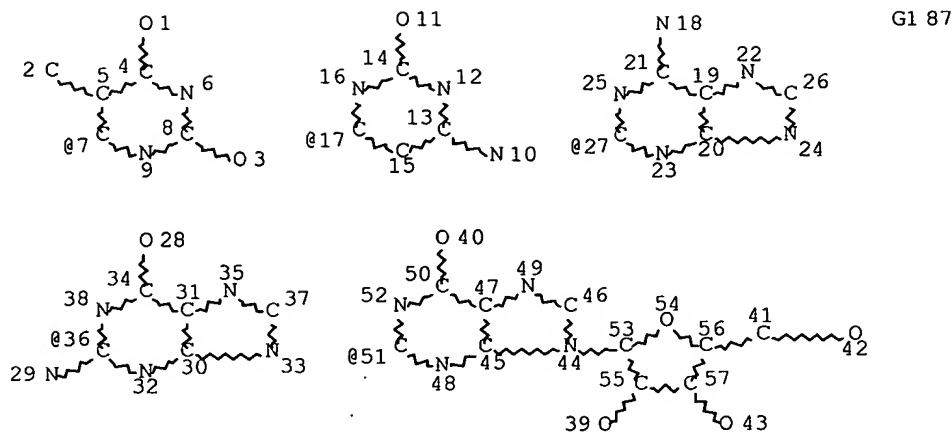
GRAPH ATTRIBUTES:

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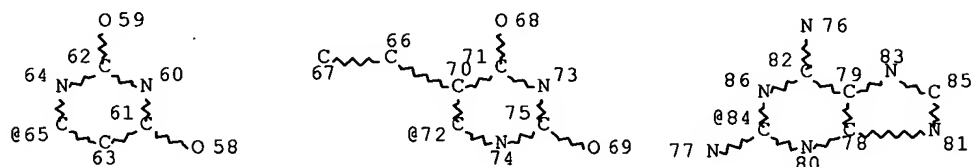
NUMBER OF NODES IS 87

STEREO ATTRIBUTES: NONE

L20 STR



Page 1-A



Page 2-A

VAR G1=7/17/27/36/51/65/72/84

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 87

STEREO ATTRIBUTES: NONE

L23 1142 SEA FILE=REGISTRY SUB=L18 SSS FUL L20
 L24 2 SEA FILE=REGISTRY SUB=L18 SSS FUL L19
 L25 4 SEA FILE=REGISTRY ABB=ON PLU=ON L2 AND L23
 L27 54 SEA FILE=REGISTRY ABB=ON PLU=ON L23 AND ?CYTIDIN?/CNS

 L28 36 SEA FILE=REGISTRY ABB=ON PLU=ON L27 AND 1/F NOT
 (1-5/CL OR 1-5/BR OR 2-5/F)
 L29 9 SEA FILE=REGISTRY ABB=ON PLU=ON L28 AND 3/N AND 3/O
 L30 2 SEA FILE=REGISTRY ABB=ON PLU=ON L29 AND C9H12FN3O3/M
 F
 L31 6 SEA FILE=REGISTRY ABB=ON PLU=ON L23 AND ?FLUORADENOS
 IN?/CNS
 L32 1 SEA FILE=REGISTRY ABB=ON PLU=ON L31 AND C10 H12 F N5
 O2/MF
 L33 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L3
 L34 49 SEA FILE=HCAPLUS ABB=ON PLU=ON L4
 L35 292 SEA FILE=HCAPLUS ABB=ON PLU=ON L5
 L36 39 SEA FILE=HCAPLUS ABB=ON PLU=ON L30
 L37 35 SEA FILE=HCAPLUS ABB=ON PLU=ON L32
 L38 338 SEA FILE=HCAPLUS ABB=ON PLU=ON (L33 OR L34 OR L35 OR
 L36 OR L37)
 L39 315 SEA FILE=HCAPLUS ABB=ON PLU=ON L25
 L40 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L24

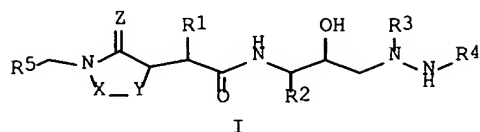
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 L42 835 SEA FILE=HCAPLUS ABB=ON PLU=ON L18
 L43 697 SEA FILE=HCAPLUS ABB=ON PLU=ON (L38 OR L39 OR L40 OR L41)
 L44 1 SEA FILE=REGISTRY ABB=ON PLU=ON 129618-40-2/RN
 L45 1501 SEA FILE=HCAPLUS ABB=ON PLU=ON L44
 L46 33 SEA FILE=HCAPLUS ABB=ON PLU=ON L45 AND L42
 L47 33 SEA FILE=HCAPLUS ABB=ON PLU=ON L45 AND L43
 L48 30 SEA FILE=HCAPLUS ABB=ON PLU=ON L45 AND L38
 L49 33 SEA FILE=HCAPLUS ABB=ON PLU=ON (L46 OR L47 OR L48)
 L50 QUE ABB=ON PLU=ON PHARMAC?/SC, SX
 L51 33 SEA FILE=HCAPLUS ABB=ON PLU=ON L49 AND L50
 L52 57456 SEA FILE=HCAPLUS ABB=ON PLU=ON ANTIVIRAL OR ANTI(A) VIRAL
 L53 24 SEA FILE=HCAPLUS ABB=ON PLU=ON L51 AND L52
 L55 35862 SEA FILE=HCAPLUS ABB=ON PLU=ON HUMAN IMMUNODEFICIENCY VIRUS?/CT
 L56 20 SEA FILE=HCAPLUS ABB=ON PLU=ON L55 AND L51
 L57 QUE ABB=ON PLU=ON HUMAN(W) IMMUNODEFICIEN?(W) VIRUS? OR HIV OR AIDS
 L58 32 SEA FILE=HCAPLUS ABB=ON PLU=ON L51 AND L57
 L59 33 SEA FILE=HCAPLUS ABB=ON PLU=ON L51 OR L53 OR L56 OR L58
 L60 24 SEA FILE=HCAPLUS ABB=ON PLU=ON L59 AND 1907-2003/PY, P
 L61 145 SEA FILE=BIOSIS ABB=ON PLU=ON (L38 OR L39 OR L40 OR L41)
 L62 133 SEA FILE=BIOSIS ABB=ON PLU=ON (L33 OR L34 OR L35 OR L36 OR L37)
 L63 147 SEA FILE=BIOSIS ABB=ON PLU=ON L18
 L64 147 SEA FILE=BIOSIS ABB=ON PLU=ON (L61 OR L62 OR L63)
 L65 1381 SEA FILE=BIOSIS ABB=ON PLU=ON L44
 L66 2 SEA FILE=BIOSIS ABB=ON PLU=ON L65 AND L64
 L67 2 SEA FILE=BIOSIS ABB=ON PLU=ON L66 AND (L52 OR L57)
 L68 274 SEA FILE=EMBASE ABB=ON PLU=ON L18
 L69 5710 SEA FILE=EMBASE ABB=ON PLU=ON L44
 L70 30 SEA FILE=EMBASE ABB=ON PLU=ON L68 AND L69
 L71 30 SEA FILE=EMBASE ABB=ON PLU=ON L70 AND (L52 OR L57)
 L72 17 SEA FILE=EMBASE ABB=ON PLU=ON L71 AND 1907-2003/PY
 L73 125 SEA FILE=MEDLINE ABB=ON PLU=ON (L33 OR L34 OR L35 OR L36 OR L37)
 L74 155 SEA FILE=MEDLINE ABB=ON PLU=ON L18
 L75 155 SEA FILE=MEDLINE ABB=ON PLU=ON (L73 OR L74)
 L76 1183 SEA FILE=MEDLINE ABB=ON PLU=ON L44
 L77 1 SEA FILE=MEDLINE ABB=ON PLU=ON L75 AND L76
 L78 43 DUP REM L60 L67 L72 L77 (1 DUPLICATE REMOVED)
 L82 24 SEA FILE=HCAPLUS L78

=> d 182 1-24 ibib abs hitstr hitind

L82 ANSWER 1 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:641882 HCAPLUS Full-text
 DOCUMENT NUMBER: 143:153711
 TITLE: Preparation of amino acid hydrazide derivatives as HIV protease inhibitors
 INVENTOR(S): Randolph, John T.; Chen, Hui-ju; Degoe, David A.; Flentge, Charles A.; Flosi, William J.; Grampovnik, David J.; Huang, Peggy P.; Hutchinson, Douglas K.; Kempf, Dale J.; Klein, Larry L.; Yeung, Ming C.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 155 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005159469	A1	20050721	US 2004-10177	2004 1210
			<--	
PRIORITY APPLN. INFO.:			US 2003-528679P	P 2003 1211
			<--	
OTHER SOURCE(S):		MARPAT 143:153711		
GI				



AB The invention relates to amino acid hydrazide derivs. I [X-Y is CH₂(CH₂)₁₋₂, CH:CH or C(:Z')(CH₂)₁₋₂; Z, Z' are O, S or NH; R₁, R₂, R₅ are independently (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, etc.; R₃ is H, alkyl, aryl, etc.; R₄ is an amino acid or acyl residue of defined structure], including pharmaceutically-acceptable salts, stereoisomers, esters or prodrugs, having HIV protease inhibitory activity. Thus, hydrazide I [X-Y is CH₂CH₂; Z is O; R₁ is CMeEt; R₂ is PhCH₂; R₃ is 4-(2-pyridyl)benzyl; R₄ is N-carbomethoxy-tert-leucine (all-S stereo)] was prepared by a multistep sequence involving peptide coupling in the final step. Compds. of the invention showed EC₅₀ values 1-100 nM against wild-type HIV.

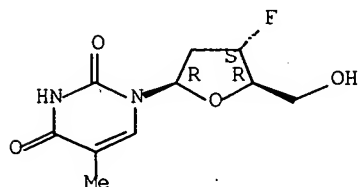
IT 25526-93-6 92562-88-4 129618-40-2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of amino acid hydrazide derivs. as HIV
protease inhibitors)

RN 25526-93-6 HCAPLUS

CN Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)

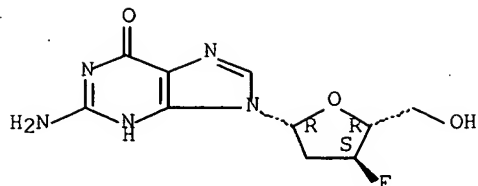
Absolute stereochemistry.



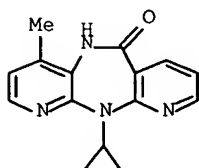
RN 92562-88-4 HCAPLUS

CN Guanosine, 2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS
 CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
 11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



IC ICM A61K031-4178
 ICS A61K031-4166; C07D043-02
 INCL 514389000; 548316400; 548317100; 548311100
 CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 7, 63
 ST amino acid hydrazide peptide isostere prepn inhibitor HIV
 protease
 IT Amino acids, preparation
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (hydrazides; preparation of amino acid hydrazide derivs. as
 HIV protease inhibitors)
 IT **Antiviral agents**
 Human
Human immunodeficiency virus
 (preparation of amino acid hydrazide derivs. as HIV
 protease inhibitors)
 IT Hydrazides
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of amino acid hydrazide derivs. as HIV
 protease inhibitors)
 IT Peptides, preparation
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (pseudopeptides; preparation of amino acid hydrazide derivs. as
 HIV protease inhibitors)
 IT 134379-77-4, DOC 817
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (Reverset, DPC 817; preparation of amino acid hydrazide derivs. as
 HIV protease inhibitors)
 IT 144114-21-6, Retropepsin
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation of amino acid hydrazide derivs. as HIV
 protease inhibitors)
 IT 857901-33-8P 857901-34-9P 857901-35-0P 857901-36-1P

857901-37-2P	857901-38-3P	857901-39-4P	857901-40-7P
857901-41-8P	857901-42-9P	857901-43-0P	857901-44-1P
857901-45-2P	857901-46-3P	857901-47-4P	857901-48-5P
857901-49-6P	857901-50-9P	857901-51-0P	857901-52-1P
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857902-86-4P	857902-87-5P	857902-88-6P	857902-89-7P
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857902-94-4P	857902-95-5P	857902-96-6P	857902-97-7P
857902-98-8P	857902-99-9P	857903-00-5P	857903-02-7P
857903-04-9P	857903-06-1P	857903-08-3P	857903-10-7P
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857903-20-9P	857903-22-1P	857903-23-2P	857903-25-4P
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857903-38-9P	857903-39-0P	857903-40-3P	857903-41-4P
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857903-77-6P	857903-78-7P	857903-79-8P	857903-80-1P
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857903-97-0P	857903-98-1P	857903-99-2P	857904-53-1P
857904-54-2P	857904-55-3P	857904-56-4P	857904-57-5P
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857904-62-2P	857904-63-3P	857904-64-4P	857904-65-5P
857904-66-6P	857904-67-7P	857904-68-8P	857904-69-9P
857904-70-2P	857904-71-3P		

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of amino acid hydrazide derivs. as HIV
 protease inhibitors)

IT	857904-72-4P	857904-73-5P	857904-74-6P	857904-75-7P
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	857904-80-4P	857904-81-5P	857904-82-6P	857904-83-7P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of amino acid hydrazide derivs. as HIV
 protease inhibitors)

IT 66-99-9, 2-Naphthalenecarboxaldehyde 70-23-5 73-32-5,
 L-Isoleucine, reactions 78-84-2 97-96-1 98-03-3,
 2-Thiophenecarboxaldehyde 98-79-3 104-87-0 104-88-1,
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 Cyclohexanone, reactions 120-14-9 120-57-0,
 1,3-Benzodioxole-5-carboxaldehyde 121-33-5 122-03-2
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 reactions 302-01-2, Hydrazine, reactions 351-54-2 407-25-0
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RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of amino acid hydrazide derivs. as HIV
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP
 (Preparation); RACT (Reactant or reagent)
 (preparation of amino acid hydrazide derivs. as HIV
 protease inhibitors)

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 178979-85-6 181785-84-2 186538-00-1 192725-17-0
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RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of amino acid hydrazide derivs. as HIV
protease inhibitors)

L82 ANSWER 2 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:588945 HCAPLUS Full-text
 DOCUMENT NUMBER: 143:133695
 TITLE: Preparation of amino acid hydrazide
 derivatives as HIV protease
 inhibitors
 INVENTOR(S): Randolph, John T.; Chen, Hui-Ju; Degoe, David
 A.; Flentge, Charles A.; Flosi, William J.;
 Grampovnik, David J.; Huang, Peggy P.;
 Hutchinson, Douglas K.; Kempf, Dale J.; Klein,
 Larry L.; Yeung, Ming C.
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: PCT Int. Appl., 281 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061487	A1	20050707	WO 2004-US37711	2004 1110

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 CA 2549228 AA 20050707 CA 2004-2549228

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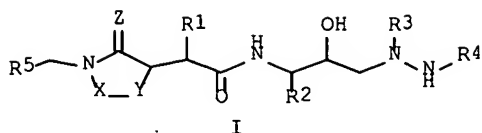
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 PRIORITY APPLN. INFO.: US 2003-733227 A

2003
1211

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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004-US37711	W			2004 1110

OTHER SOURCE(S): MARPAT 143:133695
 GI



AB The invention relates to amino acid hydrazone derivs. I [X-Y is CH₂(CH₂)₁₋₂, CH:CH or C(:Z')(CH₂)₁₋₂; Z, Z' are O, S or NH; R₁, R₂, R₅ are independently (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, etc.; R₃ is H, alkyl, aryl, etc.; R₄ is an amino acid or acyl residue of defined structure], including pharmaceutically-acceptable salts, stereoisomers, esters or prodrugs, having HIV protease inhibitory activity. Thus, hydrazone I [X-Y is CH₂CH₂; Z is O; R₁ is CMeEt; R₂ is PhCH₂; R₃ is 4-(2-pyridyl)benzyl; R₄ is N-carbomethoxy-tert-leucine (all-S stereo)] was prepared by a multistep sequence involving peptide coupling in the final step. Compds. of the invention showed EC₅₀ values 1-100 nM against wild-type HIV.

IT 25526-93-6, Alovudine 92562-88-4, MIV-210

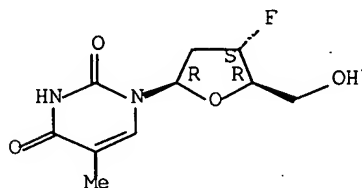
129618-40-2, Nevirapine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of amino acid hydrazone derivs. as HIV
protease inhibitors)

RN 25526-93-6 HCAPLUS

CN Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)

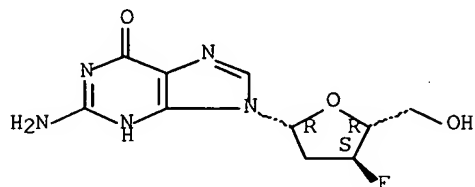
Absolute stereochemistry.



RN 92562-88-4 HCAPLUS

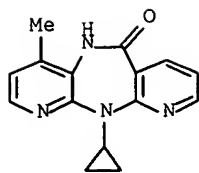
CN Guanosine, 2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



IC ICM C07D401-14
ICS C07D417-14; C07D401-06; C07D417-06; C07D403-06; C07D409-14;
C07D405-14; C07D413-14; A61K031-4178; A61P031-18; C07C243-24

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7, 63

ST amino acid hydrazide peptide isostere prepn inhibitor HIV
protease

IT Amino acids, preparation
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
(hydrazides; preparation of amino acid hydrazide derivs. as
HIV protease inhibitors)

IT Antiviral agents
Human
Human immunodeficiency virus
(preparation of amino acid hydrazide derivs. as HIV
protease inhibitors)

IT Hydrazides
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of amino acid hydrazide derivs. as HIV
protease inhibitors)

IT Peptides, preparation
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
(pseudopeptides; preparation of amino acid hydrazide derivs. as
HIV protease inhibitors)

IT 134379-77-4, D-D4FC
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Reverset, DPC 817; preparation of amino acid hydrazide derivs. as
HIV protease inhibitors)

IT 144114-21-6, Hiv protease
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of amino acid hydrazide derivs. as HIV
protease inhibitors)

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857904-70-2P	857904-71-3P		

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of amino acid hydrazide derivs. as HIV
 protease inhibitors)

IT	857904-72-4P	857904-73-5P	857904-74-6P	857904-75-7P
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	857904-80-4P	857904-81-5P	857904-82-6P	857904-83-7P
	857904-84-8P	857904-85-9P	857904-86-0P	857904-87-1P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of amino acid hydrazide derivs. as HIV
 protease inhibitors)

IT 66-99-9, 2-Naphthalenecarboxaldehyde 70-23-5 73-32-5,
 L-Isoleucine, reactions 78-84-2 97-96-1 98-03-3,
 2-Thiophenecarboxaldehyde 98-79-3, L-Pyroglutamic acid
 104-87-0 104-88-1, reactions 105-07-7 106-23-0 108-10-1
 108-94-1, Cyclohexanone, reactions 120-14-9 120-57-0,
 1,3-Benzodioxole-5-carboxaldehyde 121-33-5 122-03-2
 122-78-1, Benzeneacetaldehyde 122-85-0 123-08-0 123-11-5,
 p-Anisaldehyde, reactions 302-01-2, Hydrazine, reactions
 351-54-2 407-25-0, Trifluoroacetic anhydride 455-19-6
 459-57-4 587-04-2 590-86-3, Isovaleraldehyde 591-31-1
 620-23-5 630-19-3 645-36-3, Aminoacetaldehyde diethylacetal
 870-46-2, tert-Butyl carbazate 939-97-9 1122-72-1 1122-91-4
 1452-77-3, 2-Pyridinecarboxamide 1489-69-6,
 Cyclopropanecarboxaldehyde 1571-08-0 1846-68-0, 2-Octynal
 2043-61-0, Cyclohexanecarboxaldehyde 2196-13-6,
 Iso-thionicotinamide 2916-68-9 2987-16-8 3012-80-4
 4021-50-5 4070-48-8 4595-59-9 4748-78-1 4766-51-2,
 Phthalimide diethylacetal 5398-77-6 5470-96-2,
 2-Quinolinecarboxaldehyde 5779-95-3 5973-71-7 6287-38-3
 6654-36-0 10040-98-9 10111-08-7, 1H-Imidazole-2-carboxaldehyde
 18962-05-5 20570-96-1 20859-02-3 23074-10-4 25714-71-0
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 52480-43-0 54751-01-8, 4-Bromomethylpyridine 55745-70-5
 56881-90-4 62373-80-2 63038-27-7 66605-57-0 69770-20-3
 74124-79-1 77358-26-0 79124-75-7 82911-69-1 83902-00-5
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 128018-44-0 132509-34-3 133047-46-8 854754-13-5
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RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amino acid hydrazide derivs. as HIV
protease inhibitors)

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation of amino acid hydrazide derivs. as HIV
protease inhibitors)

IT 3056-17-5, Stavudine 7481-89-2, Zalcitabine 25526-93-6
, Alovudine 29321-75-3, PRO 2000 30516-87-1, Zidovudine
69655-05-6, Didanosine 92562-88-4, MIV-210
127779-20-8, Saquinavir 129618-40-2, Nevirapine
134678-17-4, Lamivudine 136470-78-5, Abacavir 136817-59-9,
Delavirdine 142632-32-4, Calanolide A 143491-54-7, Racivir
143491-57-0, Emtricitabine 145514-04-1, Amdoxovir 147127-20-6,
Tenofovir 147318-81-8, KNI-272 149950-60-7, Emivirine
150378-17-9, Indinavir 154598-52-4, Efavirenz 155148-31-5,
AMD-3100 155213-67-5, Ritonavir 159519-65-0, Enfuvirtide
159989-64-7, Nelfinavir 160707-69-7, SPD754 161814-49-9,
Amprenavir 170020-61-8, FP21399 171345-51-0, Zintevir
174022-42-5, PA-457 174391-92-5, Mozenavir 174484-41-4,
Tipranavir 178979-85-6, Capravirine 181785-84-2, Elvucitabine
186538-00-1, JE-2147 192725-17-0, Lopinavir 198904-31-3,
Atazanavir 206361-99-1, TMC-114 206362-00-7, TMC-126
214287-88-4, DPC-961 214287-99-7, Dpc 083 216863-66-0,
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370893-06-4, Schering C 376348-65-1, UK-427857 383198-58-1,
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674782-26-4, PRO 140 854908-06-8, GW 5634

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of amino acid hydrazide derivs. as HIV
protease inhibitors)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L82 ANSWER 3 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:588404 HCAPLUS Full-text
 DOCUMENT NUMBER: 143:133693
 TITLE: Preparation of amino acid derivatives as
 HIV protease inhibitors
 INVENTOR(S): Degoe, David A.; Flentge, Charles A.; Flosi,
 William J.; Grampovnik, David J.; Kempf, Dale
 J.; Klein, Larry L.; Yeung, Ming C.; Randolph,
 John T.; Wang, Xiu C.; Yu, Su
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 279 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005148623	A1	20050707	US 2004-8713	2004 1209
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PRIORITY APPLN. INFO.:			US 2003-528974P	P 2003 1211
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OTHER SOURCE(S): MARPAT 143:133693

AB The invention relates to amino acid derivs. A- NHCHR6CHR5CHR4CHR3NHCOCHR2NHCO2R1 [A is an amino acid or acyl residue of defined structure; R1, R2, R3, R6 are independently (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl or heteroaryl; R4, R5 are H (not both), OH or substituted hydroxyl], including pharmaceutically-acceptable salts, prodrugs or stereoisomers, having HIV protease inhibitory activity. Thus, Me (1S,4R,6S,7S,10S)-7-benzyl-1,10-di- tert-butyl-6-hydroxy-2,9,12-trioxo-4-[4-(2-pyridinyl)benzyl]-13- oxa-3,8,11-triazatetradec-1-ylcarbamate was prepared by a multistep procedure, which includes the reaction of intermediate tert-Bu (1S,2S,4R)-4-amino-1-benzyl-2-hydroxy-5-[4-(2-pyridinyl)phenyl]pentylcarbamate with N-protected L-tert-leucine. Comps. of the invention showed EC50 values in the range 0.7 nM to >3.2 μ M against wild-type HIV.

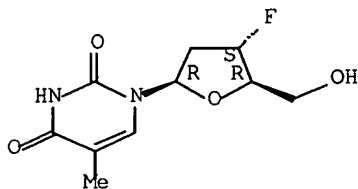
IT 25526-93-6 92562-88-4, MIV 210
129618-40-2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of amino acid derivs. as HIV protease inhibitors)

RN 25526-93-6 HCAPLUS

CN Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)

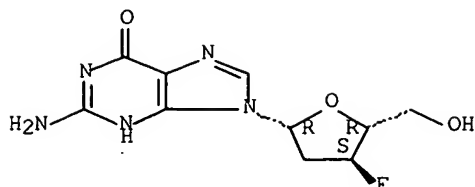
Absolute stereochemistry.



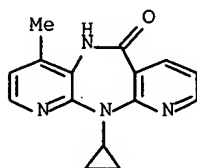
RN 92562-88-4 HCAPLUS

CN Guanosine, 2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS
 CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
 11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



IC ICM A61K031-4745
 ICS C07D471-02; C07D498-02; A61K031-4439; C07D043-02
 INCL 514303000; 514341000; 546272700; 514314000; 514340000; 546118000;
 546159000
 CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 7, 63
 ST amino acid peptide isostere prepn inhibitor HIV protease
 IT **Antiviral agents**
 Human
Human immunodeficiency virus
 (preparation of amino acid derivs. as HIV protease
 inhibitors)
 IT Amino acids, preparation
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of amino acid derivs. as HIV protease
 inhibitors)
 IT Peptides, preparation
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (pseudopeptides; preparation of amino acid derivs. as HIV
 protease inhibitors)
 IT 144114-21-6, Retropepsin
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation of amino acid derivs. as HIV protease
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 854755-37-6P 854755-46-7P 854755-47-8P 854755-48-9P
 854755-49-0P 854755-50-3P 854755-84-3P 854756-41-5P
 854756-43-7P 854757-60-1P 854757-85-0P 854758-79-5P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of amino acid derivs. as HIV protease
 inhibitors)
 IT 192725-55-6P 854754-01-1P 854754-05-5P 854754-12-4P

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854760-40-0P	854760-42-2P	854760-43-3P	854760-44-4P
854760-45-5P	854760-46-6P	854760-47-7P	854760-48-8P
854760-49-9P	854760-50-2P	854760-51-3P	854760-52-4P
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854760-57-9P	854760-61-5P	854760-65-9P	854760-66-0P
854760-67-1P	854760-68-2P		

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of amino acid derivs. as HIV protease
 inhibitors)

IT	854760-69-3P	854760-70-6P	854760-71-7P	854760-72-8P
	854760-73-9P	854760-74-0P	854760-75-1P	854760-76-2P
	854760-77-3P	854760-78-4P	854760-79-5P	854892-38-9P
	854892-41-4P	854892-42-5P	854892-43-6P	854892-44-7P

854892-45-8P	854892-46-9P	854892-47-0P	854892-48-1P
854892-49-2P	854892-50-5P	858033-46-2P	858033-47-3P
858033-48-4P	858033-49-5P	858033-50-8P	858033-51-9P
858033-52-0P	858033-53-1P	858033-54-2P	858033-55-3P
858033-56-4P	858033-57-5P	858033-58-6P	858033-59-7P
858033-60-0P	858033-61-1P	858033-62-2P	858033-63-3P
858033-64-4P	858033-65-5P	858033-66-6P	858033-67-7P
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858034-92-1P	858034-93-2P	858034-94-3P	858034-95-4P
858034-96-5P	858034-97-6P		

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)

(preparation of amino acid derivs. as HIV protease
inhibitors)

IT 52-90-4, L-Cysteine, reactions 60-18-4, L-Tyrosine, reactions
62-55-5, Ethanethioamide 70-23-5 73-32-5, L-Isoleucine,
reactions 88-13-1, 3-Thiophenecarboxylic acid 90-02-8,
o-Hydroxybenzaldehyde, reactions 98-80-6 99-61-6 100-52-7,
Benzaldehyde, reactions 100-83-4, m-Hydroxybenzaldehyde
105-36-2 105-53-3 118-90-1, o-Toluic acid 123-08-0,
p-Hydroxybenzaldehyde 135-02-4 138-41-0 274-47-5,
Imidazo[1,5-a]pyridine 298-12-4, Glyoxylic acid 447-61-0,
o-Trifluoromethylbenzaldehyde 454-89-7, m-
Trifluoromethylbenzaldehyde 455-19-6, p-
Trifluoromethylbenzaldehyde 456-48-4, 3-Fluorobenzaldehyde
459-57-4, 4-Fluorobenzaldehyde 500-22-1, 3-
Pyridinecarboxaldehyde 527-72-0, 2-Thiophenecarboxylic acid
529-20-4 534-07-6 552-89-6 563-83-7 589-15-1 591-31-1
598-55-0, Methyl carbamate 599-04-2 603-80-5 620-23-5
630-19-3 636-76-0 701-99-5 1113-41-3 1121-60-4,
2-Pyridinecarboxaldehyde 1122-71-0 1122-72-1,
6-Methyl-2-pyridinecarboxaldehyde 1189-71-5, Sulfuryl chloride
isocyanate 1452-77-3, 2-Pyridinecarboxamide 1483-77-4
1589-82-8 1730-25-2 1918-79-2 2018-66-8 2491-06-7,
Dimethylglycine hydrochloride 2510-36-3, 3,5-Dimethylisoxazole-4-
carboxylic acid 3012-80-4 3430-13-5 3510-66-5 4363-93-3,
4-Quinolinecarboxaldehyde 4467-06-5, 2-p-Tolylpyridine
4530-20-5 4621-66-3, 3-Pyridinecarbothioamide 4926-28-7
5315-25-3 5453-67-8 5470-70-2 6245-57-4 6436-59-5
6973-60-0 7499-07-2, 4-Chloro-o-toluic acid 7499-08-3
13335-71-2 13831-31-7, Acetoxyacetyl chloride 15761-38-3
17153-20-7 17997-47-6 20859-02-3 21641-92-9 23806-24-8
24015-97-2 24250-84-8 31556-74-8 32939-32-5 37535-51-6
37595-74-7 40473-07-2 55135-66-5, 9-Bromo-9-phenylfluorene
65719-09-7 67746-43-4 69320-89-4 76497-39-7 78795-02-5
78902-09-7 80866-76-8 98760-08-8 119433-80-6 119483-45-3
121359-48-6, 2-(Tributylstannyl)thiazole 124252-41-1,
4-Tributylstannylpyridine 129460-09-9 132388-59-1
139665-79-5 146255-30-3 156732-12-6 162119-33-7
373638-50-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amino acid derivs. as HIV protease
inhibitors)

IT 2913-97-5P 5346-38-3P, 2-Pyridinecarbothioamide 5858-27-5P,

3-Methyl-2-nitrobenzaldehyde 13515-65-6P 15536-75-1P
 19550-89-1P 20949-84-2P 39067-28-2P 39238-07-8P
 39977-44-1P 52199-24-3P 53014-84-9P 56671-66-0P,
 Imidazo[1,5-a]pyridine-3-carboxaldehyde 60032-57-7P
 69950-65-8P 74761-39-0P 110599-27-4P 120230-41-3P
 120230-42-4P 133047-44-6P 133047-45-7P 133047-46-8P
 133333-27-4P 138745-99-0P 143291-14-9P 143688-72-6P
 144163-81-5P 144163-85-9P 144163-88-2P 157717-56-1P
 157717-57-2P 157717-58-3P 161772-80-1P 162537-11-3P
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 854757-97-4P 854757-99-6P 854758-02-4P 854758-09-1P
 854758-11-5P 854758-15-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP
 (Preparation); RACT (Reactant or reagent)

(preparation of amino acid derivs. as HIV protease
 inhibitors)

IT 854758-20-6P 854758-22-8P 854758-23-9P 854758-24-0P
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854758-52-4P	854758-53-5P	854758-55-7P	854758-56-8P
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854758-81-9P	854758-82-0P	854758-83-1P	854758-84-2P
854758-87-5P	854758-88-6P	854758-91-1P	854758-92-2P
854758-94-4P	854758-95-5P	854760-81-9P	854760-84-2P
854760-85-3P	854892-39-0P	854892-40-3P	858033-35-9P
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858034-86-3P	858034-87-4P	858034-88-5P	858034-89-6P
858034-90-9P	858034-91-0P		

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid derivs. as HIV protease inhibitors)

IT 3056-17-5 7481-89-2 25526-93-6 29321-75-3
30516-87-1 69655-05-6 92562-88-4, MIV 210
127779-20-8 129618-40-2 134379-77-4 134678-17-4
136470-78-5 136817-59-9 142632-32-4 143491-54-7
143491-57-0 145514-04-1 147127-20-6 147318-81-8
149950-60-7 150378-17-9 154598-52-4 155148-31-5
155213-67-5 159519-65-0 159989-64-7 160707-69-7
161814-49-9 170020-61-8 171345-51-0 174022-42-5
174391-92-5 174484-41-4 178979-85-6 181785-84-2
186538-00-1 192725-17-0 198904-31-3 206361-99-1
206362-00-7 214287-88-4 214287-99-7 216863-66-0
226700-79-4 231957-54-3 251562-00-2 269055-15-4
280571-30-4 284661-68-3 284661-73-0 357263-13-9
370893-06-4 376348-65-1 383198-58-1, PRO 542 394728-76-8,
TMC 120 394730-30-4, SCH-D 410544-95-5 410545-90-3
461443-59-4 674782-26-4, PRO 140 854908-06-8, GW 5634

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of amino acid derivs. as HIV protease inhibitors)

IT 38870-89-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with ammonium acetate in preparation of amino acid derivs. as HIV protease inhibitors)

IT 631-61-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with methoxyacetyl chloride in preparation of amino acid derivs. as HIV protease inhibitors)

IT 7529-22-8
RL: RGT (Reagent); RACT (Reactant or reagent)
(sulfide oxidant; preparation of amino acid derivs. as HIV

protease inhibitors)

L82 ANSWER 4 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:527407 HCAPLUS Full-text
 DOCUMENT NUMBER: 143:59982
 TITLE: Preparation of HIV protease inhibitors, in particular imidazolidine derivatives
 INVENTOR(S): Flentge, Charles A.; Chen, Hui-Ju; Degoe, David A.; Flosi, William J.; Grampovnik, David J.; Huang, Peggy P.; Kempf, Dale J.; Klein, Larry L.; Krueger, Allan C.; Madigan, Darold L.; Randolph, John T.; Sun, Minghua; Yeung, Ming C.; Zhao, Chen
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 287 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005131042	A1	20050616	US 2003-733915	2003 1211
CA 2549389	AA	20050707	CA 2004-2549389	2004 1110
WO 2005061450	A2	20050707	WO 2004-US37745	2004 1110

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-733915 A
 2003
 1211
 WO 2004-US37745 W
 2004
 1110

OTHER SOURCE(S): MARPAT 143:59982
 GI

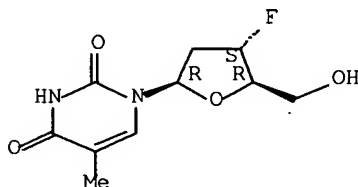
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT
 *

AB Title compds. of formula ANH(CHR)(CHR1)(CHR2)NR3S(O2)R4 (I) [wherein A = alkylcarbonyl, arylsulfonyl, 1,3-substituted 2-oxoimidazolidinyl, 2,4-dioxoimidazolidinyl, etc.; X, Y

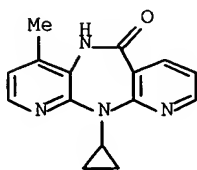
= independently O, S, NH; R = (un)substituted alk(en)yl, cycloalk(en)yl, hetero/arylalkyl, etc.; R1 = OH and derivs., OPO3H and derivs., OSO2H and derivs., etc.; R2 = H; R3 = halo/alkyl, halo/alkenyl, (un)substituted cycloalk(en)yl, aryl; R4 = (un)substituted cycloalk(en)yl, heterocyclyl, hetero/aryl] were prepared as HIV protease inhibitors. For example, II was prepared, in 62% yield, by coupling acid III (preparation given) with amine IV (preparation given). I showed **antiviral** activity against Wild-Type HIV with EC50 in the range of 1 nM to 100 nM.

IT 25526-93-6, Alovudine 129618-40-2, Nevirapine
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (combination therapy; preparation of HIV protease inhibitors, in particular imidazolidine derivs.)
 RN 25526-93-6 HCAPLUS
 CN Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS
 CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
 11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



IC ICM A61K031-4168
 ICS A61K031-277; A61K031-195; A61K031-175; A61K031-18
 INCL 514389000; 514602000; 514522000; 514562000; 514591000; 548316400;
 548316700; 558410000; 562429000; 564038000
 CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1, 63
 ST imidazolidine prepn HIV protease inhibitor
 IT Anti-AIDS agents
 Anti-infective agents
 Antiviral agents
 Human
 Human immunodeficiency virus 1
 (preparation of HIV protease inhibitors, in particular
 imidazolidine derivs.)
 IT 9068-38-6
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (HIV, combination therapy; preparation of HIV
 protease inhibitors, in particular imidazolidine derivs.)
 IT 853894-05-OP, (2S)-N-[(1S,2R)-1-Benzyl-3-[[[4-
 formylphenyl]sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-
 [3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-
 imidazolidinyl]butanamide 853894-07-2P 854739-68-7P
 854739-90-5P 854739-95-OP 854740-18-4P 854740-98-OP,

(2R, 3S)-N-[(1S, 2R)-1-Benzyl-3-[(cyclopentylmethyl)[[4-[(E)-(hydroxyimino)methyl]phenyl]sulfonyl]amino]-2-hydroxypropyl]-2-[3-[[2-[(isopropylamino)methyl]-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylpentanamide 854741-06-3P 854741-19-8P
854741-25-6P 854741-33-6P 854741-48-3P 854741-61-0P
854741-62-1P 854741-68-7P 854741-70-1P 854742-58-8P
854742-87-3P 854743-24-1P 854743-61-6P 854743-96-7P
854744-02-8P 854744-22-2P, (2S)-N-[(1S, 2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(3-methoxyphenyl)sulfonyl]amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854744-29-9P 854744-57-3P
854744-64-2P 854744-65-3P 854744-66-4P 854744-77-7P
854744-87-9P, (2S, 3S)-N-[(1S, 2R)-1-Benzyl-3-[[4-cyanophenyl]sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[2-oxo-3-[(3-pyridinyl)methyl]-1-imidazolidinyl]pentanamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(antiviral agent; preparation of HIV protease inhibitors, in particular imidazolidine derivs.)

IT 853893-95-5P, (2S)-N-[(1S, 2R)-3-[[4-Aminophenyl]sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
853893-96-6P, (2S)-N-[(1S, 2R)-1-Benzyl-3-[[4-(formylamino)phenyl]sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 853893-99-9P, 4-[[[(2R, 3S)-2-Hydroxy-3-[[[(2S)-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanoyl]amino]-4-phenylbutyl](isobutyl)amino]sulfonyl]benzoic acid 853894-00-5P, (2S)-N-[(1S, 2R)-3-[[4-Acetylphenyl]sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 853894-01-6P, (2S)-N-[(1S, 2R)-1-Benzyl-3-[[4-cyanophenyl]sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 853894-02-7P, 4-[[[(2R, 3S)-2-Hydroxy-3-[[[(2S)-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanoyl]amino]-4-phenylbutyl](isobutyl)amino]sulfonyl]benzamide 853894-03-8P, (2S)-N-[(1S, 2R)-3-[[4-(Amino)(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxoimidazolidin-1-yl]butanamide 853894-04-9P,
(2S)-N-[(1S, 2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(4-vinylphenyl)sulfonyl]amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
853894-06-1P, (2S)-N-[(1S, 2R)-1-Benzyl-2-hydroxy-3-[[4-(hydroxymethyl)phenyl]sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 853894-09-4P, (2S)-N-[(1S, 2R)-1-Benzyl-2-hydroxy-3-[[3-(hydroxymethyl)phenyl]sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854739-69-8P, (2S)-2-[3-[2-(Anilino)-2-oxoethyl]-2,4-dioxo-1-imidazolidinyl]-N-[(1S, 2R)-1-benzyl-2-hydroxy-3-[isobutyl[(4-methoxyphenyl)sulfonyl]amino]propyl]-3-methylbutanamide 854739-70-1P, tert-Butyl [(1S, 2R)-1-benzyl-2-hydroxy-3-[isobutyl[(4-vinylphenyl)sulfonyl]amino]propyl]carbamate 854739-71-2P, tert-Butyl [(1S, 2R)-1-benzyl-2-hydroxy-3-[[4-[(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]carbamate 854739-77-8P, (2S)-N-[(1S, 2R)-1-Benzyl-2-hydroxy-3-[[4-[(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-2-[3-[[2-(methoxymethyl)-1,3-thiazol-4-yl)methyl]-2-oxoimidazolidin-1-yl]-3-methylbutanamide 854739-78-9P,
(2S)-N-[(1S, 2R)-1-Benzyl-2-hydroxy-3-[[4-[(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-3,3-dimethyl-2-[3-[(1-methyl-1H-benzimidazol-2-yl)methyl]-2-oxoimidazolidin-1-yl]butanamide 854739-79-0P 854739-80-3P
854739-81-4P 854739-82-5P 854739-83-6P 854739-84-7P

854739-85-8P 854739-86-9P 854739-87-0P 854739-88-1P
 854739-89-2P 854739-91-6P 854739-92-7P 854739-93-8P
 854739-94-9P 854739-96-1P 854739-97-2P 854739-98-3P
 854739-99-4P 854740-00-4P 854740-01-5P 854740-02-6P
 854740-04-8P 854740-05-9P 854740-06-0P 854740-07-1P
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 854740-78-6P 854740-79-7P 854740-80-0P 854740-81-1P
 854740-82-2P 854740-83-3P 854740-84-4P 854740-85-5P
 854740-86-6P 854740-87-7P 854740-88-8P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-[(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[[2-[(methylamino)methyl]-1,3-thiazol-4-yl]methyl]-2-oxoimidazolidin-1-yl]butanamide
 854740-89-9P 854740-90-2P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-[(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[[2-methyl-1,3-thiazol-4-yl]methyl]-2-oxo-2,3-dihydro-1H-imidazol-1-yl]butanamide 854740-91-3P,
 (2S)-2-[3-(3-Aminobenzyl)-2-oxoimidazolidin-1-yl]-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[[[4-[(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-3-methylbutanamide 854740-92-4P,
 (2S,3S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-[(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(1-oxido-3-pyridinyl)methyl]-2-oxo-1-imidazolidinyl]pentanamide 854740-93-5P, (2S,3S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-[(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(1-oxidopyridin-4-yl)methyl]-2-oxoimidazolidin-1-yl]pentanamide 854740-94-6P,
 (2S,3S)-2-[3-[[2-(Aminomethyl)-1,3-thiazol-4-yl]methyl]-2-oxoimidazolidin-1-yl]-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[[[4-[(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-3-methylpentanamide 854740-95-7P, (2S,3S)-2-[3-[[2-(Aminomethyl)-1,3-thiazol-4-yl]methyl]-2-oxo-1-imidazolidinyl]-N-[(1S,2R)-1-benzyl-3-[(cyclobutylmethyl)[[4-[(E)-(hydroxyimino)methyl]phenyl]sulfonyl]amino]-2-hydroxypropyl]-3-methylpentanamide 854740-96-8P
 854740-97-9P 854740-99-1P 854741-00-7P, (2S,3S)-2-[3-[[3-[(Amino)(hydroxyimino)methyl]benzyl]-2-oxo-1-imidazolidinyl]-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[[[4-[(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-3-methylpentanamide 854741-01-8P 854741-02-9P,
 (2S,3S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-[(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-2-[3-[[6-[(hydroxyimino)methyl]-2-pyridinyl]methyl]-2-oxo-1-imidazolidinyl]-2,3-dimethylpentanamide 854741-03-0P,
 (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-[(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-2-[3-[[6-(1-hydroxyethyl)-2-pyridinyl]methyl]-2-oxo-1-imidazolidinyl]-3,3-dimethylbutanamide 854741-05-2P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-[(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-2-[3-[[2-(methoxymethyl)-1,3-thiazol-4-yl]methyl]-2,4-dioxo-1-imidazolidinyl]-3-methylbutanamide 854741-07-4P
 854741-08-5P 854741-09-6P 854741-10-9P 854741-11-0P
 854741-12-1P 854741-13-2P 854741-14-3P 854741-15-4P

854741-16-5P 854741-17-6P 854741-18-7P 854741-20-1P
854741-21-2P 854741-22-3P 854741-23-4P 854741-24-5P
854741-26-7P 854741-27-8P 854741-28-9P 854741-29-0P
854741-30-3P 854741-31-4P 854741-32-5P 854741-34-7P
854741-35-8P 854741-36-9P 854741-37-0P 854741-38-1P
854741-39-2P 854741-40-5P 854741-41-6P 854741-42-7P
854741-43-8P 854741-44-9P, (2S)-2-[3-(3-Aminobenzyl)-2,4-dioxo-1-imidazolidinyl]-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[[[4-(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-3-methylbutanamide 854741-45-0P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-2-[3-[3-(N-hydroxyethanimidoyl)benzyl]-2,4-dioxo-1-imidazolidinyl]-3-methylbutanamide 854741-46-1P, (2S)-2-[3-[3-(Aminomethyl)benzyl]-2,4-dioxo-1-imidazolidinyl]-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[[[4-(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-3-methylbutanamide 854741-47-2P, (2S,3S)-2-[3-(3-Aminobenzyl)-2,4-dioxo-1-imidazolidinyl]-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[[[4-(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-3-methylpentanamide 854741-50-7P, (2S,3S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[[[methyl(2-pyridinylmethyl)amino]carbonyl]amino]pentanamide 854741-51-8P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-2-[[[[(2-isopropyl-1,3-thiazol-4-yl)methyl](methyl)amino]carbonyl]amino]-3-methylbutanamide 854741-52-9P 854741-53-0P
854741-54-1P 854741-55-2P 854741-56-3P 854741-58-5P
854741-59-6P 854741-60-9P 854741-63-2P 854741-64-3P
854741-65-4P 854741-66-5P 854741-67-6P 854741-69-8P
854741-71-2P 854741-72-3P 854741-73-4P 854741-74-5P
854741-76-7P 854741-78-9P 854741-80-3P 854741-82-5P
854741-84-7P 854741-85-8P 854741-86-9P 854741-87-0P
854741-88-1P 854741-89-2P 854741-90-5P, (2S,3S)-2-[[[3-(Aminobenzyl)(methyl)amino]carbonyl]amino]-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[[[4-(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-3-methylpentanamide 854741-91-6P, (2S,3R)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-3-hydroxy-2-[[[methyl[(2-methyl-1,3-thiazol-4-yl)methyl]amino]carbonyl]amino]butanamide 854741-92-7P, (2S,3R)-N-[(1S,2R)-1-Benzyl-3-[(cyclobutylmethyl)[[4-(E)-(hydroxyimino)methyl]phenyl]sulfonyl]amino]-2-hydroxypropyl]-3-hydroxy-2-[[[methyl[(2-methyl-1,3-thiazol-4-yl)methyl]amino]carbonyl]amino]butanamide 854741-93-8P
854741-94-9P 854741-95-0P 854741-96-1P 854741-97-2P
854741-98-3P 854741-99-4P 854742-00-0P, tert-Butyl [(1S)-1-[[[(1S,2R)-1-benzyl-2-hydroxy-3-[[[4-(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]amino]Carbonyl]-2,2-dimethylpropyl]carbamate 854742-01-1P
854742-02-2P 854742-03-3P 854742-04-4P 854742-05-5P
854742-06-6P 854742-07-7P 854742-08-8P 854742-09-9P
854742-10-2P 854742-11-3P 854742-12-4P 854742-13-5P
854742-14-6P 854742-15-7P 854742-16-8P 854742-17-9P
854742-18-0P 854742-19-1P 854742-21-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiviral agent; preparation of HIV protease inhibitors, in particular imidazolidine derivs.)

IT 854742-22-6P 854742-23-7P 854742-24-8P 854742-25-9P
854742-26-0P 854742-27-1P 854742-28-2P 854742-30-6P
854742-31-7P 854742-32-8P 854742-33-9P 854742-34-0P
854742-35-1P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-2-[[[(3-fluorobenzyl)amino]acetyl]amino]-3,3-dimethylbutanamide 854742-36-2P, (2R)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-(E)-

(hydroxyimino)methyl]phenyl]sulfonyl] (isobutyl)amino]propyl]-2-
 [[[(3-fluorobenzyl)amino]acetyl]amino]-3,3-dimethylbutanamide
 854742-37-3P, (2S,3S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-[(E)-
 (hydroxyimino)methyl]phenyl]sulfonyl] (isobutyl)amino]propyl]-2-
 [[[(3-fluorobenzyl)amino]acetyl]amino]-3-methylpentanamide
 854742-38-4P, (2S,3S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-[(E)-
 (hydroxyimino)methyl]phenyl]sulfonyl] (isobutyl)amino]propyl]-3-
 methyl-2-[[[(5-nitro-3-thienyl)methyl]amino]acetyl]amino]pentanam
 ide 854742-39-5P, Benzyl [(1S)-4-[[amino(imino)methyl]amino]-1-
 [[[(1S,2R)-1-benzyl-2-hydroxy-3-[[[4-[(E)-
 (hydroxyimino)methyl]phenyl]sulfonyl] (isobutyl)amino]propyl]amino]
 carbonyl]butyl]carbamate 854742-40-8P 854742-41-9P
 854742-42-0P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(4-
 methoxyphenyl)sulfonyl]amino]propyl]-2-[3-[(2-isopropyl-1,3-
 thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide
 854742-43-1P 854742-44-2P 854742-45-3P 854742-46-4P
 854742-47-5P 854742-48-6P 854742-49-7P 854742-50-0P
 854742-51-1P 854742-52-2P 854742-53-3P 854742-54-4P
 854742-55-5P 854742-56-6P 854742-57-7P 854742-59-9P
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 854742-97-5P 854742-98-6P 854742-99-7P 854743-00-3P
 854743-01-4P 854743-02-5P 854743-03-6P 854743-04-7P,
 (2S)-2-[3-[[2-(Aminomethyl)-1,3-thiazol-4-yl]methyl]-2-oxo-1-
 imidazolidinyl]-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[isobutyl[(4-
 methoxyphenyl)sulfonyl]amino]propyl]-3-methylbutanamide
 854743-05-8P, (2S)-2-[3-[[2-[(Acetylamino)methyl]-1,3-thiazol-4-
 yl]methyl]-2-oxo-1-imidazolidinyl]-N-[(1S,2R)-1-benzyl-2-hydroxy-3-
 [isobutyl[(4-methoxyphenyl)sulfonyl]amino]propyl]-3-
 methylbutanamide 854743-06-9P, (2S)-N-[(1S,2R)-1-Benzyl-2-
 hydroxy-3-[isobutyl[(4-methoxyphenyl)sulfonyl]amino]propyl]-2-[3-
 [[2-(hydroxymethyl)-1,3-thiazol-4-yl]methyl]-2-oxo-1-
 imidazolidinyl]-3-methylbutanamide 854743-07-0P,
 (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(4-
 methoxyphenyl)sulfonyl]amino]propyl]-2-[3-[[2-
 [(dimethylamino)methyl]-1,3-thiazol-4-yl]methyl]-2-oxo-1-
 imidazolidinyl]-3-methylbutanamide 854743-08-1P,
 (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(4-
 methoxyphenyl)sulfonyl]amino]propyl]-3-methyl-2-[3-[[2-
 [(methylsulfonyl)methyl]-1,3-thiazol-4-yl]methyl]-2-oxo-1-
 imidazolidinyl]butanamide 854743-09-2P 854743-10-5P, Methyl
 [4-[[3-[(1S)-1-[[[(1S,2R)-1-benzyl-2-hydroxy-3-[isobutyl[(4-
 methoxyphenyl)sulfonyl]amino]propyl]amino]carbonyl]-2-
 methylpropyl]-2-oxo-1-imidazolidinyl]methyl]-1,3-thiazol-2-
 yl]methyl]carbamate 854743-11-6P, (2S)-N-[(1S,2R)-1-Benzyl-2-
 hydroxy-3-[isobutyl[(4-methoxyphenyl)sulfonyl]amino]propyl]-3-
 methyl-2-[3-[[2-[(methylsulfonyl)methyl]-1,3-thiazol-4-yl]methyl]-
 2-oxo-1-imidazolidinyl]butanamide 854743-12-7P,
 (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(4-
 methoxyphenyl)sulfonyl]amino]propyl]-2-[3-[[2-
 [(diethylamino)methyl]-1,3-thiazol-4-yl]methyl]-2-oxo-1-
 imidazolidinyl]-3-methylbutanamide 854743-13-8P,
 (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(4-
 methoxyphenyl)sulfonyl]amino]propyl]-2-[3-[[2-(isopropylamino)-2-
 oxoethyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide
 854743-14-9P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(4-
 methoxyphenyl)sulfonyl]amino]propyl]-3-methyl-2-[3-[[2-
 [(methylamino)methyl]-1,3-thiazol-4-yl]methyl]-2-oxo-1-
 imidazolidinyl]butanamide 854743-15-0P 854743-16-1P,
 (2S,3S)-2-[3-[[2-(Aminomethyl)-1,3-thiazol-4-yl]methyl]-2-oxo-1-

imidazolidinyl]-N-[(1S,2R)-1-benzyl-3-[(cyclopentylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxypropyl]-3-methylpentanamide
 854743-17-2P, (2S,3S)-2-[3-[3-[(Amino)(hydroxyimino)methyl]benzyl]-2-oxo-1-imidazolidinyl]-N-[(1S,2R)-1-benzyl-2-hydroxy-3-
 [isobutyl[(4-methoxyphenyl)sulfonyl]amino]propyl]-3-methylpentanamide 854743-18-3P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(4-methoxyphenyl)sulfonyl]amino]propyl]-4-hydroxy-2-[3-[(1-methyl-1H-benzimidazol-2-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854743-19-4P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(4-methoxyphenyl)sulfonyl]amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2,4-dioxo-1-imidazolidinyl]butanamide 854743-20-7P 854743-21-8P
 854743-22-9P 854743-23-0P 854743-25-2P 854743-26-3P
 854743-27-4P 854743-28-5P 854743-29-6P 854743-30-9P
 854743-31-0P 854743-32-1P 854743-33-2P 854743-34-3P
 854743-35-4P 854743-36-5P 854743-37-6P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(4-methoxyphenyl)sulfonyl]amino]propyl]-2-[3-[2-(isopropylamino)-2-oxoethyl]-2,4-dioxo-1-imidazolidinyl]-3-methylbutanamide 854743-60-5P,
 (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[4-(hydroxyphenyl)sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854743-62-7P 854743-63-8P 854743-64-9P 854743-65-0P
 854743-66-1P 854743-67-2P 854743-68-3P 854743-69-4P
 854743-70-7P 854743-71-8P 854743-72-9P 854743-73-0P
 854743-74-1P 854743-75-2P 854743-76-3P 854743-77-4P
 854743-78-5P 854743-79-6P 854743-80-9P 854743-81-0P
 854743-82-1P 854743-83-2P 854743-84-3P 854743-85-4P
 854743-86-5P 854743-87-6P 854743-88-7P 854743-89-8P
 854743-90-1P 854743-91-2P 854743-92-3P 854743-93-4P
 854743-94-5P 854743-95-6P 854743-97-8P 854743-98-9P
 854743-99-0P 854744-00-6P 854744-01-7P 854744-03-9P
 854744-04-0P 854744-05-1P 854744-06-2P 854744-07-3P
 854744-08-4P 854744-09-5P 854744-10-8P 854744-11-9P
 854744-12-0P 854744-14-2P 854744-15-3P 854744-16-4P
 854744-17-5P 854744-18-6P 854744-19-7P 854744-20-0P
 854744-21-1P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[4-(E)-(hydroxyimino)methyl]phenyl]sulfonyl](neopentyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854744-23-3P 854744-24-4P
 854744-25-5P 854744-26-6P 854744-27-7P 854744-28-8P
 854744-30-2P 854744-31-3P 854744-32-4P 854744-33-5P
 854744-34-6P 854744-35-7P 854744-36-8P 854744-37-9P
 854744-38-0P 854744-39-1P 854744-40-4P 854744-41-5P
 854744-42-6P 854744-43-7P 854744-44-8P 854744-45-9P
 854744-46-0P 854744-47-1P 854744-48-2P 854744-49-3P
 854744-50-6P 854744-51-7P 854744-52-8P 854744-53-9P
 854744-54-0P 854744-55-1P 854744-56-2P 854744-58-4P
 854744-59-5P 854744-60-8P 854744-61-9P 854744-62-0P
 854744-63-1P 854744-67-5P 854744-68-6P 854744-69-7P,
 (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-(hydroxyphenyl)sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854744-70-0P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[5-bromo-2-(hydroxyphenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854744-71-1P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[4-(1,2-dihydroxyethyl)phenyl]sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854744-72-2P,
 (2S)-N-[(1S,2R)-3-[[3-(Amino-4-chlorophenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-2-[3-[[2-(hydroxymethyl)-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide
 854744-73-3P, (2S)-N-[(1S,2R)-3-[[3-(Acetylamino)-4-(hydroxyphenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854744-74-4P 854744-75-5P

854744-76-6P 854744-78-8P 854744-79-9P, (2S)-N-[(1S,2R)-1-Benzyl-3-[(2,3-dihydro-1H-indol-5-yl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854744-80-2P, (2S)-N-[(1S,2R)-3-[(2-Amino-4-methyl-1,3-thiazol-5-yl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854744-81-3P, (2S)-N-[(1S,2R)-3-[[[3-[(3-Aminopropanoyl)amino]-4-hydroxyphenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854744-82-4P, tert-Butyl [2-[3-[[[(2R,3S)-2-hydroxy-3-[(2S)-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanoyl]amino]-4-phenylbutyl](isobutyl)amino]sulfonyl]anilino]-2-oxoethyl]carbamate 854744-83-5P, (2S)-N-[(1S,2R)-1-Benzyl-3-[(5-formyl-2-furyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854744-84-6P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[5-[(E)-(hydroxyimino)methyl]-2-furyl)sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854744-85-7P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[5-[(Z)-(hydroxyimino)methyl]-2-furyl)sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854744-86-8P 854744-88-0P 854744-89-1P 854744-90-4P 854744-91-5P 854744-92-6P 854744-93-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiviral agent; preparation of HIV protease inhibitors, in particular imidazolidine derivs.)

IT 854744-94-8P 854744-95-9P 854744-96-0P 854744-97-1P
 854744-98-2P 854744-99-3P 854745-00-9P 854745-01-0P
 854745-02-1P 854745-03-2P 854745-04-3P 854745-06-5P
 854745-07-6P 854745-08-7P 854745-09-8P 854745-10-1P
 854745-11-2P 854745-12-3P 854745-13-4P 854745-14-5P
 854745-15-6P 854745-16-7P 854745-17-8P 854745-18-9P
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 854745-23-6P 854745-24-7P 854745-25-8P 854745-26-9P
 854745-27-0P 854745-28-1P 854745-29-2P 854745-30-5P
 854745-31-6P 854745-32-7P 854745-33-8P 854745-34-9P
 854746-46-6P, (2S)-N-[(1S,2R)-3-[[[4-[(E)-[(3-Aminopropanoyl)oxy]imino]methyl]phenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854746-54-6P
 854746-55-7P 854746-56-8P 854746-57-9P 854746-58-0P
 854746-58-0P 854746-59-1P 854746-62-6P 854746-63-7P
 854746-64-8P 854746-65-9P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(4-methoxyphenyl)sulfonyl]amino]propyl]-3-methyl-2-[2-oxo-3-[(1,3-thiazol-2-yl)methyl]-1-imidazolidinyl]butanamide
 854746-66-0P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(4-methoxyphenyl)sulfonyl]amino]propyl]-2-[3-[(5-ethyl-2-phenyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide
 854746-67-1P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(4-methoxyphenyl)sulfonyl]amino]propyl]-2-[3-[(5-ethyl-2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide
 854746-68-2P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(4-methoxyphenyl)sulfonyl]amino]propyl]-2-[3-[(2,5-dimethyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide
 854746-69-3P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(4-methoxyphenyl)sulfonyl]amino]propyl]-3,3-dimethyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854746-70-6P 854746-71-7P 854746-72-8P 854746-76-2P,
 (2S)-N-[(1S,2R)-3-[[[3-Amino-4-chlorophenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854746-77-3P,

(2S)-N-[(1R,2R)-1-Benzyl-2-hydroxy-3-[[4-hydroxyphenyl)sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854746-78-4P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[4-hydroxyphenyl)sulfonyl](isobutyl)amino]propyl]-2-[3-[(2-isopropyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide 854746-79-5P, (2S)-N-[(1S,2R)-3-[[4-Aminophenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-2-[3-[(2-isopropyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide 854746-80-8P, (2S)-N-[(1S,2R)-3-[[3-Amino-4-chlorophenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-2-[3-[(2-isopropyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide 854746-81-9P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[4-hydroxyphenyl)sulfonyl](isobutyl)amino]propyl]-2-[3-[(2-ethyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide 854746-82-0P, (2S)-N-[(1S,2R)-3-[[4-Aminophenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-2-[3-[(2-ethyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide 854746-83-1P, (2S)-N-[(1S,2R)-3-[[3-Amino-4-chlorophenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-2-[3-[(2-ethyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide 854746-84-2P, (2S)-N-[(1S,2R)-3-[[3-Amino-4-hydroxyphenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-2-[3-[(2-ethyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide 854746-85-3P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[4-hydroxyphenyl)sulfonyl](isobutyl)amino]propyl]-2-[3-[[2-(methoxymethyl)-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide 854746-86-4P, (2S)-N-[(1S,2R)-3-[[4-Aminophenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-2-[3-[[2-(methoxymethyl)-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide 854746-87-5P, (2S)-N-[(1S,2R)-3-[[3-Amino-4-chlorophenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-2-[3-[[2-(methoxymethyl)-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide 854746-88-6P, (2S)-N-[(1S,2R)-3-[[3-Amino-4-hydroxyphenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-2-[3-[[2-(methoxymethyl)-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide 854746-89-7P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-hydroxy-3-[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino]phenyl)sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854746-90-0P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[3,5-dichloro-4-hydroxyphenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854746-91-1P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-hydroxyphenyl)sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(5-nitro-3-thienyl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854746-92-2P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-hydroxy-3-[(3-pyridinyl)sulfonyl]amino]phenyl)sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854746-93-3P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-hydroxy-3-[(methylsulfonyl)amino]phenyl)sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854746-94-4P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-hydroxyphenyl)sulfonyl](isobutyl)amino]propyl]-2-[3-[(2-cyclopropyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide 854746-95-5P, (2S)-N-[(1S,2R)-3-[[3-Amino-4-chlorophenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-2-[3-[(2-cyclopropyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide 854746-96-6P, (2S)-N-[(1S,2R)-3-[[4-Aminophenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-2-[3-[(2-cyclopropyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanamide 854746-97-7P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[3-ethyl-4-hydroxyphenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-

2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854746-98-8P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[[(3,5-dichloro-2-hydroxyphenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854746-99-9P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(4-hydroxy-3-methylphenyl)sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-00-5P 854747-01-6P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[[(5-fluoro-4-hydroxy-2-methylphenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-02-7P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[[(5-chloro-4-hydroxy-2-methylphenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-03-8P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[[(3-chloro-4-hydroxy-5-methylphenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-04-9P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(4-hydroxy-3-[[[(methylamino)sulfonyl]amino]phenyl)sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-05-0P, Ethyl [2-hydroxy-5-[[[(2R,3S)-2-hydroxy-3-[[[(2S)-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanoyl]amino]-4-phenylbutyl](isobutyl)amino)sulfonyl]phenyl]carbamate 854747-06-1P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(4-hydroxy-3-isopropylphenyl)sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-07-2P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(4-hydroxyphenyl)sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(1-methyl-1H-benzimidazol-2-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-08-3P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(4-hydroxy-3,5-dimethylphenyl)sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-09-4P, (2S)-N-[(1S,2R)-3-[[[(3-Amino-4-chlorophenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(5-nitro-3-thienyl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-10-7P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(4-hydroxyphenyl)sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(2-nitro-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-11-8P, (2S)-N-[(1S,2R)-3-[[[(4-Amino-3-hydroxyphenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-12-9P 854747-13-0P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(4-hydroxy-3-(methylamino)phenyl)sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-14-1P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[[(3-(dimethylamino)-4-hydroxyphenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-15-2P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[[(3-[[[(ethylamino)carbonyl]amino]-4-hydroxyphenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-16-3P, Methyl [2-hydroxy-5-[[[(2R,3S)-2-hydroxy-3-[[[(2S)-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanoyl]amino]-4-phenylbutyl](isobutyl)amino)sulfonyl]phenyl]carbamate 854747-18-5P, (2S)-N-[(1S,2R)-3-[[[(1-Acetyl-2,3-dihydro-1H-indol-5-yl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-19-6P, (2S)-N-[(1S,2R)-1-Benzyl-

3-[[(2-chloro-4-hydroxy-5-methylphenyl) sulfonyl] (isobutyl) amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-20-9P,
 (2S)-N-[(1S,2R)-3-[[(3-Acetyl-4-hydroxyphenyl) sulfonyl] (isobutyl) amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-21-0P, (2S)-N-[(1S,2R)-3-[[(2-Amino-1,3-thiazol-5-yl) sulfonyl] (isobutyl) amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-22-1P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[(4-hydroxy-3-methylphenyl) sulfonyl] (isobutyl) amino]propyl]-3-methyl-2-[2-oxo-3-[(3-quinolinyl)methyl]-1-imidazolidinyl]butanamide 854747-23-2P,
 (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[(4-hydroxy-3-methylphenyl) sulfonyl] (isobutyl) amino]propyl]-3-methyl-2-[3-[(5-nitro-3-thienyl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-25-4P, (2S)-N-[(1S,2R)-3-[[(3-Amino-4-chlorophenyl) sulfonyl] (isobutyl) amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[2-oxo-3-[(4-quinolinyl)methyl]-1-imidazolidinyl]butanamide 854747-26-5P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[(4-(2-hydroxyethyl)phenyl) sulfonyl] (isobutyl) amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-27-6P, (2S)-2-[3-[[2-(Acetylamino)-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-N-[(1S,2R)-3-[[(3-amino-4-chlorophenyl) sulfonyl] (isobutyl) amino]-1-benzyl-2-hydroxypropyl]-3-methylbutanamide 854747-28-7P,
 (2S)-N-[(1S,2R)-1-Benzyl-3-[[(3-cyano-4-hydroxyphenyl) sulfonyl] (isobutyl) amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-29-8P, (2S,3S)-N-[(1S,2R)-3-[[(3-Amino-4-chlorophenyl) sulfonyl] (isobutyl) amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(1-methyl-1H-benzimidazol-2-yl)methyl]-2-oxo-1-imidazolidinyl]pentanamide 854747-30-1P
 854747-31-2P, (2S,3S)-N-[(1S,2R)-3-[[(3-Amino-4-chlorophenyl) sulfonyl] (isobutyl) amino]-1-benzyl-2-hydroxypropyl]-2-[3-[(1H-benzimidazol-5-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylpentanamide 854747-32-3P, (2S,3S)-N-[(1S,2R)-3-[[(3-Amino-4-chlorophenyl) sulfonyl] (isobutyl) amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[2-oxo-3-[(2-quinolinyl)methyl]-1-imidazolidinyl]pentanamide 854747-33-4P, (2S)-N-[(1S,2R)-3-[[(3-Amino-4-chlorophenyl) sulfonyl] (isobutyl) amino]-1-benzyl-2-hydroxypropyl]-3,3-dimethyl-2-[2-oxo-3-[[2-(3-pyridinyl)-1,3-thiazol-4-yl)methyl]-1-imidazolidinyl]butanamide 854747-34-5P,
 (2S)-N-[(1S,2R)-3-[[(4-Aminophenyl) sulfonyl] (isobutyl) amino]-1-benzyl-2-hydroxypropyl]-3,3-dimethyl-2-[2-oxo-3-[[2-(3-pyridinyl)-1,3-thiazol-4-yl)methyl]-1-imidazolidinyl]butanamide 854747-35-6P, (2S)-N-[(1S,2R)-3-[[(3-Amino-4-chlorophenyl) sulfonyl] (isobutyl) amino]-1-benzyl-2-hydroxypropyl]-2-[3-[[2-(methoxymethyl)-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3,3-dimethylbutanamide 854747-36-7P,
 (2S,3S)-N-[(1S,2R)-3-[[(3-Amino-4-chlorophenyl) sulfonyl] (isobutyl) amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[[2-(2-methyl-1,3-thiazol-4-yl)-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]pentanamide 854747-37-8P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[(4-chlorophenyl) sulfonyl] (isobutyl) amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-38-9P,
 (2S)-N-[(1S,2R)-1-Benzyl-3-[[(4-fluorophenyl) sulfonyl] (isobutyl) amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-39-0P,
 (2S)-N-[(1S,2R)-1-Benzyl-3-[[(3,4-dibromophenyl) sulfonyl] (isobutyl) amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-40-3P,
 (2S)-N-[(1S,2R)-1-Benzyl-3-[[(1,2-dimethyl-1H-imidazol-4-yl) sulfonyl] (isobutyl) amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-41-4P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(1-

methyl-1H-imidazol-4-yl) sulfonyl] amino] propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-43-6P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[4-bromo-5-chloro-2-pyridinyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-46-9P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[3-fluorophenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-48-1P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[4-bromophenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-50-5P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[3-chloro-4-fluorophenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-52-7P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[3,4-dimethoxyphenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-54-9P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[3,4-dichlorophenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-57-2P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(2,4,6-trichlorophenyl)sulfonyl]amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-59-4P, (2S)-N-[(1S,2R)-1-Benzyl-3-[(2-cyanophenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-61-8P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[3-cyanophenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-63-0P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[2,5-dichloro-3-thienyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-65-2P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl(2-thienyl)sulfonyl]amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-67-4P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[2,4-dichlorophenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-69-6P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[2,3-dichlorophenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-70-9P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[3,5-dimethyl-4-isoxazolyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-72-1P 854747-73-2P, (2S)-N-[(1S,2R)-3-[[4-(Acetylamino)-3-chlorophenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-75-4P, 2-Hydroxy-5-[[[(2R,3S)-2-hydroxy-3-[(2S)-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanoyl]amino]-4-phenylbutyl](isobutyl)amino]sulfonyl]benzoic acid
 854747-77-6P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[3-fluoro-4-hydroxyphenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-79-8P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl(5-isoquinoliny]sulfonyl]amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-81-2P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(3,4,5-trimethoxyphenyl)sulfonyl]amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-83-4P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[3-chloro-4-methylphenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
 854747-85-6P,

(2S)-N-[(1S,2R)-1-Benzyl-3-[[[2-chloro-5-(trifluoromethyl)phenyl]sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-87-8P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[[2-chloro-4-(trifluoromethyl)phenyl]sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-90-3P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl(phenylsulfonyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-92-5P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[[5-bromo-2-methoxyphenyl]sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-94-7P 854747-97-0P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[[2,3-dihydrobenzo[b]furan-5-yl]sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854747-99-2P 854748-01-9P, (2S)-N-[(1S,2R)-3-[[[1,3-Benzodioxol-5-yl]sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854748-03-1P, (2S)-N-[(1S,2R)-3-[[[Benzol[b]furan-5-yl]sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854748-05-3P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl(3-pyridinylsulfonyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854748-07-5P, (2S)-N-[(1S,2R)-3-[[[2-(Acetyl amino)-4-methyl-1,3-thiazol-5-yl]sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854748-09-7P 854748-11-1P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[[5-[(Z)-[(benzyloxy)imino]methyl]-2-furyl]sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854748-13-3P, Methyl 3-[[[(2R,3S)-2-hydroxy-3-[(2S)-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanoyl]amino]-4-phenylbutyl](isobutyl)amino]sulfonyl]benzoate 854748-16-6P, (2S)-N-[(1S,2R)-3-[[[3-(Acetylphenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854748-18-8P 854748-22-4P, tert-Butyl [2-[2-hydroxy-5-[[[(2R,3S)-2-hydroxy-3-[(2S)-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanoyl]amino]-4-phenylbutyl](isobutyl)amino]sulfonyl]anilino]-2-oxoethyl]carbamate 854748-24-6P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[[3-(formylamino)-4-hydroxyphenyl]sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854748-26-8P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-hydroxy-3-[(phenylacetyl)amino]phenyl]sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854748-28-0P, tert-Butyl [3-[2-hydroxy-5-[[[(2R,3S)-2-hydroxy-3-[(2S)-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanoyl]amino]-4-phenylbutyl](isobutyl)amino]sulfonyl]anilino]-3-oxopropyl]carbamate 854748-31-5P 854748-33-7P 854748-60-0P 854748-62-2P 854748-66-6P 854748-73-5P 854748-88-2P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(4-methoxyphenyl)sulfonyl]amino]propyl]-2-[3-[2-(isobutylamino)-2-oxoethyl]-2,4-dioxo-1-imidazolidinyl]-3-methylbutanamide 854748-89-3P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[isobutyl[(4-methoxyphenyl)sulfonyl]amino]propyl]-3-methyl-2-[3-[2-(4-morpholinyl)-2-oxoethyl]-2,4-dioxo-1-imidazolidinyl]butanamide 854748-90-6P, (2S)-N-[(1S,2R)-3-[[[3-Amino-4-chlorophenyl]sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-2-[3-[2-(cyanobenzyl)-2,4-dioxo-1-imidazolidinyl]-3-methylbutanamide 854748-91-7P, (2S)-N-[(1S,2R)-3-[[[3-Amino-4-chlorophenyl]sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-3-

methyl-2-[3-[(1-naphthyl)methyl]-2,4-dioxo-1-imidazolidinyl]butanamide 854748-92-8P, (2S)-N-[(1S,2R)-3-[(3-Amino-4-chlorophenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-2-[3-(2-methoxy-5-nitrobenzyl)-2,4-dioxo-1-imidazolidinyl]-3-methylbutanamide 854748-95-1P, (2S)-N-[(1S,2R)-3-[(3-Amino-4-chlorophenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-2-[3-[3-(methoxymethyl)benzyl]-2,4-dioxo-1-imidazolidinyl]-3-methylbutanamide 854748-97-3P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(4-hydroxy-3-methylphenyl)sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(1-methyl-1H-benzimidazol-2-yl)methyl]-2,4-dioxo-1-imidazolidinyl]butanamide 854748-99-5P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(4-hydroxy-3-methylphenyl)sulfonyl](isobutyl)amino]propyl]-3-methyl-2-[3-[(6-nitro-1,3-benzodioxol-5-yl)methyl]-2,4-dioxo-1-imidazolidinyl]butanamide 854749-00-1P, (2S)-2-[3-(1,3-Benzodioxol-5-yl)methyl]-2,4-dioxo-1-imidazolidinyl]-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-methylphenyl)sulfonyl](isobutyl)amino]propyl]-3-methylbutanamide 854749-01-2P, (2S)-N-[(1S,2R)-3-[(3-Amino-4-chlorophenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]-2-[3-[3-(hydroxymethyl)benzyl]-2,4-dioxo-1-imidazolidinyl]-3-methylbutanamide 854749-03-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiviral agent; preparation of HIV protease inhibitors, in particular imidazolidine derivs.)

IT 3056-17-5, Stavudine 7481-89-2, Zalcitabine 25526-93-6, Alovudine 29321-75-3, PRO 2000 30516-87-1, Zidovudine 69655-05-6, Didanosine 127779-20-8, Saquinavir 129618-40-2, Nevirapine 134379-77-4, Reverset 134678-17-4, Lamivudine 136470-78-5, Abacavir 136817-59-9, Delavirdine 142632-32-4, Calanolide A 143491-54-7, Racivir 143491-57-0, Emtricitabine 145514-04-1, Amdoxovir 147127-20-6, Tenofovir 147318-81-8, KNI-272 149950-60-7, Emivirine 150378-17-9, Indinavir 154598-52-4, Efavirenz 155148-31-5, AMD 3100 155213-67-5, Ritonavir 159519-65-0, Enfuvirtide 159989-64-7, Nelfinavir 160707-69-7, SPD 754 161814-49-9, Amprenavir 170020-61-8, FP 21399 171345-51-0, Zintevir 174022-42-5, PA-457 174391-92-5, Mozenavir 174484-41-4, Tipranavir 178979-85-6, Capravirine 181785-84-2, Elvucitabine 186538-00-1, JE 2147 192725-17-0, Lopinavir 198904-31-3, Atazanavir 206361-99-1, TMC 114 206362-00-7, TMC 126 214287-88-4 214287-99-7, DPC 083 216863-66-0, L-756423 226700-79-4, Fosamprenavir 231957-54-3, MIV 150 251562-00-2, T-1249 269055-15-4, TMC-125 280571-30-4, S-1360 284661-68-3, DPC-681 284661-73-0, DPC-684 357263-13-9, BMS-806 370893-06-4, Schering C 376348-65-1, UK 427857 383198-58-1, PRO 542 394728-76-8, TMC 120 394730-30-4, SCH-D 410544-95-5, L-870810 410545-90-3, L-870812 461443-59-4, GW873140 674782-26-4, PRO 140

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy; preparation of HIV protease inhibitors, in particular imidazolidine derivs.)

IT 52350-85-3, Integrase

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors, combination therapy; preparation of HIV protease inhibitors, in particular imidazolidine derivs.)

IT 2913-97-5P, 2-(1,3-Dioxo-1,3-dihydro-2H-isoindol-2-yl)acetaldehyde 16133-25-8P, 3-Pyridinesulfonyl chloride 24535-98-6P, 2-Chloro-4-hydroxy-5-methylbenzenesulfonyl Chloride 27685-90-1P, 2-Oxo-2,3-dihydro-1,3-benzoxazole-6-sulfonyl Chloride 35338-02-4P, 3-Chloro-4-hydroxy-5-methylbenzenesulfonyl Chloride 69232-47-9P, (Acetyloxy)[4-(chlorosulfonyl)phenyl]methyl acetate 73956-15-7P 73956-16-8P, Ethyl 2-(diethoxymethyl)-1,3-thiazole-4-carboxylate 85642-13-3P, tert-Butyl ((1S)-2-amino-1-methyl-2-oxoethyl)carbamate 89226-13-1P, tert-Butyl (2-amino-2-

thioxoethyl)carbamate 108097-39-8P, 5-Chloro-4-hydroxy-2-methylbenzenesulfonyl Chloride 131148-62-4P, Ethyl 2-[(1S)-1-[(tert-butoxycarbonyl)amino]ethyl]-1,3-thiazole-4-carboxylate 135450-44-1P, 1-[6-(Chloromethyl)-2-pyridinyl]ethanone 141041-86-3P, tert-Butyl ((1S)-2-amino-1-methyl-2-thioxoethyl)carbamate 144163-81-5P, N-Methyl(2-methyl-1,3-thiazol-4-yl)methanamine 147682-51-7P, 4-Hydroxy-3-nitrobenzenesulfonyl Chloride 155269-58-2P 155269-59-3P, 6-[(Trityloxy)methyl]pyridine-2-carboxaldehyde 157567-12-9P, N-((2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl)-4-(benzyloxy)-N-isobutylbenzenesulfonamide 157567-13-0P, N-((2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl)-4-hydroxy-N-isobutylbenzenesulfonamide 159005-71-7P, N-((2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl)-N-isobutyl-4-methoxybenzenesulfonamide 159006-03-8P, tert-Butyl [(1S,2R)-1-benzyl-2-hydroxy-3-(isobutyl[(4-methoxyphenyl)sulfonyl]amino)propyl]carbamate 160232-08-6P, tert-Butyl [(1S,2R)-1-benzyl-2-hydroxy-3-(isobutylamino)propyl]carbamate 162537-10-2P, Methyl (2S)-3-methyl-2-[[[(4-nitrophenoxy)Carbonyl]amino]butanoate 163116-17-4P, tert-Butyl (2S,3S)-2-[(2-ethoxy-2-oxoethyl)amino]-3-methylpentanoate 165331-67-9P, (2R,3S)-3-Amino-1-azido-4-phenylbutan-2-ol 167011-40-7P, (2R,3S)-3-Amino-1-(isobutylamino)-4-phenyl-2-butanol 169280-56-2P, 4-Amino-N-((2R,3S)-3-amino-2-hydroxy-4-phenylbutyl)-N-isobutylbenzenesulfonamide 183004-94-6P, tert-Butyl [(1S,2R)-3-[[[(4-aminophenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropyl]carbamate 191226-98-9P, tert-Butyl [(1S,2R)-1-benzyl-2-hydroxy-3-[isobutyl[(4-nitrophenyl)sulfonyl]amino]propyl]carbamate 202817-20-7P, (2S)-3-Methyl-2-[[[methyl[(2-methyl-1,3-thiazol-4-yl)methyl]amino]carbonyl]amino]butanoic Acid 605653-52-9P, tert-Butyl [(1S,2R)-1-benzyl-3-[[[(4-formylphenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]carbamate 853893-93-3P, (2S)-3-Methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxoimidazolidin-1-yl]butanoic Acid 853893-94-4P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(isobutylamino)propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 853894-11-8P, tert-Butyl N-(2-hydroxyethyl)-N-[(2-methyl-1,3-thiazol-4-yl)methyl]carbamate 853894-12-9P, Methyl (2S)-3-methyl-2-[2-[[[(2-methyl-1,3-thiazol-4-yl)methyl]amino]ethyl]amino]butanoate 853894-13-0P, 2-[[[(2-Methyl-1,3-thiazol-4-yl)methyl]amino]ethanol 854739-72-3P, N-((2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl)-4-[(E)-(hydroxyimino)methyl]-N-isobutylbenzenesulfonamide 854739-73-4P, (2S,3S)-3-Methyl-2-[3-[(6-methyl-2-pyridinyl)methyl]-2-oxo-1-imidazolidinyl]pentanoic Acid 854739-74-5P, (2S)-3,3-Dimethyl-2-[3-[(1-methyl-1H-benzimidazol-2-yl)methyl]-2-oxoimidazolidin-1-yl]butanoic Acid 854739-75-6P, (2S)-2-[3-[[2-[(Dimethylamino)methyl]-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanoic Acid 854739-76-7P, (2S)-3-Methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-2,3-dihydro-1H-imidazol-1-yl]butanoic Acid 854741-04-1P, (2S)-2-[3-[(2-Ethyl-1,3-thiazol-4-yl)methyl]-2,4-dioxo-1-imidazolidinyl]-3-methylbutanoic Acid 854741-49-4P, Methyl (2S,3S)-3-methyl-2-[[[(4-nitrophenoxy)Carbonyl]amino]pentanoate 854743-38-7P, 3-Amino-N-((2R,3S)-3-amino-2-hydroxy-4-phenylbutyl)-4-chloro-N-isobutylbenzenesulfonamide 854743-39-8P, 3-Amino-N-((2R,3S)-3-amino-2-hydroxy-4-phenylbutyl)-4-hydroxy-N-isobutylbenzenesulfonamide 854743-40-1P, N-[5-[[[(2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl](isobutyl)amino]sulfonyl]-2-hydroxyphenyl]-1-methyl-1H-imidazole-4-sulfonamide 854743-41-2P, N-[5-[[[(2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl](isobutyl)amino]sulfonyl]-2-hydroxyphenyl]-3-pyridinesulfonamide 854743-42-3P, N-((2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl)-4-hydroxy-N-isobutyl-3-[(methylsulfonyl)amino]benzenesulfonamide 854743-43-4P, N-((2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl)-3,5-dichloro-4-hydroxy-N-isobutylbenzenesulfonamide 854743-44-5P, N-((2R,3S)-3-Amino-2-

hydroxy-4-phenylbutyl)-3,5-dichloro-2-hydroxy-N-isobutylbenzenesulfonamide 854743-45-6P, N-((2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl)-4-hydroxy-N-isobutyl-3-methylbenzenesulfonamide 854743-46-7P, N-((2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl)-5-fluoro-4-hydroxy-N-isobutyl-2-methylbenzenesulfonamide 854743-47-8P, N-((2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl)-5-chloro-4-hydroxy-N-isobutyl-2-methylbenzenesulfonamide 854743-48-9P, N-((2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl)-3-chloro-4-hydroxy-N-isobutyl-5-methylbenzenesulfonamide 854743-49-0P, N-((2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl)-2-chloro-4-hydroxy-N-isobutyl-5-methylbenzenesulfonamide 854743-50-3P, N-((2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl)-4-hydroxy-N-isobutyl-3-[[[methylamino)sulfonyl]amino]benzenesulfonamide 854743-57-0P, 4-Amino-N-((2R,3S)-3-amino-2-hydroxy-4-phenylbutyl)-3-hydroxy-N-isobutylbenzenesulfonamide 854745-35-0P, (Acetyloxy)[4-[[[(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl](isobutyl)amino)sulfonyl]phenyl]methyl Acetate 854745-36-1P, tert-Butyl (2S,3S)-2-[[2-(1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)ethyl]amino]-3-methylpentanoate 854745-37-2P, tert-Butyl (2S,3S)-2-[(2-aminoethyl)amino]-3-methylpentanoate 854745-38-3P, tert-Butyl (2S,3S)-3-methyl-2-[[2-[(6-methyl-2-pyridinyl)methyl]amino]ethyl]amino]pentanoate 854745-39-4P, tert-Butyl (2S,3S)-3-methyl-2-[3-[(6-methyl-2-pyridinyl)methyl]-2-oxo-1-imidazolidinyl]pentanoate 854745-40-7P, N-(2,2-Dimethoxyethyl)-N-[(1-methyl-1H-benzimidazol-2-yl)methyl]amine 854745-41-8P, 9H-Fluoren-9-ylmethyl N-(2,2-dimethoxyethyl)-N-[(1-methyl-1H-benzimidazol-2-yl)methyl]carbamate 854745-42-9P 854745-43-0P, Methyl (2S)-2-[[2-[[[9H-fluoren-9-ylmethoxy]Carbonyl][(1-methyl-1H-benzimidazol-2-yl)methyl]amino]ethyl]amino]-3,3-dimethylbutanoate 854745-44-1P, Methyl (2S)-3,3-dimethyl-2-[3-[(1-methyl-1H-benzimidazol-2-yl)methyl]-2-oxoimidazolidin-1-yl]butanoate 854745-45-2P, tert-Butyl (2S)-2-[3-[[2-[(dimethylamino)methyl]-1,3-thiazol-4-yl]methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanoate 854745-46-3P, N-(2,2-Diethoxyethyl)-N-[(2-methyl-1,3-thiazol-4-yl)methyl]amine 854745-47-4P, Methyl (2S)-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-2,3-dihydro-1H-imidazol-1-yl]butanoate 854745-48-5P, 2-(Diethoxymethyl)-1,3-thiazole-4-carboxaldehyde 854745-49-6P, tert-Butyl (2S)-2-[3-[[2-(diethoxymethyl)-1,3-thiazol-4-yl]methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanoate 854745-51-0P, tert-Butyl (2S)-2-[3-[[2-(formyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanoate 854745-52-1P, tert-Butyl (2S)-3-methyl-2-[3-[[2-[(methylamino)methyl]-1,3-thiazol-4-yl]methyl]-2-oxo-1-imidazolidinyl]butanoate 854745-53-2P, tert-Butyl (2S)-2-[3-[[2-[[[9H-fluoren-9-ylmethoxy]Carbonyl](methyl)amino]methyl]-1,3-thiazol-4-yl]methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanoate 854745-54-3P, 9H-Fluoren-9-ylmethyl N-[[4-[[3-[(1S)-1-[[[(1S,2R)-1-benzyl-2-hydroxy-3-[[[4-[(E)-(hydroxyimino)methyl]phenyl)sulfonyl](isobutyl)amino]propyl]amino]Carbonyl]-2-methylpropyl]-2-oxo-1-imidazolidinyl]methyl]-1,3-thiazol-2-yl]methyl](methyl)Carbamate 854745-55-4P, (2S)-N-((1S,2R)-3-Azido-1-benzyl-2-hydroxypropyl)-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxoimidazolidin-1-yl]butanamide 854745-56-5P, (2S)-N-((1S,2R)-3-Amino-1-benzyl-2-hydroxypropyl)-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxoimidazolidin-1-yl]butanamide 854745-57-6P 854745-58-7P 854745-59-8P, tert-Butyl (2S,3S)-2-[3-[[2-(diethoxymethyl)-1,3-thiazol-4-yl]methyl]-2-oxo-1-imidazolidinyl]-3-methylpentanoate 854745-60-1P, tert-Butyl (2S,3S)-2-[3-[(2-formyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]-3-methylpentanoate 854745-61-2P, tert-Butyl (2S,3S)-2-[3-[[2-(hydroxymethyl)-1,3-thiazol-4-yl]methyl]-2-oxo-1-imidazolidinyl]-3-methylpentanoate 854745-62-3P, tert-Butyl (2S,3S)-3-methyl-2-[3-[[2-[[[methylsulfonyl]oxy]methyl]-1,3-thiazol-4-yl]methyl]-2-oxo-1-imidazolidinyl]pentanoate 854745-63-4P, tert-Butyl

(2S,3S)-2-[3-[[2-(azidomethyl)-1,3-thiazol-4-yl]methyl]-2-oxo-1-imidazolidinyl]-3-methylpentanoate 854745-64-5P,
 (2S,3S)-2-[3-[[2-[[[(9H-Fluoren-9-ylmethoxy)Carbonyl]amino]methyl]-1,3-thiazol-4-yl]methyl]-2-oxo-1-imidazolidinyl]-3-methylpentanoic Acid 854745-65-6P 854745-66-7P 854745-67-8P, tert-Butyl
 (2S,3S)-2-[3-[[2-[(isopropylamino)methyl]-1,3-thiazol-4-yl]methyl]-2-oxo-1-imidazolidinyl]-3-methylpentanoate 854745-68-9P,
 (2S,3S)-2-[3-[[2-[[[(9H-Fluoren-9-ylmethoxy)Carbonyl](isopropyl)amino]methyl]-1,3-thiazol-4-yl]methyl]-2-oxo-1-imidazolidinyl]-3-methylpentanoic Acid 854745-69-0P 854745-70-3P, tert-Butyl
 (2S,3S)-3-methyl-2-[2-oxo-3-[[6-[(trityloxy)methyl]pyridin-2-yl]methyl]imidazolidin-1-yl]pentanoate 854745-71-4P,
 (2S,3S)-3-Methyl-2-[2-oxo-3-[[6-[(trityloxy)methyl]pyridin-2-yl]methyl]imidazolidin-1-yl]pentanoic Acid 854745-72-5P
 854745-73-6P, (2S,3S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[4-[(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-2-[3-[[6-(hydroxymethyl)pyridin-2-yl]methyl]-2-oxoimidazolidin-1-yl]-3-methylpentanamide 854745-74-7P, (2S)-2-[3-[(6-Acetyl-2-pyridinyl)methyl]-2-oxo-1-imidazolidinyl]-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[[[4-[(E)-(hydroxyimino)methyl]phenyl]sulfonyl](isobutyl)amino]propyl]-3,3-dimethylbutanamide 854745-75-8P, tert-Butyl
 (2S,3S)-2-[(aminocarbonyl)(2-ethoxy-2-oxoethyl)amino]-3-methylpentanoate 854745-76-9P, tert-Butyl (2S,3S)-2-(2,4-dioxo-1-imidazolidinyl)-3-methylpentanoate 854745-77-0P, tert-Butyl
 (2S,3S)-3-methyl-2-[3-[(6-methyl-2-pyridinyl)methyl]-2,4-dioxo-1-imidazolidinyl]pentanoate 854745-79-2P 854745-80-5P,
 tert-Butyl (2S)-2-[(2-ethoxy-2-oxoethyl)amino]-3-methylbutanoate 854745-81-6P, tert-Butyl (2S)-2-(2,4-dioxo-1-imidazolidinyl)-3-methylbutanoate 854745-82-7P, tert-Butyl (2S)-2-[3-[(2-ethyl-1,3-thiazol-4-yl)methyl]-2,4-dioxo-1-imidazolidinyl]-3-methylbutanoate 854745-83-8P, tert-Butyl (2S)-2-[3-[[2-(diethoxymethyl)-1,3-thiazol-4-yl]methyl]-2,4-dioxo-1-imidazolidinyl]-3-methylbutanoate 854745-84-9P, tert-Butyl (2S)-2-[3-[(2-formyl-1,3-thiazol-4-yl)methyl]-2,4-dioxo-1-imidazolidinyl]-3-methylbutanoate 854745-85-0P, tert-Butyl (2S)-2-[3-[[2-[(dimethylamino)methyl]-1,3-thiazol-4-yl]methyl]-2,4-dioxo-1-imidazolidinyl]-3-methylbutanoate 854745-87-2P 854745-88-3P 854745-89-4P, Methyl
 (2S,3S)-2-[[[2-[[[(tert-butoxycarbonyl)amino]methyl]-1,3-thiazol-4-yl]methyl](methyl)amino]carbonyl]amino]-3-methylpentanoate 854745-90-7P, (2S,3S)-2-[[[2-[[[(tert-butoxycarbonyl)amino]methyl]-1,3-thiazol-4-yl]methyl](methyl)amino]carbonyl]amino]-3-methylpentanoic Acid 854745-91-8P 854745-92-9P, tert-Butyl [(1S)-1-[4-[(methylamino)methyl]-1,3-thiazol-2-yl]ethyl]carbamate 854745-93-0P, Methyl (2S,3S)-2-[[[2-[(1S)-1-[(tert-butoxycarbonyl)amino]ethyl]-1,3-thiazol-4-yl]methyl](methyl)amino]carbonyl]amino]-3-methylpentanoate 854745-94-1P 854745-95-2P, 1-[6-[(Methylamino)methyl]-2-pyridinyl]ethanone 854745-96-3P, tert-Butyl (2S,3S)-2-[[[6-acetyl-2-pyridinyl)methyl](methyl)amino]carbonyl]amino]-3-methylpentanoate 854745-97-4P, (2S,3S)-2-[[[6-Acetyl-2-pyridinyl)methyl](methyl)amino]carbonyl]amino]-3-methylpentanoic Acid 854745-98-5P 854745-99-6P, Hexahydrofuro[2,3-b]furan-3-yl 4-nitrophenyl carbonate 854746-00-2P, Methyl
 (2S)-2-[(chloroacetyl)amino]-3,3-dimethylbutanoate 854746-01-3P, Methyl (2S)-2-[2-[[[(3-fluorobenzyl)amino]ethanoyl]amino]-3,3-dimethylbutanoate 854746-02-4P 854746-03-5P 854746-04-6P 854746-05-7P 854746-06-8P 854746-07-9P 854746-08-0P, tert-Butyl (2S)-2-[3-[[2-(hydroxymethyl)-1,3-thiazol-4-yl]methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanoate 854746-09-1P, tert-Butyl (2S)-3-methyl-2-[3-[[2-[(methylsulfonyl)oxy]methyl]-1,3-thiazol-4-yl]methyl]-2-oxo-1-imidazolidinyl]butanoate 854746-10-4P, (2S)-2-[3-[[2-(Azidomethyl)-1,3-thiazol-4-yl]methyl]-2-oxo-1-imidazolidinyl]-3-methylbutanoic Acid 854746-12-6P, tert-Butyl (2S)-3-methyl-2-[3-[[2-[(methylsulfanyl)methyl]-1,3-thiazol-4-yl]methyl]-2-oxo-1-imidazolidinyl]butanoate 854746-13-7P, 9H-Fluoren-9-ylmethyl N-[[4-[[3-[(1S)-1-[[[(1S,2R)-1-

benzyl-2-hydroxy-3-[isobutyl[(4-methoxyphenyl)sulfonyl]amino]propyl]amino]carbonyl]-2-methylpropyl]-2-oxo-1-imidazolidinyl)methyl]-1,3-thiazol-2-yl)methyl](methyl)Carbamate 854746-14-8P, 9H-Fluoren-9-ylmethyl [4-[[3-[(1S,2S)-1-[[[(1S,2R)-1-benzyl-3-[(cyclopentylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxypropyl]amino]carbonyl]-2-methylbutyl]-2-oxo-1-imidazolidinyl)methyl]-1,3-thiazol-2-yl](methyl)carbamate 854746-15-9P, Benzyl [(1S)-1-[[[(1S,2R)-1-benzyl-2-hydroxy-3-[isobutyl[(4-methoxyphenyl)sulfonyl]amino]propyl]amino]carbonyl]-3-hydroxypropyl]carbamate 854746-16-0P, 9H-Fluoren-9-ylmethyl N-[2-[[[(1S)-1-[[[(1S,2R)-1-benzyl-2-hydroxy-3-[isobutyl[(4-methoxyphenyl)sulfonyl]amino]propyl]amino]carbonyl]-3-hydroxypropyl]amino]ethyl]][(1-methyl-1H-benzimidazol-2-yl)methyl]carbamate 854746-17-1P, [3-[(1S)-1-[[[(1S,2R)-1-benzyl-2-hydroxy-3-[isobutyl[(4-methoxyphenyl)sulfonyl]amino]propyl]amino]carbonyl]-2-methylpropyl]-2,5-dioxo-1-imidazolidinyl]acetic acid 854746-18-2P 854746-19-3P, tert-Butyl [(1S,2R)-1-benzyl-3-[[4-chloro-3-nitrophenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]carbamate 854746-20-6P, 4-(Benzyloxy)-3-nitrobenzenesulfonyl Chloride 854746-21-7P, tert-Butyl [(1S,2R)-1-benzyl-3-[[4-(benzyloxy)-3-nitrophenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]carbamate 854746-22-8P 854746-23-9P 854746-24-0P 854746-25-1P, tert-Butyl [(1S,2R)-1-benzyl-2-hydroxy-3-[[[4-hydroxy-3-[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino]phenyl)sulfonyl](isobutyl)amino]propyl]carbamate 854746-26-2P 854746-27-3P, tert-Butyl [(1S,2R)-1-benzyl-2-hydroxy-3-[[[4-hydroxy-3-[(3-pyridinyl)sulfonyl]amino]phenyl)sulfonyl](isobutyl)amino]propyl]carbamate 854746-28-4P 854746-29-5P, tert-Butyl [(1S,2R)-1-benzyl-2-hydroxy-3-[[[4-hydroxy-3-[(methylsulfonyl)amino]phenyl)sulfonyl](isobutyl)amino]propyl]carbamate 854746-30-8P, 4-(Benzyloxy)-3-methylbenzenesulfonyl Chloride 854746-31-9P 854746-32-0P, tert-Butyl [(1S,2R)-1-benzyl-3-[[[4-(benzyloxy)-3-methylphenyl)sulfonyl](isobutyl)amino]-2-hydroxypropyl]carbamate 854746-33-1P, 5-Fluoro-4-hydroxy-2-methylbenzenesulfonyl Chloride 854746-34-2P, tert-Butyl [(1S,2R)-1-benzyl-2-hydroxy-3-[[4-hydroxy-3-nitrophenyl)sulfonyl](isobutyl)amino]propyl]carbamate 854746-35-3P 854746-36-4P, tert-Butyl [(1S,2R)-3-[[[3-amino-4-[[2-(trimethylsilyl)ethoxy]methoxy]phenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-[[2-(trimethylsilyl)ethoxy]methoxy]propyl]carbamate 854746-37-5P, tert-Butyl [(1S,2R)-1-benzyl-3-[N-isobutyl[[3-[[[2-(trimethylsilyl)ethoxy]methoxy]phenyl)sulfonyl]amino]-4-[[2-(trimethylsilyl)ethoxy]methoxy]propyl]carbamate 854746-38-6P, tert-Butyl [(1S,2R)-1-benzyl-3-[[[3-[[[2-(trimethylsilyl)ethoxy]methoxy]phenyl)sulfonyl]amino]-4-[[2-(trimethylsilyl)ethoxy]methoxy]phenyl)sulfonyl](isobutyl)amino]-2-[[2-(trimethylsilyl)ethoxy]methoxy]propyl]carbamate 854746-39-7P 854746-40-0P, tert-Butyl [(1S,2R)-1-benzyl-3-[[[3-(dimethylamino)-4-[[2-(trimethylsilyl)ethoxy]methoxy]phenyl)sulfonyl](isobutyl)amino]-2-[[2-(trimethylsilyl)ethoxy]methoxy]propyl]carbamate 854746-41-1P, tert-Butyl [(1S,2R)-1-benzyl-3-[[[3-[[[2-(trimethylsilyl)ethoxy]methoxy]phenyl)sulfonyl]amino]-4-[[2-(trimethylsilyl)ethoxy]methoxy]propyl]carbamate 854746-42-2P, tert-Butyl [(1S,2R)-1-benzyl-3-[N-isobutyl[[3-[[[2-(trimethylsilyl)ethoxy]methoxy]phenyl)sulfonyl]amino]-4-[[2-(trimethylsilyl)ethoxy]methoxy]propyl]carbamate 854746-43-3P, Benzyl [5-[[[(2R,3S)-3-[(tert-butoxycarbonyl)amino]-4-phenyl-2-[[2-(trimethylsilyl)ethoxy]methoxy]butyl](isobutyl)amino]sulfonyl]-2-[[2-(trimethylsilyl)ethoxy]methoxy]phenyl]carbamate 854746-44-4P, tert-Butyl [(1S,2R)-1-benzyl-2-hydroxy-3-[isobutyl[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)sulfonyl]amino]propyl]carbamate 854746-45-5P, tert-Butyl [(1S,2R)-1-benzyl-2-hydroxy-3-[[[4-(2-hydroxyethyl)phenyl)sulfonyl](isobutyl)amino]propyl]carbamate 854746-47-7P, (2S)-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[[[4-hydroxy-3-

nitrophenyl)sulfonyl] (isobutyl)amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854746-48-8P, (2S)-N-[(1S,2R)-3-[(3-Amino-4-hydroxyphenyl)sulfonyl] (isobutyl)amino]-1-benzyl-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854746-49-9P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(isobutyl[(3-nitrophenyl)sulfonyl]amino]propyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide 854746-50-2P 854746-52-4P, (2S,3S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(isobutylamino)propyl]-3-methyl-2-[2-oxo-3-(3-pyridinylmethyl)-1-imidazolidinyl]pentanamide 854746-53-5P 854760-88-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(intermediate; preparation of HIV protease inhibitors, in particular imidazolidine derivs.)

IT 59-49-4, 2(3H)-Benzoxazolone 70-23-5, Ethyl bromopyruvate 78-81-9, Isobutylamine 88-75-5, 2-Nitrophenol 98-74-8, p-Nitrobenzenesulfonyl chloride 100-82-3, 3-Fluorobenzylamine 105-36-2, Ethyl bromoacetate 109-90-0, Ethyl isocyanate 121-51-7, 3-Nitrobenzenesulfonyl chloride 456-48-4, 3-Fluorobenzaldehyde 501-53-1, Benzyl chloroformate 615-74-7, 2-Chloro-5-methylphenol 636-73-7, 3-Pyridinesulfonic acid 645-36-3, Aminoacetaldehyde diethyl acetal 1122-71-0, 6-Methyl-2-pyridinemethanol 1122-72-1, 6-Methyl-2-pyridinecarboxaldehyde 1195-59-1, 2,6-Pyridinedimethanol 2258-42-6, Formic acetic anhydride 2633-67-2, 4-Vinylbenzenesulfonyl chloride 3012-80-4, 1-Methyl-2-formylbenzimidazole 3392-07-2 4070-48-8, (L)-Methyl valinate 4530-20-5, Boc-glycine 4533-96-4 4766-51-2 5306-98-9, 3-Chloro-6-methylphenol 6313-34-4 7134-04-5, O-Cresol-4-sulfonic acid 7693-46-1, 4-Nitrophenyl chloroformate 7764-95-6, Boc-(D)-alanine 10130-74-2, 3-Methoxybenzenesulfonyl chloride 13432-81-0, 3,5-Dichloro-4-hydroxybenzenesulfonyl chloride 13518-40-6 15761-38-3, Boc-L-alanine 18598-74-8, (L)-Methyl iso-leucinate hydrochloride 23378-88-3, 3,5-Dichloro-6-hydroxybenzenesulfonyl chloride 28920-43-6, 9H-Fluoren-9-ylmethyl chloroformate 30605-38-0, Dichloroacetone 32703-87-0 35677-89-5 39238-07-8, 4-Chloromethyl-2-methylthiazole 40516-60-7, 4-Chloromethyl-2-ethylthiazole 41057-04-9, 2-Nitrothiophene-3-carboxaldehyde 49584-26-1, p-Cyanobenzenesulfonyl chloride 53481-49-5 61189-99-9 62965-35-9 63038-27-7, (L)-tert-Leucine methyl ester hydrochloride 63762-79-8, 2-Fluoro-5-methylphenol 69320-89-4 69610-41-9, N-tert-Butoxycarbonyl-(L)-prolinal 76513-69-4, [2-(Trimethylsilyl)ethoxy]methyl chloride 85822-16-8, 4-Formylbenzenesulfonyl chloride 87001-32-9 98737-29-2 137049-00-4, 1-Methylimidazole-4-sulfonyl chloride 854745-50-9 854746-51-3 854760-90-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of HIV protease inhibitors, in particular imidazolidine derivs.)

IT 854743-51-4P, Ethyl [5-[[[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl] (isobutyl)amino]sulfonyl]-2-hydroxyphenyl]carbamate 854743-52-5P, N-[(2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl]-4-hydroxy-N-isobutyl-3-(methylamino)benzenesulfonamide 854743-53-6P, N-[(2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl]-3-(dimethylamino)-4-hydroxy-N-isobutylbenzenesulfonamide 854743-54-7P, N-[(2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl]-3-[[[(ethylamino)Carbonyl]amino]-4-hydroxy-N-isobutylbenzenesulfonamide 854743-55-8P, Methyl [5-[[[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl] (isobutyl)amino]sulfonyl]-2-hydroxyphenyl]carbamate 854743-56-9P, Benzyl [5-[[[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl] (isobutyl)amino]sulfonyl]-2-hydroxyphenyl]carbamate 854743-58-1P, N-[(2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl]-4-(2-hydroxyethyl)-N-isobutylbenzenesulfonamide 854743-59-2P, N-[(2R,3S)-3-Amino-2-

10/809,250

hydroxy-4-phenylbutyl)-N-isobutyl-4-[(methylsulfonyl)amino]benzene sulfonamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(preparation of HIV protease inhibitors, in particular imidazolidine derivs.)

IT 854746-11-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(intermediate; preparation of HIV protease inhibitors, in particular imidazolidine derivs.)

L82 ANSWER 5 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:527398 HCAPLUS Full-text

DOCUMENT NUMBER: 143:78485

TITLE: Preparation of amino acid derivatives as HIV protease inhibitors

INVENTOR(S): Degoe, David A.; Flentge, Charles A.; Flosi, William J.; Grampovnik, David J.; Kempf, Dale J.; Klein, Larry L.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 204 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005131017	A1	20050616	US 2003-733946	2003 1211
CA 2549098	AA	20050630	CA 2004-2549098	2004 1209
WO 2005058841	A2	20050630	WO 2004-US41658	2004 1209
WO 2005058841	A3	20060309		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1697344	A2	20060906	EP 2004-813910	2004 1209
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU			
PRIORITY APPLN. INFO.:			US 2003-733946	A 2003 1211
			WO 2004-US41658	W

OTHER SOURCE(S): MARPAT 143:78485

AB The invention relates to amino acid derivs. A- NHCHR6CHR5CHR4CHR3NHCOCHR2NHCO2R1 [A is an amino acid or acyl residue of defined structure; R1, R2, R3, R6 are independently (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl or heteroaryl; R4, R5 are H (not both), OH or substituted hydroxyl], including pharmaceutically-acceptable salts, stereoisomers, esters or prodrugs, having HIV protease inhibitory activity. Thus, Me (1S,4R,6S,7S,10S)-7-benzyl- 1,10-di-tert-butyl-6-hydroxy-2,9,12-trioxo-4-[4-(2- pyridinyl)benzyl]-13-oxa-3,8,11-triazatetradec-1-ylcarbamate was prepared by a multistep procedure, which includes the reaction of intermediate tert-Bu (1S,2S,4R)-4-amino-1-benzyl-2-hydroxy-5-[4-(2-pyridinyl)phenyl]pentylcarbamate with N-protected L-tert-leucine. Compds. of the invention showed EC50 values 0.7-300 nM against wild-type HIV.

IT 25526-93-6, Alovudine 92562-88-4, MIV-210

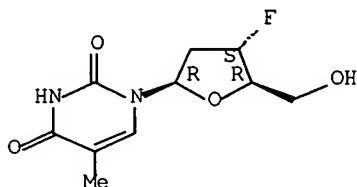
129618-40-2, Nevirapine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of amino acid derivs. as HIV protease inhibitors)

RN 25526-93-6 HCAPLUS

CN Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)

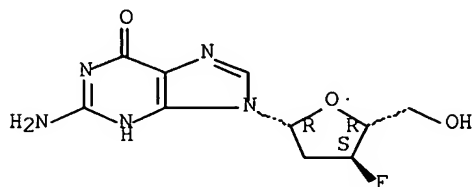
Absolute stereochemistry.



RN 92562-88-4 HCAPLUS

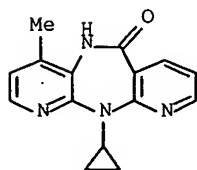
CN Guanosine, 2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



IC ICM A61K031-4709
ICS A61K031-4439; A61K031-427; C07D043-02; C07D417-02
INCL 514312000; 514341000; 546272700; 546153000; 514365000
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7, 63
ST amino acid peptide isostere prepn inhibitor HIV protease
IT Antiviral agents
Human
Human immunodeficiency virus
(preparation of amino acid derivs. as HIV protease inhibitors)

IT Amino acids, preparation
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of amino acid derivs. as HIV protease inhibitors)

IT Peptides, preparation
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(pseudopeptides; preparation of amino acid derivs. as HIV protease inhibitors)

IT 144114-21-6, Hiv protease
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of amino acid derivs. as HIV protease inhibitors)

IT 854754-19-1P 854755-08-1P 854755-09-2P 854755-10-5P
854755-12-7P 854755-25-2P 854755-36-5P 854755-37-6P
854755-46-7P 854755-47-8P 854755-48-9P 854755-49-0P
854755-50-3P 854755-84-3P 854756-41-5P 854756-43-7P
854757-60-1P 854757-85-0P 854758-79-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of amino acid derivs. as HIV protease inhibitors)

IT 192725-55-6P 854754-01-1P 854754-05-5P 854754-12-4P
854754-23-7P 854754-28-2P 854754-31-7P 854754-34-0P
854754-36-2P 854754-42-0P 854754-48-6P 854754-49-7P
854754-53-3P 854754-60-2P 854754-64-6P 854754-65-7P
854754-71-5P 854754-72-6P 854754-76-0P 854754-79-3P
854754-80-6P 854754-82-8P 854755-01-4P 854755-03-6P
854755-04-7P 854755-06-9P 854755-14-9P 854755-21-8P
854755-26-3P 854755-30-9P 854755-31-0P 854755-35-4P
854755-38-7P 854755-45-6P 854755-51-4P 854755-54-7P
854755-57-0P 854755-61-6P 854755-65-0P 854755-69-4P
854755-72-9P 854755-73-0P 854755-74-1P 854755-75-2P
854755-76-3P 854755-77-4P 854755-81-0P 854755-82-1P
854755-86-5P 854755-91-2P 854755-99-0P 854756-01-7P
854756-05-1P 854756-09-5P 854756-11-9P 854756-21-1P
854756-33-5P 854756-35-7P 854756-37-9P 854756-39-1P
854756-45-9P 854756-56-2P 854756-58-4P 854756-63-1P
854756-68-6P 854756-70-0P 854756-75-5P 854756-80-2P
854756-88-0P 854756-94-8P 854757-02-1P 854757-04-3P
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854757-56-5P 854757-62-3P 854757-68-9P 854757-70-3P
854757-72-5P 854757-76-9P 854757-82-7P 854757-87-2P
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854758-61-5P 854758-64-8P 854758-67-1P 854758-71-7P
854758-78-4P 854758-85-3P 854758-86-4P 854758-90-0P

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854759-07-2P	854759-08-3P	854759-09-4P	854759-10-7P
854759-11-8P	854759-12-9P	854759-13-0P	854759-14-1P
854759-15-2P	854759-16-3P	854759-17-4P	854759-18-5P
854759-19-6P	854759-20-9P	854759-21-0P	854759-22-1P
854759-23-2P	854759-24-3P	854759-25-4P	854759-26-5P
854759-27-6P	854759-28-7P	854759-29-8P	854759-30-1P
854759-33-4P	854759-35-6P	854759-38-9P	854759-40-3P
854759-42-5P	854759-46-9P	854759-47-0P	854759-48-1P
854759-49-2P	854759-51-6P	854759-52-7P	854759-53-8P
854759-54-9P	854759-55-0P	854759-56-1P	854759-57-2P
854759-58-3P	854759-59-4P	854759-60-7P	854759-61-8P
854759-62-9P	854759-63-0P	854759-64-1P	854759-65-2P
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854760-44-4P	854760-45-5P	854760-46-6P	854760-47-7P
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854760-52-4P	854760-53-5P	854760-54-6P	854760-55-7P
854760-56-8P	854760-57-9P	854760-61-5P	854760-65-9P
854760-66-0P	854760-67-1P		

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of amino acid derivs. as HIV protease
 inhibitors)

IT	854760-68-2P	854760-69-3P	854760-70-6P	854760-71-7P
	854760-72-8P	854760-73-9P	854760-74-0P	854760-75-1P
	854760-76-2P	854760-77-3P	854760-78-4P	854760-79-5P
	854892-38-9P	854892-41-4P	854892-42-5P	854892-43-6P
	854892-44-7P	854892-45-8P	854892-46-9P	854892-47-0P
	854892-48-1P	854892-49-2P	854892-50-5P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of amino acid derivs. as HIV protease
 inhibitors)

IT	60-18-4, L-Tyrosine, reactions	62-55-5, Thioacetamide	70-23-5,
	Ethyl bromopyruvate	73-32-5, L-Isoleucine, reactions	98-80-6,
	Phenylboronic acid	99-61-6, 3-Nitrobenzaldehyde	100-52-7,
	Benzaldehyde, reactions	105-36-2, Ethyl bromoacetate	105-53-3,
	Diethyl malonate	135-02-4, o Anisaldehyde	274-47-5,
	Imidazo[1,5-a]pyridine	500-22-1, 3-Pyridinecarboxaldehyde	
	529-20-4, o Tolualdehyde	534-07-6, 1 3 Dichloroacetone	
	552-89-6, 2-Nitrobenzaldehyde	563-83-7, Isobutyramide	
	589-15-1, 4-Bromobenzyl bromide	591-31-1, m Anisaldehyde	
	620-23-5, m Tolualdehyde	630-19-3, Trimethylacetaldehyde	
	701-99-5, Phenoxyacetyl chloride	1113-41-3, L-Penicillamine	
	1121-60-4, 2-Pyridinecarboxaldehyde	1122-71-0, 6-Methyl	
	2-pyridinemethanol	1122-72-1, 6-Methyl 2 pyridinecarboxaldehyde	
	1189-71-5, Chlorosulfonyl isocyanate	1452-77-3,	
	2-Pyridinecarboxamide	1589-82-8, Benzylmagnesium bromide	
	1730-25-2, Allylmagnesium bromide	3012-80-4, 1 Methyl 2	
	formylbenzimidazole	3430-13-5, 5-Bromo-2-methylpyridine	
	3510-66-5, 2-Bromo-5-methylpyridine	4363-93-3,	
	4-Quinolinecarboxaldehyde	4530-20-5	4621-66-3,

Thionicotinamide 4926-28-7, 2-Bromo 4 methylpyridine
 5315-25-3, 2-Bromo-6-methylpyridine 5453-67-8, Dimethyl 2
 6-pyridinedicarboxylate 5470-70-2, Methyl 6-methylnicotinate
 6436-59-5 13335-71-2, 2,6-Dimethylphenoxyacetic acid
 17997-47-6, 2 Tributylstannylpyridine 20859-02-3, L-tert Leucine
 24015-97-2 24250-84-8, 4-Bromo L-phenylalanine 32939-32-5
 37595-74-7, n-Phenyltrifluoromethanesulfonimide 40473-07-2,
 2-Bromo 6 methoxypyridine 52199-24-3 65719-09-7, Methyl
 2-methylnicotinate 69320-89-4 78795-02-5 78902-09-7,
 Phthalimidoacetaldehyde diethyl acetal 98760-08-8 119483-45-3
 162119-33-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of amino acid derivs. as HIV protease
 inhibitors)

IT 2913-97-5P 5346-38-3P, 2-Pyridinecarbothioamide 13515-65-6P
 15536-75-1P 19550-89-1P 20949-84-2P 39067-28-2P
 39238-07-8P 39977-44-1P 53014-84-9P 56671-66-0P,
 Imidazo[1,5-a]pyridine-3-carboxaldehyde 60032-57-7P
 69950-65-8P 74761-39-0P 133047-44-6P 133047-45-7P
 133047-46-8P 138745-99-0P 144163-81-5P 144163-85-9P
 144163-88-2P 161772-80-1P 162537-11-3P 163116-17-4P
 164014-94-2P 167556-64-1P 169870-02-4P 173838-60-3P
 177748-39-9P 184679-13-8P 189195-41-3P 191481-72-8P
 259807-95-9P 266306-18-7P 301652-23-3P 338462-91-2P
 760938-66-7P 854739-74-5P 854741-49-4P 854745-36-1P
 854745-37-2P 854745-39-4P 854745-40-7P 854745-41-8P
 854745-42-9P 854745-75-8P 854745-76-9P 854745-77-0P
 854745-79-2P 854753-93-8P 854753-94-9P 854753-96-1P
 854753-97-2P 854753-98-3P 854753-99-4P 854754-00-0P
 854754-02-2P 854754-03-3P 854754-04-4P 854754-06-6P
 854754-07-7P 854754-08-8P 854754-09-9P 854754-11-3P
 854754-14-6P 854754-15-7P 854754-16-8P 854754-17-9P
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 854754-26-0P 854754-27-1P 854754-29-3P 854754-30-6P
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 854757-74-7P 854757-78-1P 854757-80-5P 854757-90-7P

854757-91-8P	854757-93-0P	854757-94-1P	854757-95-2P
854757-97-4P	854757-99-6P	854758-02-4P	854758-09-1P
854758-11-5P	854758-15-9P	854758-20-6P	854758-22-8P
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854758-27-3P	854758-28-4P	854758-30-8P	854758-31-9P
854758-33-1P	854758-34-2P	854758-35-3P	854758-36-4P
854758-37-5P	854758-38-6P	854758-40-0P	854758-42-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid derivs. as HIV protease inhibitors)

IT	854758-43-3P	854758-44-4P	854758-45-5P	854758-47-7P
	854758-50-2P	854758-51-3P	854758-52-4P	854758-53-5P
	854758-55-7P	854758-56-8P	854758-59-1P	854758-60-4P
	854758-62-6P	854758-63-7P	854758-65-9P	854758-66-0P
	854758-68-2P	854758-69-3P	854758-70-6P	854758-72-8P
	854758-73-9P	854758-74-0P	854758-75-1P	854758-76-2P
	854758-77-3P	854758-80-8P	854758-81-9P	854758-82-0P
	854758-83-1P	854758-84-2P	854758-87-5P	854758-88-6P
	854758-91-1P	854758-92-2P	854758-94-4P	854758-95-5P
	854760-81-9P	854760-84-2P	854760-85-3P	854892-39-0P
	854892-40-3P			

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid derivs. as HIV protease inhibitors)

IT 3056-17-5, Stavudine 7481-89-2, Zalcitabine **25526-93-6**, Alovudine 29321-75-3, PRO 2000 30516-87-1, Zidovudine 69655-05-6, Didanosine **92562-88-4**, MIV-210 127779-20-8, Saquinavir **129618-40-2**, Nevirapine 134379-77-4, D-D4FC 134678-17-4, Lamivudine 136470-78-5, Abacavir 136817-59-9, Delavirdine 142632-32-4, Calanolide A 143491-54-7, Racivir 143491-57-0, Emtricitabine 145514-04-1, Amdoxovir 147127-20-6, Tenofovir 147318-81-8, KNI-272 149950-60-7, Emivirine 150378-17-9, Indinavir 154598-52-4, Efavirenz 155148-31-5, AMD-3100 155213-67-5, Ritonavir 159519-65-0, Enfuvirtide 159989-64-7, Nelfinavir 160707-69-7, SPD754 161814-49-9, Amprenavir 170020-61-8, FP21399 171345-51-0, Zintevir 174022-42-5, PA-457 174391-92-5, Mozenavir 174484-41-4, Tipranavir 178979-85-6, Capravirine 181785-84-2, Elvucitabine 186538-00-1, JE-2147 192725-17-0, Lopinavir 198904-31-3, Atazanavir 206361-99-1, TMC-114 206362-00-7, TMC-126 214287-88-4, DPC-961 214287-99-7, Dpc 083 216863-66-0, L-756423 226700-79-4, Fosamprenavir 231957-54-3, MIV-150 251562-00-2, T-1249 269055-15-4, TMC-125 280571-30-4, S-1360 284661-68-3, DPC-681 284661-73-0, DPC-684 357263-13-9, BMS-806 370893-06-4, Schering C 376348-65-1, UK-427857 383198-58-1, PRO 542 394728-76-8, TMC 120 394730-30-4, SCH-D 410544-95-5, L-870810 410545-90-3, L-870812 461443-59-4, GW873140 674782-26-4, PRO 140 854908-06-8, GW 5634

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of amino acid derivs. as HIV protease inhibitors)

IT 38870-89-2, Methoxyacetyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with ammonium acetate in preparation of amino acid derivs. as HIV protease inhibitors)

IT 631-61-8, Ammonium acetate
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with methoxyacetyl chloride in preparation of amino acid derivs. as HIV protease inhibitors)

IT 7529-22-8, 4-Methylmorpholine 4 oxide
RL: RGT (Reagent); RACT (Reactant or reagent)
(sulfide oxidant; preparation of amino acid derivs. as HIV protease inhibitors)

L82 ANSWER 6 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:99157 HCAPLUS Full-text
 DOCUMENT NUMBER: 142:170033
 TITLE: Methods and compositions for the treatment or
 prevention of **human**
immunodeficiency virus and
 related conditions using cyclooxygenase-2
 selective inhibitors and **antiviral**
 agents
 INVENTOR(S): Maziasz, Timothy
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 172 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005026902	A1	20050203	US 2004-769485	2004 0130
<--				
PRIORITY APPLN. INFO.:			US 2003-443910P	P 2003 0131
<--				

OTHER SOURCE(S): MARPAT 142:170033

AB The present invention provides compns. and methods for the treatment of **human immunodeficiency virus** (HIV) infection as well as HIV associated diseases and related disorders. More particularly, the invention provides a combination therapy for the treatment of HIV infection as well as HIV associated diseases and related disorders comprising the administration to a subject of an anti-**human immunodeficiency virus** agent in combination with a cyclooxygenase-2 selective inhibitor or an isomer or a pharmaceutically acceptable salt, ester, or prodrug thereof.

IT 25526-93-6, 3'-Fluoro-3'-deoxythymidine 41107-56-6
 , 3'-Fluoro-2',3'-dideoxyuridine 51246-79-8,
 3'-Fluoro-2',3'-dideoxycytidine 87418-35-7
 92562-88-4, 3'-Fluoro-2',3'-dideoxyguanosine
 114753-53-6 115249-86-0, 2',3'-Dideoxy-3'-fluoro-
 5-bromouridine 119644-22-3, 2',3'-Dideoxy-3'-fluoro-5-
 chlorouridine 119644-23-4 124903-20-4
 127492-32-4 129618-40-2

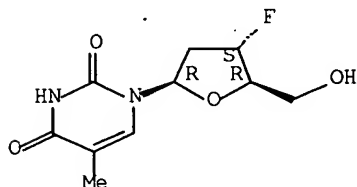
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)

(methods and compns. for treatment or prevention of HIV
 infection and related conditions using cyclooxygenase-2
 selective inhibitors and **antiviral** agents)

RN 25526-93-6 HCAPLUS

CN Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)

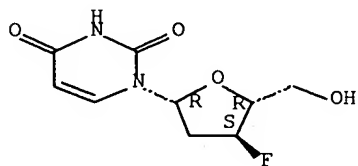
Absolute stereochemistry.



RN 41107-56-6 HCAPLUS

CN Uridine, 2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

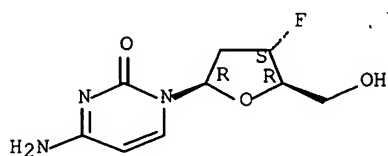
Absolute stereochemistry.



RN 51246-79-8 HCAPLUS

CN Cytidine, 2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

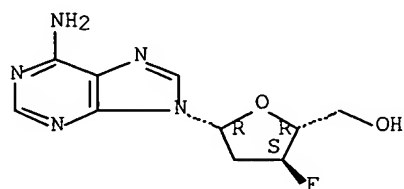
Absolute stereochemistry.



RN 87418-35-7 HCAPLUS

CN Adenosine, 2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

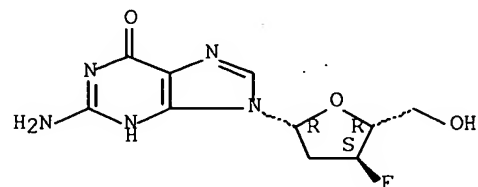
Absolute stereochemistry.



RN 92562-88-4 HCAPLUS

CN Guanosine, 2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

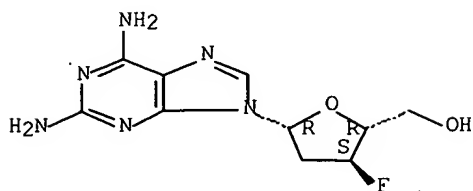


RN 114753-53-6 HCAPLUS

10/809,250

CN Adenosine, 2-amino-2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

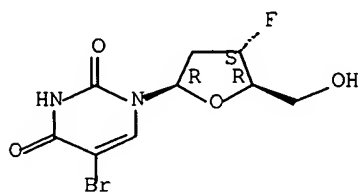
Absolute stereochemistry.



RN 115249-86-0 HCAPLUS

CN Uridine, 5-bromo-2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

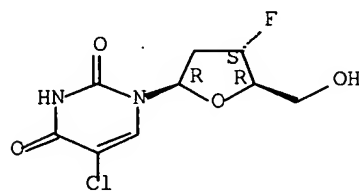
Absolute stereochemistry.



RN 119644-22-3 HCAPLUS

CN Uridine, 5-chloro-2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

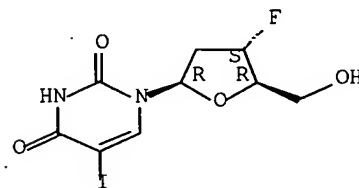
Absolute stereochemistry.



RN 119644-23-4 HCAPLUS

CN Uridine, 2',3'-dideoxy-3'-fluoro-5-iodo- (9CI) (CA INDEX NAME)

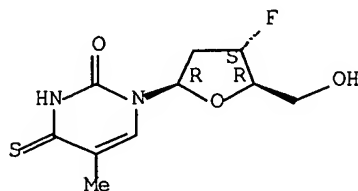
Absolute stereochemistry.



RN 124903-20-4 HCAPLUS

CN Thymidine, 3'-deoxy-3'-fluoro-4-thio- (9CI) (CA INDEX NAME)

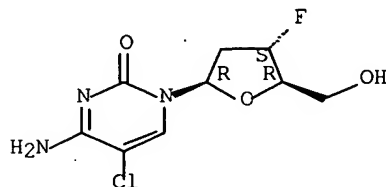
Absolute stereochemistry.



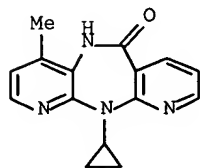
RN 127492-32-4 HCAPLUS

CN Cytidine, 5-chloro-2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)

IC ICM A61K031-55

ICS A61K031-54

INCL 514217000; 514226500

CC 1-5 (Pharmacology)

ST HIV infection related condition treatment cyclooxygenase
2 inhibitor **antiviral**IT **AIDS** (disease)

(-related complex; methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)

IT CD4-positive T cell

T cell (lymphocyte)

(HIV infection reduces T-cells; methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)

- IT Sarcoma
(Kaposi's; methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Cell proliferation
(T cell, proliferation inhibitor as virucide; methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Muscle, disease
(ache; methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT CD4 (antigen)
RL: BSU (Biological study, unclassified); BIOL (Biological study) (antagonist, as viral cellular entry inhibitor; methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Cytotoxic agents
(antimetabolites, in treatment regimen; methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Disease, animal
(arthropathy, aches; methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Acyclonucleosides
Nucleosides, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as anti-HIV agent; methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Mycobacterium avium
(complex; methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Meningitis
(cryptococcal; methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Immunostimulants
(cyclooxygenase-2 inhibitor acts as an immunostimulant; methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Joint, anatomical
(disease, aches; methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT T cell (lymphocyte)
(helper cell, HIV infection reduces T-cells; methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Infection
(herpes zoster; methods and compns. for treatment or prevention of HIV infection and related conditions using

- cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Antibiotics
- Antioxidants
- Antitumor agents
- Fungicides
- Immunomodulators
- Neoplasm
- Protozoacides
- Vaccines
 - (in treatment regimen; methods and compns. for treatment or prevention of **HIV** infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Antibodies and Immunoglobulins
- Cytokines
- Hormones, animal, biological studies
- Vitamins
- RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 - (in treatment regimen; methods and compns. for treatment or prevention of **HIV** infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Cytomegalovirus
- Human herpesvirus
 - (infection; methods and compns. for treatment or prevention of **HIV** infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Glycosylation
 - (inhibitor, as viral assembly inhibitor; methods and compns. for treatment or prevention of **HIV** infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT **AIDS** (disease)
- Anti-**AIDS** agents
- Combination chemotherapy
- Diarrhea
- Drug delivery systems
- Fever and Hyperthermia
- Gene therapy
- Hepatitis
- Human
 - Human immunodeficiency virus**
- Immunostimulation
- Lymphoma
- Seizures
 - (methods and compns. for treatment or prevention of **HIV** infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Natural products, pharmaceutical
 - RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 - (methods and compns. for treatment or prevention of **HIV** infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Antisense oligonucleotides
 - RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 - (methods and compns. for treatment or prevention of **HIV** infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Pneumonia
 - (pneumocystis carinii; methods and compns. for treatment or prevention of **HIV** infection and related conditions)

- using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Amines, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study) (polyamines, nonpolymeric, polyamine biosynthesis inhibitor as **HIV** inhibitor; methods and compns. for treatment or prevention of **HIV** infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Viral RNA
RL: BSU (Biological study, unclassified); BIOL (Biological study) (processing inhibitor, as viral assembly inhibitor; methods and compns. for treatment or prevention of **HIV** infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT T cell (lymphocyte)
(proliferation, proliferation inhibitor as virucide; methods and compns. for treatment or prevention of **HIV** infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Skin, disease
(rash; methods and compns. for treatment or prevention of **HIV** infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Lymph node, disease
(swelling; methods and compns. for treatment or prevention of **HIV** infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Mouth, disease
(thrush; methods and compns. for treatment or prevention of **HIV** infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Infection
(toxoplasmosis; methods and compns. for treatment or prevention of **HIV** infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Infection
(viral; methods and compns. for treatment or prevention of **HIV** infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study) (virion, antagonists as viral cellular entry inhibitor; methods and compns. for treatment or prevention of **HIV** infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT Protein motifs
(zinc finger, inhibitor, as anti-**HIV** agent; methods and compns. for treatment or prevention of **HIV** infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT 30220-45-2
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(0; methods and compns. for treatment or prevention of **HIV** infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT 37205-61-1, Protease, inhibitor
RL: BSU (Biological study, unclassified); BIOL (Biological study) (as viral assembly inhibitor; methods and compns. for treatment

- or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT 15687-27-1, Ibuprofen
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in treatment regimen; methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT 9068-38-6, Reverse transcriptase 52350-85-3, Integrase
RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitor, as anti-HIV agent; methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT 50-00-0, Formaldehyde, biological studies 111-30-8, Glutaral
548-04-9, Hypericin 2450-53-5, 3,5-Dicaffeoylquinic acid
6537-80-0 7770-78-7 13422-51-0, Hydroxocobalamin 19130-96-2,
1,5-Dideoxy-1,5-imino-D-glucitol 33419-42-0 79831-76-8
113852-37-2, Cidofovir 126456-36-8 126456-38-0 127749-96-6
127749-99-9 127779-20-8 138483-63-3 139694-65-8
140196-60-7 141804-42-4 142762-74-1 143224-34-4
144142-67-6 144779-91-9 146654-21-9 147318-81-8
147384-69-8 148314-61-8 149267-24-3 151867-81-1
153353-79-8 159142-13-9 159878-27-0 159878-28-1
159989-65-8 160231-42-5 161186-50-1 161277-26-5
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165591-39-9 168394-24-9 168899-54-5 169273-51-2
169273-55-6 173261-21-7 173828-55-2 174484-41-4
177932-89-7 179409-87-1 180463-16-5 180902-22-1
183854-24-2 188762-00-7 192725-17-0 244641-43-8
329900-75-6, Cyclooxygenase-2 834911-92-1 834911-93-2
834911-94-3 834911-95-4 834911-96-5 834911-97-6
834911-98-7 834911-99-8 834912-00-4 834912-01-5
834912-02-6 834912-03-7 834912-04-8 834912-05-9
834912-06-0 834912-07-1 834912-08-2 834912-09-3
834912-10-6 834912-11-7 834912-12-8 834912-13-9
834912-14-0
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT 53-43-0, 3 β -Hydroxyandrost-5-en-17-one 472-15-1 534-76-9
1077-28-7, 1,2-Dithiolane-3-pentanoic acid 1093-91-0,
16- α -Bromo-3- β -hydroxyandrost-5-en-17-one 6060-06-6
21967-41-9 41135-06-2, Inophyllum B 60857-08-1,
12-Deoxyphorbol-13-acetate 76663-53-1, 13-Hydroxyingenol-3-(2,3-dimethylbutanoate)-13-dodecanoate 102674-90-8 110042-95-0,
Acemannan 134332-63-1 135383-02-7 137793-81-8 137893-48-2
138667-71-7 142632-32-4, Calanolide A 142632-33-5, Calanolide B 149572-31-6, Conocurvone 152187-38-7, Inophyllum P 155213-67-5, Ritonavir 165460-07-1 174022-42-5,
3-O-(3',3'-Dimethylsuccinyl)betulinic acid 184539-38-6
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)
- IT 98-10-2D, Benzenesulfonamide, analogs and compds. 103-82-2D, Phenylacetic acid, derivs. 127-07-1, Hydroxyurea 129-46-4
254-04-6D, 2H-1-Benzopyran, compds. 254-04-6D, Benzopyran, compds. and analogs 2054-35-5D, analogs 3056-17-5
3112-85-4D, Methylsulfonylbenzene, analogs and compds.
3416-05-5, 3'-Deoxythymidine 4097-22-7, 2',3'-Dideoxyadenosine

4431-00-9, Aurintricarboxylic acid 7057-48-9 7481-88-1
 7481-89-2, 2',3'-Dideoxycytidine 14665-52-2,
 Bis(2-nitrophenyl)sulfone 25526-93-6,
 3'-Fluoro-3'-deoxythymidine 29828-28-2D, Dihydronaphthalene,
 analogs 29968-14-7D, Dihydroquinoline, analogs 30516-87-1,
 3'-Azido-3'-deoxythymidine 30516-87-1D, 3'-Azido-3'-
 deoxythymidine, 5'alkylglycoside carbonates 31515-43-2,
 2-Nitrophenyl phenyl sulfone 36791-04-5 41107-56-6,
 3'-Fluoro-2',3'-dideoxyuridine 51246-79-8,
 3'-Fluoro-2',3'-dideoxycytidine 51803-78-2 53766-80-6,
 2',3'-Didehydro-2',3'-dideoxyguanosine 63585-09-1,
 Phosphonoformic acid trisodium salt 64224-21-1 66323-44-2
 66323-46-4, 3'-Azido-2',3'-dideoxyguanosine 69655-05-6,
 2',3'-Dideoxyinosine 71125-38-7 78794-60-2 79872-72-3
 80937-31-1 84472-85-5, 3'-Azido-2',3'-dideoxyuridine
 84472-89-9, 3'-Azido-2',3'-dideoxycytidine 85236-92-6,
 3'-Azido-2',3'-dideoxy-5-iodouridine 85326-06-3,
 2',3'-Dideoxyguanosine 85326-07-4, 6-Methyl-2',3'-
 dideoxyadenosine 87190-74-7, 3'-Azido-2',3'-dideoxy-5-
 fluorouridine 87190-79-2 87190-80-5 87190-84-9
 87418-35-7 92562-88-4, 3'-Fluoro-2',3'-
 dideoxyguanosine 93014-16-5, 4-(2-Methyl-4-phenyl-5-
 oxazolyl)benzenesulfonamide 105380-83-4, 3'-Azido-2',3'-dideoxy-
 5-ethyluridine 105784-82-5, 3'-Azido-2',3'-dideoxy-5-
 bromouridine 106060-85-9 107036-62-4, 5-Fluoro-2',3'-
 dideoxycytidine 107550-73-2 108441-50-5 108441-51-6,
 3'-Azido-5-chloro-2',3'-dideoxyuridine 108895-46-1 109881-25-6
 110142-99-9 110143-10-7 111495-90-0 111495-95-5
 111495-96-6 111495-98-8 111496-01-6 114551-78-9
 114753-53-6 115249-86-0, 2',3'-Dideoxy-3'-fluoro-
 5-bromouridine 115913-79-6 116333-41-6 119555-47-4
 119644-22-3, 2',3'-Dideoxy-3'-fluoro-5-chlorouridine
 119644-23-4 120443-30-3 120503-30-2,
 6-Dimethylaminopurine-2',3'-dideoxyriboside 120503-34-6
 120503-35-7, N-Ethyl-2',3'-dideoxyadenosine 120826-45-1
 121117-72-4 121135-52-2 121135-53-3 121353-93-3
 123027-56-5 123663-49-0 124770-85-0 124903-20-4
 125056-58-8 126062-18-8 126320-77-2 126347-69-1
 127245-22-1 127492-31-3 127492-32-4
 129618-40-2 130108-72-4 130108-73-5,
 4'-Azido-2'-deoxyadenosine 130108-74-6, 4'-Azido-2'-
 deoxyguanosine 130108-75-7, 4'-Azido-2'-deoxyuridine
 130108-76-8, 4'-Azido-2'-deoxycytidine 130108-77-9,
 4'-Azido-2'-deoxyinosine 130108-82-6, 4'-Azido-3'-deoxythymidine
 130797-04-5 131293-25-9 131613-15-5 132235-73-5
 132774-45-9 132796-66-8 132796-67-9 132796-68-0
 132970-02-6 134379-77-4 134678-17-4, Epivir 135212-57-6
 135525-66-5 135525-77-8 135560-41-7 135812-04-3
 135812-34-9 136160-29-7 136160-30-0 136470-78-5, Ziagen
 136816-75-6 136816-76-7 136816-96-1 136817-66-8
 136891-12-8 137332-54-8 137945-48-3 138192-33-3
 138226-12-7 139226-28-1 139418-97-6, 4'-Azido-5-chloro-2'-
 deoxyuridine 139888-11-2, 4'-Cyanothymidine 141030-34-4
 141030-55-9 141781-17-1 142102-79-2 143390-74-3
 143491-57-0 143809-38-5 143809-39-6 144239-69-0
 144433-06-7 145417-33-0 145514-01-8 145986-26-1
 146739-86-8 147058-39-7 147362-57-0 147440-15-1
 147584-54-1 147920-12-5 147920-13-6 147920-19-2
 148311-89-1 148472-83-7, 5-Chloro-3-(phenylsulfonyl)indole-2-
 carboxamide 149485-30-3 149485-98-3 149950-60-7
 149950-61-8 150378-17-9, Indinavir 153562-59-5 153815-93-1
 154598-52-4 158959-32-1, 1-[2-(4-Fluorophenyl)cyclopenten-1-yl]-
 4-(methylsulfonyl)benzene 158959-33-2, 1-[2-(4-Fluoro-2-
 methylphenyl)cyclopenten-1-yl]-4-(methylsulfonyl)benzene
 158959-34-3, 1-[2-(4-Chlorophenyl)cyclopenten-1-yl]-4-
 (methylsulfonyl)benzene 158959-35-4, 1-[2-(2,4-
 Dichlorophenyl)cyclopenten-1-yl]-4-(methylsulfonyl)benzene

158959-37-6, 1-[2-(4-Trifluoromethylphenyl)cyclopenten-1-yl]-4-(methylsulfonyl)benzene 158959-42-3, 1-[2-(4-Methylthiophenyl)cyclopenten-1-yl]-4-(methylsulfonyl)benzene 158959-43-4, 1-[2-(4-Fluorophenyl)-4,4-dimethylcyclopenten-1-yl]-4-(methylsulfonyl)benzene 158959-46-7, 4-[2-(4-Fluorophenyl)cyclopenten-1-yl]benzenesulfonamide 158959-47-8, 4-[2-(4-Chlorophenyl)cyclopenten-1-yl]benzenesulfonamide 158959-56-9, 4-[2-(4-Fluorophenyl)-4,4-dimethylcyclopenten-1-yl]benzenesulfonamide 159429-69-3, 1-[2-(4-Methoxyphenyl)cyclopenten-1-yl]-4-(methylsulfonyl)benzene 159429-70-6, 1-[2-(4-Chlorophenyl)-4,4-dimethylcyclopenten-1-yl]-4-(methylsulfonyl)benzene 159499-99-7 159519-65-0, Enfuvirtide 159989-64-7, Nelfinavir 160705-95-3 160707-69-7 160707-70-0 160707-71-1 160963-01-9 162011-90-7 162054-19-5 163303-19-3 163303-25-1 163303-29-5 163303-38-6 163303-55-7 163451-80-7 165251-89-8 165328-42-7, 1-[2-(2,3-Difluorophenyl)cyclopenten-1-yl]-4-(methylsulfonyl)benzene 165328-49-4, 4-[2-(4-Chlorophenyl)-4,4-dimethylcyclopenten-1-yl]benzenesulfonamide 165328-51-8 168146-84-7 168299-83-0 168299-90-9 168433-84-9 169154-04-5 169154-07-8 169154-19-2 169154-24-9 169590-41-4, 4-[[5-(3-Fluoro-4-methoxyphenyl)-3-difluoromethyl]-1H-pyrazol-1-yl]benzenesulfonamide 169590-42-5 169902-71-0, 4-[2-(3-Chloro-4-fluorophenyl)cyclopenten-1-yl]benzenesulfonamide 169902-74-3, 4-[2-(3-Fluoro-4-methoxyphenyl)cyclopenten-1-yl]benzenesulfonamide 169902-75-4, 1-[2-(3-Chloro-4-methoxyphenyl)cyclopenten-1-yl]-4-(methylsulfonyl)benzene 169951-23-9 169951-24-0 169951-25-1 169951-27-3 169951-28-4 170569-31-0 170569-42-3 170569-50-3 170569-86-5, 4-[5-(4-Chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide 170569-87-6, 4-[5-Phenyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide 170569-88-7, 4-[5-(4-Fluorophenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide 170569-91-2, 4-[5-(4-Methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide 170570-05-5 170570-25-9 170570-29-3 170570-31-7 170570-32-8 170570-33-9 170571-71-8 171888-46-3 173776-67-5 174470-77-0 175676-91-2 175676-92-3 175677-05-1 175677-06-2 175677-07-3 175677-13-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(methods and compns. for treatment or prevention of HIV infection and related conditions using cyclooxygenase-2 selective inhibitors and **antiviral** agents)

IT 175677-14-2 175883-05-3 175883-36-0 177560-19-9 177560-23-5 177560-29-1 177560-30-4 177560-34-8 177560-36-0 177560-38-2 177560-61-1 177577-60-5 177660-54-7 177660-55-8 177660-56-9 177660-67-2 177660-72-9 177660-73-0 177660-76-3 177660-77-4 177660-78-5 177660-80-9, 2-Methyl-5-[1-[4-(methylsulfonyl)phenyl]-4-trifluoromethyl-1H-imidazol-2-yl]pyridine 177660-81-0 177660-85-4 177660-89-8 177660-92-3, 4-[2-(5-Methylpyridin-3-yl)-4-(trifluoromethyl)-1H-imidazol-1-yl]benzenesulfonamide 177660-94-5 177661-00-6 177661-01-7 177661-04-0 177661-06-2 177661-15-3 177661-17-5 177661-18-6 177661-19-7 177661-49-3 177662-22-5 177754-42-6 178870-32-1 178979-85-6 179382-91-3 180048-35-5 180302-52-7 181377-89-9 181377-90-2 181627-94-1 181627-96-3 181627-98-5 181628-00-2 181695-72-7 181695-81-8 181695-85-2 181696-18-4 181696-33-3 181809-58-5 181809-60-9 181809-63-2 183136-88-1 183610-65-3 185344-55-2 186804-50-2 186804-93-3, 4-[2-(2-Methylpyridin-5-yl)cyclopenten-1-yl]benzenesulfonamide 198470-84-7 201341-05-1 202138-50-9, Viread 202409-33-4 202409-52-7 204864-54-0 214287-88-4 215122-07-9 215122-12-6 215122-14-8 215122-18-2

215122-19-3 215122-20-6 215122-22-8 215122-24-0
 215122-27-3 215122-28-4 215122-29-5 215122-30-8
 215122-31-9 215122-32-0 215122-33-1 215122-35-3
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 215122-43-3 215122-44-4 215122-45-5 215122-46-6
 215122-48-8 215122-49-9 215122-50-2 215122-51-3
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 215122-58-0 215122-59-1 215122-60-4 215122-61-5
 215122-62-6 215122-63-7 215122-65-9 215122-70-6
 215122-71-7 215122-74-0 215122-75-1 215122-76-2
 215122-77-3 215123-03-8 215123-07-2 215123-08-3
 215123-16-3 215123-48-1 215123-52-7 215123-60-7
 215123-61-8, 6,8-Dichloro-2-trifluoromethyl-2H-1-benzothiopyran-3-carboxylic acid
 215123-64-1 215123-70-9 215123-77-6
 215123-79-8 215123-80-1 215123-84-5 220991-20-8
 264878-87-7 266320-83-6 467427-54-9 468067-63-2
 477594-33-5 477594-34-6 631912-94-2 639785-67-4
 725250-87-3 725250-88-4 834911-85-2 834911-86-3
 834911-87-4 834911-88-5 834911-90-9 834911-91-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (methods and compns. for treatment or prevention of HIV
 infection and related conditions using cyclooxygenase-2
 selective inhibitors and **antiviral** agents)

L82 ANSWER 7 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:74120 HCAPLUS Full-text

DOCUMENT NUMBER: 142:176697

TITLE: Preparation of spiro compounds for the
 modulation of chemokine receptor activity
 INVENTOR(S): Chan, Chun Kong; Zhang, Ming-Qiang; Moinet,
 Christophe; Proulx, Melanie; Reddy, Thumkunta
 Jagadeeswar; Courchesne, Marc

PATENT ASSIGNEE(S): Virochem Pharma Inc., Can.

SOURCE: PCT Int. Appl., 338 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005007656	A1	20050127	WO 2004-CA1048	2004 0716

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
 CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG,
 ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
 KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
 MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL,
 PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
 TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
 ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH,
 CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
 MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI,
 CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005075326 A1 20050407 US 2004-893583

2004
0719

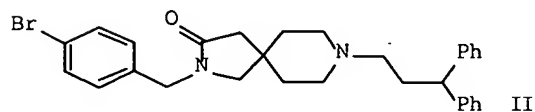
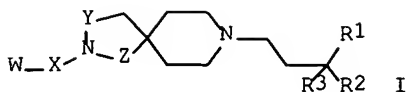
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PRIORITY APPLN. INFO.: US 2003-487973P

P
2003
0718

OTHER SOURCE(S):
GI

MARPAT 142:176697



AB The title compds. I [Y, Z and X = CH₂, CO, CR₄R₅; W = H, alkyl, alkenyl, aryl, etc.; R₁ = H, OH, alkyl, etc.; R₂ = alkyl, alkenyl, alkynyl, aryl, heterocyclyl; R₃ = H, alkyl, alkenyl, alkynyl, aryl; R₄, R₅ = H, alkyl, alkenyl, alkynyl, aryl] and their pharmaceutically acceptable salts, useful for the modulation of CCR5 chemokine receptor activity and the treatment or prevention of diseases associated therewith, were prepared E.g., a multi-step synthesis of II.HCl, starting from tert-Bu 1-oxo-2,8-diaza-spiro[4.5]decane-8-carboxylate and 4-bromobenzyl bromide, was given. The compds. I have been found to have activity in binding to the CCR5 receptor, generally with an IC₅₀ values of < 25 μM. Certain compds. I have also been tested in an assay for HIV activity, and generally having an IC₅₀ values of < 1 μM.

IT 25526-93-6, Alovudine 129618-40-2, Nevirapine

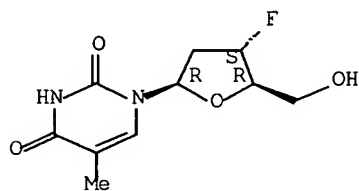
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(co-drug; preparation of spiro compds. for treating diseases associated with CCR5 chemokine receptor activity in combination with other agents)

RN 25526-93-6 HCAPLUS

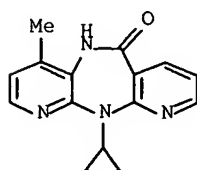
CN Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



IC ICM C07D471-10
ICS A61K031-437; A61P031-18

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 63

ST spiro compd prepn CCR5 chemokine receptor modulator AIDS
; diazaspirodecane prepn CCR5 chemokine receptor modulator
AIDS

IT Vaccines
(AIDS, co-drug; preparation of spiro compds. for treating
diseases associated with CCR5 chemokine receptor activity in
combination with other agents)

IT Anti-AIDS agents
Anti-infective agents
Anti-inflammatory agents
Combination chemotherapy
Human
Immunomodulators
Immunosuppressants
(preparation of spiro compds. for the modulation of CCR5 chemokine
receptor activity)

IT AIDS (disease)
Infection
Inflammation
(treating; preparation of spiro compds. for the modulation of CCR5
chemokine receptor activity)

IT Anti-AIDS agents
(vaccines, co-drug; preparation of spiro compds. for treating
diseases associated with CCR5 chemokine receptor activity in
combination with other agents)

IT 57-66-9, Probenecid 123-77-3, Azodicarbonamide 501-36-0,
Resveratrol 3056-17-5, Stavudine 3416-05-5, 3'-Deoxythymidine
4097-22-7, 2',3'-Dideoxyadenosine 4428-95-9, Foscarnet
7481-88-1 7481-89-2, Zalcitabine 11096-26-7, Erythropoietin
25526-93-6, Alovudine 28507-02-0, HE 2000 30516-87-1,
Zidovudine 36791-04-5, Ribavirin 38640-92-5, Ampligen
59277-89-3, Acyclovir 69558-55-0, Thymopentin 69655-05-6,
Didanosine 74817-61-1, Murabutide 82410-32-0, Ganciclovir
83869-56-1, Gm-csf 90803-92-2, Thymomodulin 123027-56-5, HEPT
126652-33-3 126652-38-8 127779-20-8, Saquinavir
129618-40-2, Nevirapine 134678-17-4, Lamivudine
136470-78-5, Abacavir 136817-59-9, Delavirdine 141781-17-1D,
TSAO, derivative 142632-32-4, (+)-Calanolide A 143491-54-7,
Racivir 143491-57-0, Coviracil 145514-04-1, Amdoxovir
147127-20-6, Tenofovir 150378-17-9, Indinavir 154598-52-4,
Efavirenz 155148-31-5, Amd3100 155213-67-5, Ritonavir
159519-65-0, t-20 159989-64-7, Nelfinavir 161814-49-9,
Amprenavir 165456-81-5, Combivir 170020-61-8, FP21399
174022-42-5, PA457 174391-92-5, Mozenavir 174484-41-4,
Tipranavir 178979-85-6, Capravirine 192725-17-0, Lopinavir
198904-31-3, Atazanavir 206361-99-1, Tmc114 213252-22-3,
Reticulose 214287-99-7, Dpc083 226700-79-4, Fosamprenavir
231957-54-3, MIV 150 251562-00-2, t-1249 269055-15-4, Tmc125
280571-30-4, s-1360 333994-00-6 357263-13-9, Bms-806
370893-06-4, Schering c 383198-58-1, PRO 542 394730-30-4,
SCH-D 410544-95-5, 1-870810 410545-90-3, 1-870812
461443-59-4, Ak602 674782-26-4, PRO 140 675184-03-9, VX 385
690656-53-2, AMD 070
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(co-drug; preparation of spiro compds. for treating diseases associated
with CCR5 chemokine receptor activity in combination with other
agents)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L82 ANSWER 8 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:1016008 HCAPLUS Full-text
 DOCUMENT NUMBER: 142:6507
 TITLE: Preparation of naphthyridine integrase inhibitors
 INVENTOR(S): Johns, Brian A.
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
 SOURCE: PCT Int. Appl., 154 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004101512	A2	20041125	WO 2004-US14814	2004 0512

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 WO 2004101512 A3 20050127
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 EP 1622615 A2 20060208 EP 2004-751959

2004
0512

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 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR

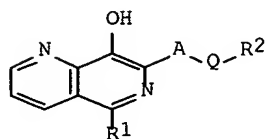
PRIORITY APPLN. INFO.: US 2003-470059P P

2003
0513

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 WO 2004-US14814 W

2004
0512

OTHER SOURCE(S): MARPAT 142:6507
 GI



I

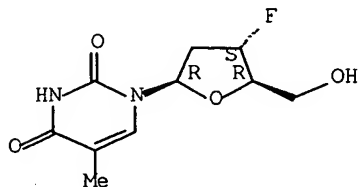
AB The title compds. [I; R1 = H, halo, alkyl, etc.; R2 = cycloalkyl, (un)substituted aryl, heterocyclyl; A = heterocycle; Q = alkyl, O, CO, SO2, etc.] that are HIV integrase inhibitors and therefore are useful in the inhibition of HIV replication, the prevention and/or treatment of infection by HIV, and in the treatment of AIDS and/or ARC, were prepared E.g., a multi-step synthesis of 7-(5-benzyl-4H-1,2,4-triazol-3-yl)-1,6-naphthyridin-8-ol, was given. The compds. I have anti-HIV activity in the range IC50 of 1-1000 nM. The pharmaceutical composition comprising the compound I is disclosed.

IT 25526-93-6, 3'-Deoxy-3'-fluorothymidine
129618-40-2, Nevirapine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(co-drug; preparation of naphthyridine integrase inhibitors for treating HIV infection in combination with other therapeutic agents)

RN 25526-93-6 HCAPLUS

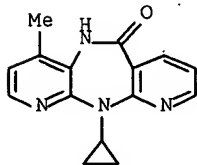
CN Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



IC ICM C07D

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

ST naphthyridine prepn HIV AIDS ARC integrase inhibitor

IT AIDS (disease)
(-related complex; preparation of naphthyridine integrase inhibitors for treating HIV infection)

IT Interleukin 2
Trichosanthin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(co-drug; preparation of naphthyridine integrase inhibitors for treating HIV infection in combination with other therapeutic agents)

IT AIDS (disease)
Anti-AIDS agents
Human
(preparation of naphthyridine integrase inhibitors for treating HIV infection)

IT Combination chemotherapy

- Human immunodeficiency virus 1**
(preparation of naphthyridine integrase inhibitors for treating HIV infection in combination with other therapeutic agents)
- IT Fluoropolymers, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of naphthyridine integrase inhibitors for treating HIV infection in combination with other therapeutic agents)
- IT CD4 (antigen)
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(soluble CD4 and genetically engineered derivs. as co-drugs; preparation of naphthyridine integrase inhibitors for treating HIV infection in combination with other therapeutic agents)
- IT Interferons
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(α , co-drug; preparation of naphthyridine integrase inhibitors for treating HIV infection in combination with other therapeutic agents)
- IT 54-42-2, 2'-Deoxy-5-iodo-uridine 57-66-9, Probenecid 58-32-2, Dipyrindamole 123-77-3, 1,1'-Azobis-formamide 616-91-1 3056-17-5, Stavudine 4097-22-7, 2',3'-Dideoxyadenosine 4428-95-9, Phosphonoformic acid 6493-05-6, Pentoxifylline 7481-89-2, Zalcitabine 11096-26-7, Erythropoietin 19771-63-2, Procysteine 25526-93-6, 3'-Deoxy-3'-fluorothymidine 29321-75-3, PRO-2000 30516-87-1, AZT 36791-04-5, Ribavirin 39809-25-1, Penciclovir 59277-89-3, Acyclovir 61512-21-8, Thymosin 69655-05-6, Didanosine 82410-32-0, Ganciclovir 83869-56-1, Granulocyte macrophage colony stimulating factor 104227-87-4, Famciclovir 113269-46-8, Oxetanocin-G 113852-37-2, HPMPC 124265-89-0, H 2G 124832-26-4, Valaciclovir 124930-59-2 127759-89-1, Lobucavir 127779-20-8, Saquinavir 129618-40-2, Nevirapine 134678-17-4, Lamivudine 136470-78-5, Abacavir 136817-59-9, Delavirdine 142340-99-6, Adefovir dipivoxil 142632-32-4, (+) Calanolide A 143491-54-7, FTC 145514-04-1, DAPD 147127-20-6, Tenofovir 147318-81-8, KNI-272 147362-57-0, Loviride 149950-60-7, MKC-442 150378-17-9, Indinavir 155148-31-5, AMD-3100 155213-67-5, Ritonavir 159519-65-0, T-20 159989-64-7, Nelfinavir 161814-49-9, Amprenavir 170020-61-8, FP-21399 174391-92-5, Mozenavir 174484-41-4, Tipranavir 178979-85-6, Capravirine 195156-77-5, ABT-606 198904-31-3, BMS-232632 201341-05-1, Bis-POC-PMPA 206361-99-1, TMC-114 213252-22-3, Reticulose 214287-99-7, DPC-083 216863-66-0, MK-944A 226700-79-4, GW 433908 251562-00-2, T-1249 269055-15-4, TMC-125 352234-06-1, AG 1776 383198-58-1, PRO 542 394728-76-8, TMC 120 714968-69-1
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(co-drug; preparation of naphthyridine integrase inhibitors for treating HIV infection in combination with other therapeutic agents)
- IT 52350-85-3, HIV integrase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(of HIV; preparation of naphthyridine integrase inhibitors for treating HIV infection in combination with other therapeutic agents)
- IT 797786-45-9P 797786-54-0P 797786-77-7P 797788-49-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of naphthyridine integrase inhibitors for treating HIV infection)
- IT 797786-32-4P 797786-33-5P 797786-34-6P 797786-35-7P
797786-36-8P 797786-37-9P 797786-38-0P 797786-39-1P
797786-40-4P 797786-41-5P 797786-42-6P 797786-43-7P

797786-44-8P	797786-46-0P	797786-47-1P	797786-48-2P
797786-49-3P	797786-50-6P	797786-51-7P	797786-52-8P
797786-53-9P	797786-55-1P	797786-56-2P	797786-57-3P
797786-58-4P	797786-59-5P	797786-60-8P	797786-61-9P
797786-62-0P	797786-63-1P	797786-64-2P	797786-65-3P
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797786-70-0P	797786-71-1P	797786-72-2P	797786-73-3P
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797786-79-9P	797786-80-2P	797786-81-3P	797786-82-4P
797786-83-5P	797786-84-6P	797786-85-7P	797786-86-8P
797786-87-9P	797786-88-0P	797786-89-1P	797786-90-4P
797786-91-5P	797786-92-6P	797786-93-7P	797786-94-8P
797786-95-9P	797786-96-0P	797786-97-1P	797786-98-2P
797786-99-3P	797787-00-9P	797787-01-0P	797787-02-1P
797787-03-2P	797787-04-3P	797787-05-4P	797787-06-5P
797787-07-6P	797787-08-7P	797787-09-8P	797787-10-1P
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797787-16-7P	797787-17-8P	797787-18-9P	797787-19-0P
797787-20-3P	797787-21-4P	797787-22-5P	797787-23-6P
797787-24-7P	797787-25-8P	797787-26-9P	797787-27-0P
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797787-32-7P	797787-33-8P	797787-34-9P	797787-35-0P
797787-36-1P	797787-37-2P	797787-38-3P	797787-39-4P
797787-40-7P	797787-41-8P	797787-42-9P	797787-43-0P
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797787-56-5P	797787-57-6P	797787-58-7P	797787-59-8P
797787-60-1P	797787-61-2P	797787-62-3P	797787-63-4P
797787-64-5P	797787-65-6P	797787-66-7P	797787-67-8P
797787-68-9P	797787-69-0P	797787-70-3P	797787-71-4P
797787-72-5P	797787-73-6P	797787-74-7P	797787-75-8P
797787-76-9P	797787-77-0P	797787-78-1P	797787-79-2P
797787-81-6P	797787-82-7P	797787-84-9P	797787-86-1P
797787-87-2P	797787-88-3P	797787-89-4P	797787-90-7P
797787-91-8P	797787-92-9P	797787-93-0P	797787-94-1P
797787-95-2P	797787-96-3P	797787-97-4P	797787-98-5P
797787-99-6P	797788-00-2P	797788-01-3P	797788-02-4P
797788-04-6P	797788-06-8P	797788-07-9P	797788-08-0P
797788-09-1P	797788-10-4P	797788-11-5P	797788-12-6P
797788-13-7P	797788-14-8P	797788-15-9P	797788-16-0P
797788-17-1P	797788-18-2P	797788-45-5P	797788-46-6P
797788-47-7P	797788-48-8P	797788-50-2P	797788-51-3P
797790-35-3P			

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)

(preparation of naphthyridine integrase inhibitors for treating
HIV infection)

IT 98-59-9, p-Toluenesulfonyl chloride 103-80-0, Phenyl acetyl
chloride 104-94-9, p-Anisidine 109-01-3, N-Methylpiperazine
110-91-8, Morpholine, reactions 123-75-1, Pyrrolidine, reactions
459-04-1, (4-Fluorophenyl)acetyl chloride 616-45-5,
2-Pyrrolidinone 937-39-3, Phenylacetic hydrazide 2645-02-5,
Methyl N-[(4-methylphenyl)sulfonyl]glycinate 5625-67-2,
Piperazin-2-one 6011-14-9, Aminoacetonitrile hydrochloride
25026-34-0, (4-Chlorophenyl)acetyl chloride 34624-38-9
34803-68-4, 1-(2-Pyrazinyl)piperazine 37441-50-2, 1,2-Thiazinane
1,1-dioxide 39890-43-2, N,N-Dimethyl-2-(1-piperazinyl)acetamide
54401-85-3, Ethyl pyridin-4-ylacetate 60075-23-2 66464-86-6
105184-38-1, (3,5-Difluorophenyl)acetic acid 118892-74-3,
Isopropyl 3-(hydroxymethyl)pyridine-2-carboxylate 797788-44-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of naphthyridine integrase inhibitors for treating
HIV infection)

IT 673-05-2P 3538-68-9P 7440-50-8DP, Copper, copper complex with
hydroxynaphthyridine derivative 19730-99-5P 20228-87-9P,

10/809,250

N-(Cyanomethyl)-4-methylbenzenesulfonamide 20287-25-6P
 34547-28-9P 39978-18-2P 57676-51-4P 69583-00-2P
 341009-94-7P 410542-68-6P 410542-70-0P 410544-37-5P
 410545-60-7P 410545-61-8P 797784-29-3P 797784-35-1P
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 797788-20-6P, 8-Hydroxy-1,6-naphthyridine-7-carbonitrile
 797788-21-7P 797788-22-8DP, copper complex 797788-22-8P
 797788-23-9P 797788-24-0P 797788-25-1P 797788-26-2P
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 RL: RCT (Reactant); SPN (Synthetic preparation); PREP
 (Preparation); RACT (Reactant or reagent)
 (preparation of naphthyridine integrase inhibitors for treating
 HIV infection)

L82 ANSWER 9 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:857413 HCAPLUS Full-text
 DOCUMENT NUMBER: 141:337774
 TITLE: Pharmaceuticals containing a combination of
 nevirapine and an antiretroviral nucleoside
 INVENTOR(S): Klaes, Heinz-Gerd; Mayers, Douglas Lytl;
 Valdez, Hernan; Koundourakis, Elena
 PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH,
 Germany
 SOURCE: PCT Int. Appl., 80 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087169	A1	20041014	WO 2004-US8736	2004 0323

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 EP 1610797 A1 20060104 EP 2004-758183
 2004
0323

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 US 2004235780 A1 20041125 US 2004-809250
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0327

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 EP 2003-16226 A
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 0717

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 EP 2003-29526 A
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 1220

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 WO 2004-US8736 W
 2004
 0323

OTHER SOURCE(S): MARPAT 141:337774

AB A pharmaceutical composition useful for the treatment or prophylaxis of viral infections comprises a combination of nevirapine and at least 1 **antiviral** nucleoside, wherein the base is selected from the group consisting of thymine, cytosine, adenine, guanine, inosine, uracil, 5-ethyluracil and 2,6-diaminopurine or prodrug thereof. The **antiviral** nucleoside can be, e.g., alovudine. The nevirapine and the nucleoside are present in a synergistic ratio of 1:250 to 250:1.

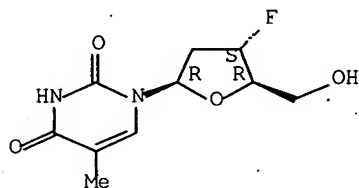
IT 25526-93-6, Alovudine 92562-88-4
 129618-40-2, Nevirapine 220750-46-9
 770723-01-8

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmaceuticals containing combination of nevirapine and
 antiretroviral nucleoside)

RN 25526-93-6 HCAPLUS

CN Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)

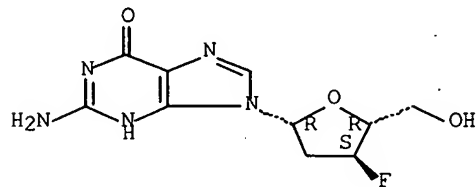
Absolute stereochemistry.



RN 92562-88-4 HCAPLUS

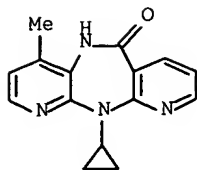
CN Guanosine, 2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS

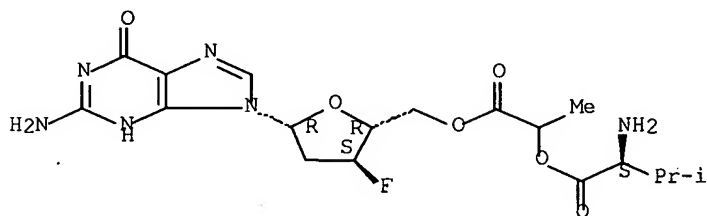
CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
 11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



RN 220750-46-9 HCAPLUS

CN L-Valine, ester with 2',3'-dideoxy-3'-fluoroguanosine
5'-(2-hydroxypropanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



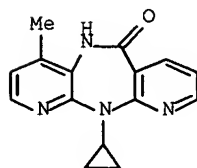
RN 770723-01-8 HCAPLUS

CN Thymidine, 3'-deoxy-3'-fluoro-, mixt. with 11-cyclopropyl-5,11-dihydro-4-methyl-6H-dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one
(9CI) (CA INDEX NAME)

CM 1

CRN 129618-40-2

CMF C15 H14 N4 O

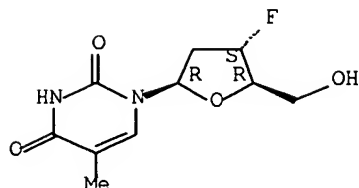


CM 2

CRN 25526-93-6

CMF C10 H13 F N2 O4

Absolute stereochemistry.



IC ICM A61K031-551
 ICS A61K031-7068; A61K031-7072; A61K031-7076; A61K031-708;
 A61K045-06; A61P031-12; A61P031-18
 CC 63-6 (Pharmaceuticals)
 Section cross-reference(s): 1
 ST pharmaceutical antiviral combination nevirapine
 alovudine
 IT Human immunodeficiency virus 1
 Retroviridae
 (infection; pharmaceuticals containing combination of nevirapine
 and antiretroviral nucleoside)
 IT Anti-AIDS agents
 Antiviral agents
 Human
 (pharmaceuticals containing combination of nevirapine and
 antiretroviral nucleoside)
 IT 25526-93-6, Alovudine 92562-88-4
 129618-40-2, Nevirapine 220750-46-9
 770723-01-8
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmaceuticals containing combination of nevirapine and
 antiretroviral nucleoside)
 REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L82 ANSWER 10 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:550533 HCAPLUS Full-text
 DOCUMENT NUMBER: 141:82297
 TITLE: Immunostimulatory nucleic acids for the
 treatment of disorders associated with
 microorganisms, for preventing antibiotic
 resistance and for treating and preventing
 warts
 INVENTOR(S): Bratzler, Robert L.; Petersen, Deanna M.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 54 pp., Cont. of U.S.
 Ser. No. 801,839, abandoned.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004131628	A1	20040708	US 2003-666733	2003 0919
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PRIORITY APPLN. INFO.:			US 2000-187834P	P 2000 0308
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OTHER SOURCE(S): MARPAT 141:82297

AB The invention involves administration of an immunostimulatory nucleic acid alone or in combination with an antimicrobial agent for the treatment or prevention of infectious disease associated with microorganisms in subjects, for preventing antibiotic resistance and for treating and preventing warts. The combination of drugs are administered in synergistic amts. or in various dosages or at various time schedules. The invention also relates to kits and compns. concerning the combination of drugs.

IT 25526-93-6, Alovudine 129618-40-2, Nevirapine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

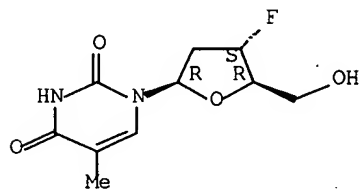
(Biological study); USES (Uses)

(immunostimulatory nucleic acids for treatment of disorders associated with microorganisms, preventing antibiotic resistance, and treating and preventing warts, and use with other agents)

RN 25526-93-6 HCAPLUS

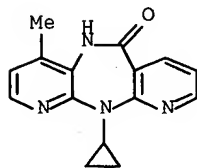
CN Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



IC ICM A61K039-00

ICS A61K039-38

INCL 424184100

CC 1-5 (Pharmacology)

Section cross-reference(s): 63

IT Allergy inhibitors

Anti-infective agents

Antibacterial agents

Antibacterial agents

Antibiotic resistance

Antibiotics

Antimicrobial agents

Antiviral agents

Drug delivery systems

Fungicides

Human

Immunostimulants

Infection
Parasiticides
Prophylaxis
Wart

(immunostimulatory nucleic acids for treatment of disorders associated with microorganisms, preventing antibiotic resistance, and treating and preventing warts, and use with other agents)

IT 15686-71-2, Cephalixin 16846-24-5, Josamycin 16915-79-0, Mequidox 17090-79-8, Monensin 17230-86-3, Carbenicillin Potassium 17692-15-8, Furazolium Tartrate 17784-12-2, Sulfacytine 18323-44-9, Clindamycin 19561-70-7, Nifuratrone 19885-51-9, Aranotin 20685-78-3, Rolitetetracycline Nitrate 21462-39-5, Clindamycin Hydrochloride 21593-23-7, Cephapirin 21638-36-8, Nifurimide 21649-57-0, Carbenicillin Phenyl Sodium 21736-83-4, Spectinomycin Hydrochloride 22373-78-0, Monensin Sodium 22573-93-9, Alexidine 22832-87-7, Miconazole Nitrate 22916-47-8, Miconazole 23067-13-2, Erythromycin Gluceptate 23155-02-4, Fosfomycin 23239-41-0, Cephacetrile sodium 23313-80-6, Eptitetracycline Hydrochloride 23444-86-2, Suncillin Sodium 23593-75-1, Clotrimazole 23736-58-5, Cloxacillin Benzathine 24169-02-6, Econazole Nitrate 24356-60-3, Cephapirin Sodium 24390-14-5, Doxycycline Hyclate 24729-96-2, Clindamycin Phosphate 25389-94-0, Kanamycin Sulfate 25507-04-4, Clindamycin Palmitate Hydrochloride 25526-93-6, Alovudine 25953-19-9, Cefazolin 26309-95-5, Pivampicillin Hydrochloride 26605-69-6, Carbenicillin Indanyl Sodium 26774-90-3, Epicillin 26786-84-5, Lomofungin 26787-78-0, Amoxicillin 27164-46-1, Cefazolin Sodium 27220-47-9, Econazole 27523-40-6, Isoconazole 27591-69-1, Tilorone Hydrochloride 27762-78-3, Kethoxal 27823-62-7, Chlortetracycline Bisulfate 27877-51-6, Tolindate 28069-65-0, Cuprimyxin 28088-64-4, Aminosalicylic acid 28657-80-9, Cinoxacin 29342-05-0, Ciclopirox 29457-07-6, Ticarcillin Disodium 29984-33-6, Vidarabine Phosphate 30034-03-8, Cefamandole Sodium 30516-87-1, Zidovudine 31342-36-6, Chloramphenicol Pantothenate Complex 32385-11-8, Sisomicin 32886-97-8, Amdinocillin Pivoxil 32887-01-7, Amdinocillin 32986-56-4, Tobramycin 33564-30-6, Cefoxitin Sodium 34444-01-4, Cefamandole 35523-45-6, Fludalanine 35554-44-0, Enilconazole 35607-20-6, Avridine 35607-66-0, Cefoxitin 35834-26-5, Rosaramicin 36791-04-5, Ribavirin 36983-81-0, Fosfonet Sodium 37091-65-9, Azlocillin Sodium 37091-66-0, Azlocillin 37321-09-8, Apramycin 37332-99-3, Avoparcin 37517-28-5, Amikacin 37661-08-8, Bacampicillin Hydrochloride 38070-41-6, Tiodonium Chloride 38821-53-3, Cephradine 39030-72-3, Pivampicillin Pamoate 39809-25-1, Penciclovir 39831-55-5, Amikacin Sulfate 39878-70-1, Talampicillin Hydrochloride 40034-42-2, Rosoxacin 40966-79-8, Sarpicillin 41621-49-2, Ciclopirox Olamine 42057-22-7, Mezlocillin Sodium 42190-91-0, Pivampicillin Probenate 42540-40-9, Cefamandole Nafate 42835-25-6, Flumequine 43143-11-9, Bispyrithione Magsulfex 43169-50-2, Betamicin Sulfate 49842-07-1, Tobramycin Sulfate 50370-12-2, Cefadroxil 50838-36-3, Tolciclate 51022-98-1, Butirosin Sulfate 51481-65-3, Mezlocillin 51547-64-9, Rosaramicin stearate 51627-14-6, Cefatrizine 51627-20-4, Cefaparole 51762-05-1, Cefroxadine 52123-49-6, Cefazaflur Sodium 52152-93-9, Cefsulodin Sodium 53066-26-5, Lexithromycin 53179-09-2, Sisomicin Sulfate 53808-87-0, Tetroxoprim 53994-73-3, Cefaclor 55162-26-0, Pirbenicillin Sodium 55242-74-5, Oxifungin Hydrochloride 55242-77-8, Triafungin 55268-75-2, Cefuroxime 55298-68-5, Neomycin Palmitate 55694-87-6, Pentizidone Sodium 55852-84-1, Bacitracin Methylene Disalicylate 56093-45-9, Selenium Sulfide 56219-57-9, Arildone 56238-63-2, Cefuroxime Sodium 56391-57-2, Netilmicin Sulfate 56433-46-6, Cefotaxime Hydrochloride 56585-33-2, Trimethoprim Sulfate 56689-42-0, Repromicin 56796-20-4, Cefmetazole 56796-39-5, Cefmetazole Sodium 58001-44-8, Clavulanic acid

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 92665-29-7, Cefprozil

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)

(immunostimulatory nucleic acids for treatment of disorders
 associated with microorganisms, preventing antibiotic resistance,
 and treating and preventing warts, and use with other agents)

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 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (immunostimulatory nucleic acids for treatment of disorders
 associated with microorganisms, preventing antibiotic resistance,
 and treating and preventing warts, and use with other agents)

L82 ANSWER 11 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:534204 HCAPLUS Full-text

DOCUMENT NUMBER: 141:89006

TITLE: Preparation of pyrrolidine and azetidine
 compounds as CCR5 antagonists

INVENTOR(S): Yang, Hanbiao; Kazmierski, Wieslaw Mieczyslaw;
 Aquino, Christopher Joseph

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 130 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004055016	A1	20040701	WO 2003-US39618	2003 1212

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 TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

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2003
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PRIORITY APPLN. INFO.:

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WO 2003-US39618

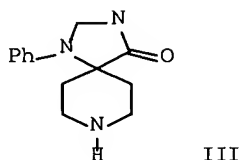
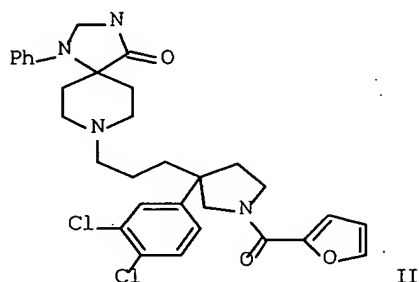
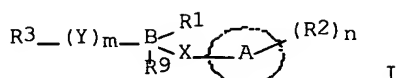
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2003
1212

OTHER SOURCE(S):

MARPAT 141:89006

GI



AB Title compds. I [R1 = (un)substituted-alkyl, -alkynyl, -cycloalkyl, -heterocyclyl, etc., or R1 and X taken together form a saturated, partially saturated or aromatic 5-6 membered ring having 0-3 heteroatoms selected from O, P, S, or N that is fused to ring A; R2 = OH, halogen (un)substituted-alkyl, -alkoxy, -aryl, -heteroaryl, -cycloalkyl, etc., or two geminal R2s are optionally taken together to form a spiro, saturated, partially saturated or aromatic 5-6 membered ring having 0-3 heteroatoms selected from O, P, S, or N, said fused or spiro ring optionally substituted; R3 = H, halo, cyano, trifluoromethyl, (un)substituted amino, acylamino, alkyl; R9 = H or oxo; X = C1-5 alkylene, optionally substituted with oxo, thioxo, -S(O)t where t = 1 or 2, halogen atoms, or alkyl and optionally containing 1-3 oxygen, nitrogen, sulfur, or phosphorus atoms; Y = carbonyl, thiocarbonyl, 1,2-dioxoethylene, alkyl, alkenyl, etc.; A = saturated, partially saturated, or aromatic 3-7 monocyclic or 8-10 membered bicyclic ring having one ring nitrogen and 0-4 addnl. heteroatoms selected from O, P, S or N; m = 0 or 1, n = 0-5;] and their pharmaceutically acceptable salts are prepared and disclosed as CCR5 antagonists. Thus, II was prepared via condensation of tert-Bu 3-(3,4-dichlorophenyl)-3-(3-oxopropyl)pyrrolidine-1-carboxylate (preparation given) with the amine III followed by deprotection and acylation with 2-furanoyl chloride. I have pIC50 values of ≥ 5 in assays for CCR5 antagonism. As CCR5 antagonists, I are useful for the treatment of viral infections (particularly HIV infection).

IT 25526-93-6, 3'-Deoxy-3'-fluorothymidine

119644-22-3 129618-40-2, BI-RG-587

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

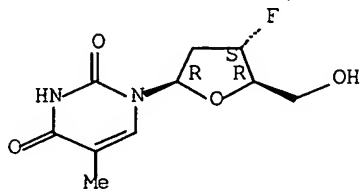
(codrug for therapeutic administration; preparation of pyrrolidine and azetidine derivs. as CCR5 antagonists)

10/809,250

RN 25526-93-6 HCAPLUS

CN Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)

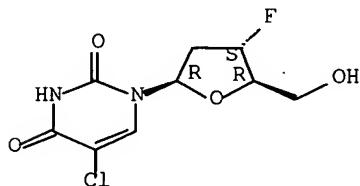
Absolute stereochemistry.



RN 119644-22-3 HCAPLUS

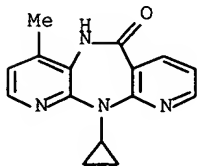
CN Uridine, 5-chloro-2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



IC ICM C07D471-10

ICS A61K031-438; A61P031-00; A61P029-00; C07D235-00; C07D221-00

CC 27-10 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 10, 63

ST pyrrolidine carboxylate triazaspirodecylalkyl prepn CCR5
antagonist HIV treatment

IT AIDS (disease)

Alzheimer's disease

Anti-AIDS agents

Anti-Alzheimer's agents

Antiartherosclerotics

Antiasthmatics

Antibacterial agents

Antirheumatic agents

Antitumor agents

Antiviral agents

Arteriosclerosis

Asthma
 Drug interactions
 Human
 Human papillomavirus
 Immune disease
 Inflammation
 Kidney, disease
 Multiple sclerosis
 Neoplasm
 Prostate gland, neoplasm
 Rheumatoid arthritis
 Sjogren syndrome
 Transplant rejection
 Wound healing

(preparation of pyrrolidine and azetidine derivs. as CCR5 antagonists)

IT 54-42-2, Idoxuridine 57-66-9, Probenecid 58-32-2, Dipyrindamole 123-77-3, Diazenedicarboxamide 127-07-1, Hydroxyurea 616-91-1, N-Acetylcysteine 3056-17-5, Stavudine 4097-22-7, 2',3'-Dideoxyadenosine 4428-95-9, Phosphonoformic acid 6493-05-6, Pentoxifylline 7481-89-2, Zalcitabine 11096-26-7, Erythropoietin 15477-76-6D, Phosphonate, acyclic nucleoside derivs. 19771-63-2, Procysteine 25526-93-6, 3'-Deoxy-3'-fluorothymidine 29321-75-3, PRO-2000 29706-85-2 30516-87-1, Zidovudine 39809-25-1 59277-89-3 61512-21-8, Thymosin 69655-05-6, Didanosine 82410-32-0 83869-56-1, GM-CSF 104227-87-4 113269-46-8 113852-37-2 119644-22-3 124265-89-0 124832-26-4 127759-89-1 127779-20-8, Saquinavir 129618-40-2, BI-RG-587 134678-17-4, Lamivudine 136470-78-5, Abacavir 136817-59-9, Delavirdine 142340-99-6, Adefovir dipivoxil 142632-32-4, Calanolide A 143491-54-7, FTC 145514-04-1, Dapd 147127-20-6, Tenofovir 147318-81-8, KNI-272 147362-57-0, Loviride 149950-60-7, MKC-442 150378-17-9, Indinavir 154598-52-4, Efavirenz 155148-31-5, AMD-3100 155213-67-5, Ritonavir 159989-64-7, Nelfinavir 161814-49-9, Amprenavir 170020-61-8, FP-21399 174391-92-5, Mozenavir 174484-41-4, Tipranavir 178979-85-6, Capravirine 195156-77-5 198904-31-3, BMS-232632 201341-05-1 213252-22-3, Reticulose 214287-99-7, DPC-083 216863-66-0, MK-944A 226700-79-4, Fosamprenavir 352234-06-1, AG-1776 383198-58-1, PRO-542

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (codrug for therapeutic administration; preparation of pyrrolidine and azetidine derivs. as CCR5 antagonists)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L82 ANSWER 12 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:534200 HCAPLUS Full-text

DOCUMENT NUMBER: 141:88928

TITLE: Preparation of indane compounds and analogs as CCR5 antagonists

INVENTOR(S): Youngman, Michael; Kazmierski, Wieslaw
 Mieczyslaw; Yang, Hanbiao; Aquino, Christopher
 Joseph

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004055012

A1

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WO 2003-US39975

2003

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ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT,
RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW,
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EP 1581530

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EP 2003-813460

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US 2005-538183

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PRIORITY APPLN. INFO.:

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WO 2003-US39975

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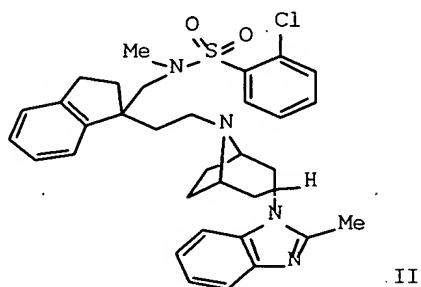
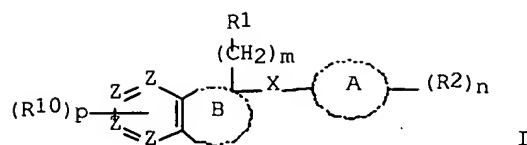
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OTHER SOURCE(S):

MARPAT 141:88928

GI



AB Title compds. I [R1 = (un)substituted saturated, partially saturated, or aromatic 4-7 monocyclic or 8-10 membered bicyclic ring having one ring N and 0-4 addnl. heteroatoms selected from O, P, S or N, optionally attached through alkylene chain, (un)substituted-amide, etc.; R2 = OH, (un)substituted-alkyl, -alkoxy, -heteroaryl, etc., optionally two adjacent R2s taken together form a fused, saturated, partially saturated or aromatic 5-6 membered ring having 0-3 heteroatoms selected from O, P, S, or N, or two geminal R2s optionally taken together from a spiro, saturated, partially saturated or aromatic 5-6 membered ring having 0-3 heteroatoms selected from O, P, S or N, said fused or spiro ring being optionally substituted; R10 = H, halo, F3C, (un)substituted-aryl, etc., or two R10s may together form a 3-7 membered saturated, partially saturated, or aromatic carbocyclic ring, optionally containing one or more heteroatom selected from O, P, N, or S that is fused to depicted ring; X = (un)substituted- alkylene chain which optionally may have 0-3 heteroatoms selected from O, P, S or N; A = saturated, partially saturated, or aromatic 3-7 monocyclic or 8-10 membered bicyclic ring having one ring nitrogen and 0-4 addnl. heteroatoms selected from O, P, S or N; B = 4-7 membered saturated, partially saturated, or aromatic carbocyclic ring optionally containing 1-2 heteroatoms selected from O, P, S, or N; each Z maybe C or N (at least one Z = C) ; m = 1-3, n = 0-5, p = 0-4] and their pharmaceutically acceptable salts are prepared and disclosed as CCR5 antagonists. Thus, II was prepared by reaction of N-methyl(1-{2-[(1R,5S)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}-2,3-dihydro-1H-inden-1-yl)methanamine (preparation given) with 2-chlorophenylsulfonyl chloride. A preparative example utilizing combinatorial methods of synthesis is provided. I have pIC50 values of ≥ 5 in assays for CCR5 antagonism. As CCR5 antagonists, I are useful for the treatment of viral infections (particularly HIV infection).

IT 25526-93-6, 3'-Deoxy-3'-fluorothymidine

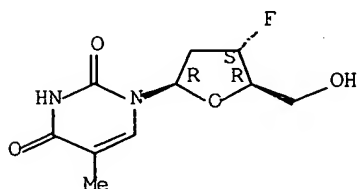
119644-22-3 129618-40-2, BI-RG-587

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(codrug for therapeutic administration; preparation of indane
comps. and analogs as CCR5 antagonists)

RN 25526-93-6 HCAPLUS

CN Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)

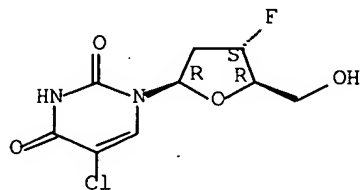
Absolute stereochemistry.



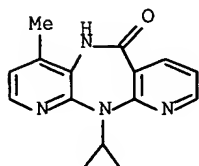
RN 119644-22-3 HCAPLUS

CN Uridine, 5-chloro-2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)

IC ICM C07D451-04

ICS C07D211-58; C07D471-10; C07D413-04; A61K031-439; A61P031-18

CC 25-23 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1, 10, 27, 63

ST indane deriv prepn CCR5 antagonist HIV treatment

IT AIDS (disease)

Alzheimer's disease

Anti-AIDS agents

Anti-Alzheimer's agents

Antiartherosclerotics

Antiasthmatics

Antibacterial agents

Antirheumatic agents

Antitumor agents

Antiviral agents

Arteriosclerosis

Asthma

Human

Human papillomavirus

Immune disease

Inflammation

Kidney, disease

Multiple sclerosis

Neoplasm

Prostate gland, neoplasm

Rheumatoid arthritis

Sjogren syndrome

Transplant rejection

Wound healing

(preparation of indane compds. and analogs as CCR5 antagonists)

IT 54-42-2, Idoxuridine 57-66-9, Probenecid 58-32-2, Dipyridamole 123-77-3, Diazenedicarboxamide 127-07-1, Hydroxyurea 616-91-1, N-Acetylcysteine 3056-17-5, Stavudine 4097-22-7, 2',3'-Dideoxyadenosine 4428-95-9, Phosphonoformic acid 6493-05-6, Pentoxifylline 7481-89-2, Zalcitabine 11096-26-7, Erythropoietin 15477-76-6D, Phosphonate, acyclic nucleoside derivs. 19771-63-2, Procysteine 25526-93-6, 3'-Deoxy-3'-fluorothymidine 29321-75-3, PRO-2000 29706-85-2 30516-87-1, Zidovudine 39809-25-1 59277-89-3 61512-21-8, Thymosin 69655-05-6, Didanosine 82410-32-0 83869-56-1, GM-CSF 104227-87-4 113269-46-8 113852-37-2 119644-22-3 124265-89-0 124832-26-4 127142-14-7 127759-89-1 127779-20-8, Saquinavir 129618-40-2, BI-RG-587 134678-17-4, Lamivudine 136470-78-5, Abacavir 136817-59-9, Delavirdine 142340-99-6, Adefovir dipivoxil 142632-32-4, Calanolide A 143491-54-7, FTC 145514-04-1, Dapd 147127-20-6, Tenofovir 147318-81-8, KNI-272 147362-57-0, Loviride 149950-60-7, MKC-442 150378-17-9, Indinavir 154598-52-4, Efavirenz 155148-31-5, AMD-3100 155213-67-5, Ritonavir 159989-64-7, Nelfinavir 161814-49-9, Amprenavir 170020-61-8, FP-21399 174391-92-5, Mozenavir 174484-41-4, Tipranavir 178979-85-6, Capravirine 195156-77-5 198904-31-3, BMS-232632 201341-05-1 213252-22-3, Reticulose 214287-99-7, DPC-083 216863-66-0, MK-944A 226700-79-4, Fosamprenavir 352234-06-1, AG-1776 383198-58-1, PRO-542

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(codrug for therapeutic administration; preparation of indane compds. and analogs as CCR5 antagonists)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L82 ANSWER 13 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:534199 HCAPLUS Full-text

DOCUMENT NUMBER: 141:89094

TITLE: Preparation of oxazine and morpholine derivatives as CCR5 antagonists

INVENTOR(S): Aquino, Christopher Joseph; Chong, Pek Yong; Duan, Maosheng; Kazmierski, Wieslaw Mieczyslaw

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004055011	A1	20040701	WO 2003-US39740	2003 1212

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003300911 A1 20040709 AU 2003-300911

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EP 1569931 A1 20050907 EP 2003-813436

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,
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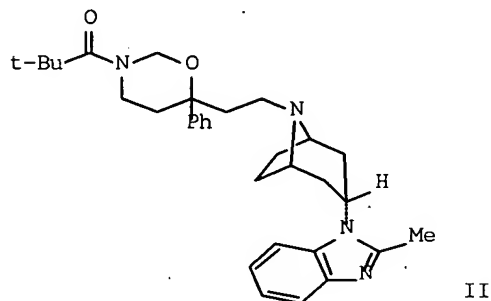
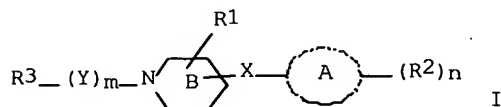
2002
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WO 2003-US39740 W

2003
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OTHER SOURCE(S): MARPAT 141:89094
GI



AB Title compds. I [R1 = (un)substituted-alkyl, -alkenyl, -alkynyl, -cycloalkyl, etc., or R1 and X taken together from a saturated, partially saturated, or aromatic 5-6 membered ring having 0-3 heteroatoms selected from O, P, S or N fused to ring A; R2 = OH, halo, (un)substituted-alkyl, -alkynyl, -heteroaryl, etc., optionally two adjacent R2s taken together form a fused, saturated, partially saturated or aromatic 5-6 membered ring having 0-3 heteroatoms selected from O, P, S, or N, or two geminal R2s optionally taken together from a (un)substituted spiro, saturated, partially saturated or aromatic 5-6 membered ring having 0-3 heteroatoms selected from O, P, S or N, said fused or spiro ring being optionally substituted; X = (un)substituted-alkylene chain which optionally may have 0-3 heteroatoms selected from O, P, S or N; A = saturated, partially saturated, or aromatic 3-7 monocyclic or 8-10 membered bicyclic ring having one ring nitrogen and 0-4 addnl. heteroatoms selected from O, P, S or N; Ring B contains an oxygen atom in addition to depicted N; R3 = H, amine, CF3, halo, (un)substituted alkyl,

etc., Y = alkyl, alkenyl, alkynyl, carbonyl, thiocarbonyl, etc.; m = 0-1, n = 0-5] and their pharmaceutically acceptable salts are prepared and disclosed as CCR5 antagonists. Thus, II was prepared by reaction of [3-(2,2-dimethylpropanoyl)-6-phenyl-1,3-oxazinan-6-yl]acetaldehyde (preparation given) with 1-[(1R,5S)-8-azabicyclo[3.2.1]oct-3-yl]-2-methyl-1H-benzimidazole dihydrochloride. I have pIC50 values of ≥ 5 in assays for CCR5 antagonism. As CCR5 antagonists, I are useful for the treatment of viral infections (particularly HIV infection).

IT 25526-93-6, 3'-Deoxy-3'-fluorothymidine

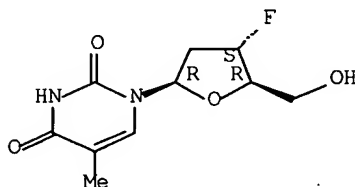
119644-22-3 129618-40-2, BI-RG-587

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(codrug for therapeutic administration; preparation of oxazine and morpholine derivs. as CCR5 antagonists)

RN 25526-93-6 HCAPLUS

CN Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)

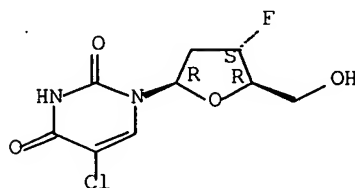
Absolute stereochemistry.



RN 119644-22-3 HCAPLUS

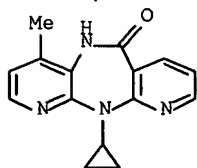
CN Uridine, 5-chloro-2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



IC ICM C07D451-02

ICS C07D413-06; C07D413-14; C07D471-10; C07D417-14; A61K031-46;
A61P031-18

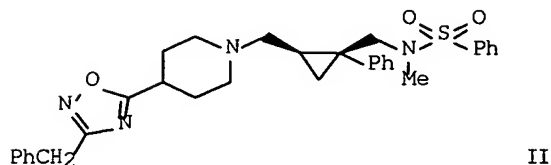
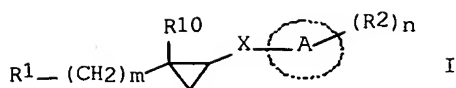
CC 28-13 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 10, 63

ST indane deriv prepn CCR5 antagonist HIV treatment
 IT AIDS (disease)
 Alzheimer's disease
 Anti-AIDS agents
 Anti-Alzheimer's agents
 Antiarteriosclerotics
 Antiasthmatics
 Antibacterial agents
 Antirheumatic agents
 Antitumor agents
 Antiviral agents
 Arteriosclerosis
 Asthma
 Human
 Human papillomavirus
 Immune disease
 Inflammation
 Kidney, disease
 Multiple sclerosis
 Neoplasm
 Prostate gland, neoplasm
 Rheumatoid arthritis
 Sjogren syndrome
 Transplant rejection
 Wound healing
 (preparation of oxazine and morpholine derivs. as CCR5 antagonists)
 IT 54-42-2, Idoxuridine 57-66-9, Probenecid 58-32-2, Dipyrindamole
 123-77-3, Diazenedicarboxamide 127-07-1, Hydroxyurea 616-91-1,
 N-Acetylcysteine 3056-17-5, Stavudine 4097-22-7,
 2',3'-Dideoxyadenosine 4428-95-9, Phosphonoformic acid
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 FP-21399 174391-92-5, Mozenavir 174484-41-4, Tipranavir
 178979-85-6, Capravirine 195156-77-5 198904-31-3, BMS-232632
 201341-05-1 213252-22-3, Reticulose 214287-99-7, DPC-083
 216863-66-0, MK-944A 226700-79-4, Fosamprenavir 352234-06-1,
 AG-1776 383198-58-1, PRO-542
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (codrug for therapeutic administration; preparation of oxazine and
 morpholine derivs. as CCR5 antagonists)
 REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L82 ANSWER 14 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:534198 HCAPLUS Full-text
 DOCUMENT NUMBER: 141:88871
 TITLE: Preparation of aminoalkylaryl cyclopropyl
 compounds as CCR5 antagonists
 INVENTOR(S): Peckham, Jennifer Poole; Aquino, Christopher
 Joseph; Kazmierski, Wieslaw Mieczyslaw
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
 SOURCE: PCT Int. Appl., 138 pp.

DOCUMENT TYPE: CODEN: PIXXD2
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: English
 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004055010	A2	20040701	WO 2003-US39619	2003 1212
<--				
WO 2004055010	A3	20041223		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003296993	A1	20040709	AU 2003-296993	2003 1212
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EP 1569934	A2	20050907	EP 2003-813416	2003 1212
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006514950	T2	20060518	JP 2004-560831	2003 1212
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US 2006052408	A1	20060309	US 2005-538196	2005 0609
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PRIORITY APPLN. INFO.:			US 2002-433626P	P 2002 1213
<--				
			WO 2003-US39619	W 2003 1212
<--				
OTHER SOURCE(S):		MARPAT 141:88871		
GI				



AB Title compds. I [R1 = (un)substituted saturated, partially saturated, or aromatic 4-7 monocyclic or 8-10 membered bicyclic ring having one ring nitrogen and 0-4 addnl. heteroatoms selected from O, P, S or N, optionally attached through alkylene chain, substituted-amine, -amide, etc.; R2 = OH, halogen (un)substituted-alkyl, -alkoxy, -aryl, -heteroaryl, -cycloalkyl, etc., optionally two adjacent R2s taken together form a fused, saturated, partially saturated or aromatic 5-6 membered ring having 0-3 heteroatoms selected from O, P, S, or N, or two geminal R2s optionally taken together from a spiro, saturated, partially saturated or aromatic 5-6 membered ring having 0-3 heteroatoms selected from O, P, S or N, said fused or spiro ring being optionally substituted; R10 = H, (un)substituted-alkyl, -alkenyl, -alkynyl, -cycloalkyl, -heterocyclyl, -heteroaryl, or aryl; X = (un)substituted-alkylene chain which optionally may have 0-3 heteroatoms selected from O, P, S or N; A = saturated, partially saturated, or aromatic 3-7 monocyclic or 8-10 membered bicyclic ring having one ring nitrogen and 0-4 addnl. heteroatoms selected from O, P, S or N; m = 0-3, n = 0-5] and their pharmaceutically acceptable salts are prepared and disclosed as CCR5 antagonists. Thus, II was prepared by reaction of N-[(1S,2R)-2-formyl-1-phenylcyclopropyl]methyl)-N-methylbenzenesulfonamide (preparation given) and 4-(3-benzyl-1,2,4-oxadiazol-5-yl)piperidine. Addnl. preparative examples utilizing combinatorial methods of synthesis are given. I have pIC50 values of ≥ 5 in assays for CCR5 antagonism. As CCR5 antagonists, I are useful for the treatment of viral infections (particularly HIV infection).

IT 25526-93-6, 3'-Deoxy-3'-fluorothymidine

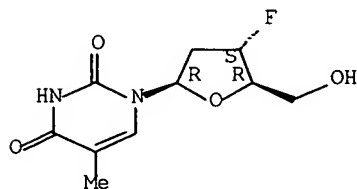
119644-22-3 129618-40-2, BI-RG-587

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(codrug for therapeutic administration; preparation of
aminoalkylaryl cyclopropane derivs. as CCR5 antagonists)

RN 25526-93-6 HCAPLUS

CN Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)

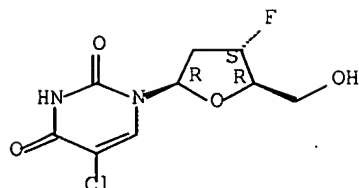
Absolute stereochemistry.



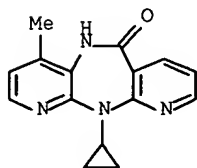
RN 119644-22-3 HCAPLUS

CN Uridine, 5-chloro-2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS
 CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
 11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



IC ICM C07D413-00
 CC 24-2 (Alicyclic Compounds)
 Section cross-reference(s): 1, 10, 27, 63
 ST cyclopropane aminoalkylaryl prepn CCR5 antagonist HIV
 treatment
 IT **AIDS** (disease)
 Alzheimer's disease
 Anti-**AIDS** agents
 Anti-Alzheimer's agents
 Antiartherosclerotics
 Antiasthmatics
 Antibacterial agents
 Antirheumatic agents
 Antitumor agents
 Antiviral agents
 Arteriosclerosis
 Asthma
 Human
 Human papillomavirus
 Immune disease
 Inflammation
 Kidney, disease
 Multiple sclerosis
 Neoplasm
 Prostate gland, neoplasm
 Rheumatoid arthritis
 Sjogren syndrome
 Transplant rejection
 Wound healing
 (preparation of aminoalkylaryl cyclopropane derivs. as CCR5
 antagonists)
 IT 54-42-2, Idoxuridine 57-66-9, Probenecid 58-32-2, Dipyrindamole
 123-77-3, Diazenedicarboxamide 127-07-1, Hydroxyurea 616-91-1,
 N-Acetylcysteine 3056-17-5, Stavudine 4097-22-7,
 2',3'-Dideoxyadenosine 4428-95-9, Phosphonoformic acid
 6493-05-6, Pentoxifylline 7481-89-2, Zalcitabine 11096-26-7,
 Erythropoietin 15477-76-6D, Phosphonate, acyclic nucleoside
 derivs. 19771-63-2, Procysteine 25526-93-6,
 3'-Deoxy-3'-fluorothymidine 29321-75-3, PRO-2000 30516-87-1,

Zidovudine 39809-25-1 59277-89-3 61512-21-8, Thymosin
 69655-05-6, Didanosine 82410-32-0 83869-56-1, GM-CSF
 104227-87-4 113269-46-8 113852-37-2 119644-22-3
 124265-89-0 124832-26-4 127759-89-1 127779-20-8, Saquinavir
 129618-40-2, BI-RG-587 134678-17-4, Lamivudine
 136470-78-5, Abacavir 136817-59-9, Delavirdine 142340-99-6,
 Adefovir dipivoxil 142632-32-4, Calanolide A 143491-54-7, FTC
 145514-04-1, Dapd 147127-20-6, Tenofovir 147318-81-8, KNI-272
 147362-57-0, Loviride 149950-60-7, MKC-442 150378-17-9,
 Indinavir 154598-52-4, Efavirenz 155148-31-5, AMD-3100
 155213-67-5, Ritonavir 159989-64-7, Nelfinavir 161814-49-9,
 Amprenavir 170020-61-8, FP-21399 172293-43-5 174391-92-5,
 Mozenavir 174484-41-4, Tipranavir 178979-85-6, Capravirine
 195156-77-5 198904-31-3, BMS-232632 201341-05-1 213252-22-3,
 Reticulose 214287-99-7, DPC-083 216863-66-0, MK-944A
 226700-79-4, Fosamprenavir 352234-06-1, AG-1776 383198-58-1,
 PRO-542

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (codrug for therapeutic administration; preparation of
 aminoalkylaryl cyclopropane derivs. as CCR5 antagonists)

L82 ANSWER 15 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:534173 HCAPLUS Full-text

DOCUMENT NUMBER: 141:89016

TITLE: Preparation of benzimidazolylazabicyclooctylethylpi
 peridines as Ccr5 antagonists for the
 treatment of HIV infection

INVENTOR(S): Kazmierski, Wieslaw Mieczyslaw; Aquino,
 Christopher Joseph; Bifulco, Neil; Boros, Eric
 Eugene; Chauder, Brian Andrew; Chong, Pek
 Yoke; Duan, Maosheng; Deanda, Felix, Jr.;
 Koble, Cecilia Suarez; Mclean, Ed Williams;
 Peckham, Jennifer Poole; Perkins, Angilique
 C.; Thompson, James Benjamin; Vanderwall, Dana
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; et al.;

SOURCE: PCT Int. Appl., 859 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004054974	A2	20040701	WO 2003-US39644	2003 1212

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WO 2004054974 A3 20040902

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
 CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG,
 ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
 KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
 MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT,
 RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT,
 TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW,
 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY,
 CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
 NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,
 GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2509711 AA 20040701 CA 2003-2509711

2003
1212

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AU 2003300902	A1	20040709	AU 2003-300902	2003 1212
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EP 1569646	A2	20050907	EP 2003-813419	2003 1212
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BR 2003017230	A	20051025	BR 2003-17230	2003 1212
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CN 1744899	A	20060308	CN 2003-80109628	2003 1212
			<--	
JP 2006511554	T2	20060406	JP 2004-560838	2003 1212
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NO 2005002739	A	20050819	NO 2005-2739	2005 0607
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PRIORITY APPLN. INFO.:			US 2002-433634P	P 2002 1213
			<--	
			WO 2003-US39644	W 2003 1212
			<--	
OTHER SOURCE(S):		MARPAT 141:89016		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT
*

AB Compds. I [R1 = (optionally substituted) alkyl, aryl, heteroaryl, carbocyclyl; R2 = H, (optionally substituted) alkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, aralkyl, heteroarylalkyl, heteroarylcycloalkyl, aralkylcarbonyl, heteroarylsulfinyl; R3 = H, halo, cyano, trifluoromethyl, (optionally substituted) amino, acylamino, alkyl; X = C1-5 alkylene, optionally substituted with oxo or thioxo groups or halogen atoms, and optionally containing 1-3 oxygen, nitrogen, sulfur, or phosphorus atoms; Y = carbonyl, thiocarbonyl, 1,2-dioxoethylene, oxyalkylcarbonyl, sulfinyl, sulfonyl, oxycyanoimino, (optionally substituted) aminocarbonyl, carbonylamino, aminothiocarbonyl, oxyiminomethyl, thioiminomethyl, amino(cyanoimino)methyl, (cyanoimino)methyl, amino(acylimino)methyl, amino(sulfonylimino)methyl, amino(sulfinylimino)methyl, amino(alkoxyimino)methyl, amino(imino)methyl, (cyanoimino)methoxy, iminomethoxy, (cyanoimino)methanethiyl, alkylcarbonyloxy; A = saturated, partially saturated, or aromatic monocyclic ring with 5-6 atoms or a bicyclic ring with 8-10 members containing 0-5 nitrogen, oxygen, and/or sulfur atoms] such as II are prepared I are prepared as Ccr5 antagonists for the treatment of viral infections, (particularly HIV infection), related syndromes such as AIDS-related complex (ARC), progressive generalized lymphadenopathy, Kaposi's sarcoma, and neurol. conditions, and other diseases such as multiple sclerosis, rheumatoid arthritis, Crohn's disease, and immune-mediated disorders. The invention compds. have pIC50 values of ≥ 5 in assays for Ccr5 antagonism. Piperidineacetaldehyde III is prepared in four steps from 4-phenyl-4-piperidinecarbonitrile by protection of the piperidine with Boc anhydride, reduction of the nitrile with diisobutylaluminum hydride, Wittig olefination with

methoxymethylphosphonium chloride, and hydrolysis of the enol ether with catalytic p-toluenesulfonic acid monohydrate. The hydrochloride of endo-(benzimidazolyl)azabicyclooctane IV is prepared in five steps from tert-Bu endo-3-oxo-8-azabicyclo[3.2.1]octane-8-carboxylate; reductive amination with benzylamine, reductive cleavage of the benzyl group by palladium-mediated hydrogenation, a nucleophilic aryl substitution reaction with 1-fluoro-2-nitrobenzene, reduction of the nitro group by hydrogenation over palladium on carbon, and treatment with tri-Et orthoacetate followed by treatment with hydrochloric acid in ethanol. Coupling of III and IV by reductive amination with sodium triacetoxyborohydride, cleavage of the Boc group with hydrochloric acid in dioxane, and acylation with pivaloyl chloride and triethylamine yields II.

IT 25526-93-6, 3'-Deoxy-3'-fluorothymidine

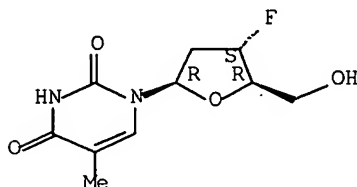
119644-22-3 129618-40-2, Nevirapine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic agents used in conjunction with
benzimidazolylazabicyclooctylethylpiperidine Ccr5 antagonists
in the treatment of bacterial and viral infections,
particularly HIV infection, and other diseases)

RN 25526-93-6 HCAPLUS

CN Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)

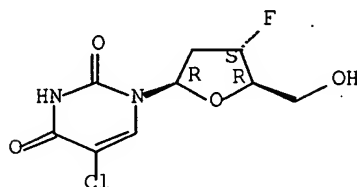
Absolute stereochemistry.



RN 119644-22-3 HCAPLUS

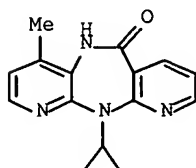
CN Uridine, 5-chloro-2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



IC ICM C07D211-00

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 10; 63

ST benzimidazolylazabicyclooctylethylpiperidine prepn Ccr5 antagonist
HIV treatment

IT **AIDS** (disease)
(-related complex; preparation of benzimidazolylazabicyclooctylethyl
piperidine Ccr5 antagonists in the treatment of viral
infections, particularly **HIV** infections)

IT Multiple sclerosis
(**AIDS**-related; preparation of
benzimidazolylazabicyclooctylethylpiperidine Ccr5 antagonists
in the treatment of bacterial and viral infections and other
diseases such as multiple sclerosis)

IT Nervous system, disease
(**AIDS**-related; preparation of
benzimidazolylazabicyclooctylethylpiperidine Ccr5 antagonists
in the treatment of viral infections, particularly **HIV**
infections)

IT Sarcoma
(Kaposi's; preparation of benzimidazolylazabicyclooctylethylpiperidi
ne Ccr5 antagonists in the treatment of viral infections,
particularly **HIV** infections)

IT Cytokines
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antagonists; therapeutic agents used in conjunction with
benzimidazolylazabicyclooctylethylpiperidine Ccr5 antagonists
in the treatment of viral infections, particularly **HIV**
infection)

IT Mental and behavioral disorders
(dementia, **AIDS**-related; preparation of
benzimidazolylazabicyclooctylethylpiperidine Ccr5 antagonists
in the treatment of viral infections, particularly **HIV**
infections)

IT Kidney
(excretion inhibitors; therapeutic agents used in conjunction
with benzimidazolylazabicyclooctylethylpiperidine Ccr5
antagonists in the treatment of viral infections, particularly
HIV infection)

IT Envelope proteins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(gp120env, antagonists; therapeutic agents used in conjunction
with benzimidazolylazabicyclooctylethylpiperidine Ccr5
antagonists in the treatment of viral infections, particularly
HIV infection)

IT Fusion, biological
(inhibitors; therapeutic agents used in conjunction with
benzimidazolylazabicyclooctylethylpiperidine Ccr5 antagonists
in the treatment of viral infections, particularly **HIV**
infection)

IT Lymph node, disease
(lymphadenopathy; preparation of benzimidazolylazabicyclooctylethylp
iperidine Ccr5 antagonists in the treatment of viral
infections, particularly **HIV** infections)

IT Anti-inflammatory agents
(nonsteroidal; preparation of benzimidazolylazabicyclooctylethylpipe
ridine Ccr5 antagonists in the treatment of viral infections,
particularly **HIV** infections)

IT Transport proteins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nucleoside transporter, inhibitors; therapeutic agents used in
conjunction with benzimidazolylazabicyclooctylethylpiperidine
Ccr5 antagonists in the treatment of viral infections,
particularly **HIV** infection)

IT **AIDS** (disease)
Anti-**AIDS** agents

- (preparation of benzimidazolylazabicyclooctylethylpiperidine Ccr5 antagonists in the treatment of viral infections, particularly HIV infections)
- IT CD4 (antigen)
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (soluble; therapeutic agents used in conjunction with benzimidazolylazabicyclooctylethylpiperidine Ccr5 antagonists in the treatment of bacterial and viral infections, particularly HIV infection, and other diseases)
- IT Interleukin 2
 Trichosanthin
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (therapeutic agents used in conjunction with benzimidazolylazabicyclooctylethylpiperidine Ccr5 antagonists in the treatment of bacterial and viral infections, particularly HIV infection, and other diseases)
- IT Immunomodulators
 (therapeutic agents used in conjunction with benzimidazolylazabicyclooctylethylpiperidine Ccr5 antagonists in the treatment of viral infections, particularly HIV infection)
- IT Acyclonucleosides
 Interferons
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (therapeutic agents used in conjunction with benzimidazolylazabicyclooctylethylpiperidine Ccr5 antagonists in the treatment of viral infections, particularly HIV infection)
- IT Purpura (disease)
 (thrombocytopenic; preparation of benzimidazolylazabicyclooctylethylpiperidine Ccr5 antagonists in the treatment of viral infections, particularly HIV infections)
- IT Infection
 (viral; preparation of benzimidazolylazabicyclooctylethylpiperidine Ccr5 antagonists in the treatment of viral infections, particularly HIV infections)
- IT Interferons
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (α ; therapeutic agents used in conjunction with benzimidazolylazabicyclooctylethylpiperidine Ccr5 antagonists in the treatment of bacterial and viral infections, particularly HIV infection, and other diseases)
- IT 52350-85-3, Integrase
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (antagonists; therapeutic agents used in conjunction with benzimidazolylazabicyclooctylethylpiperidine Ccr5 antagonists in the treatment of viral infections, particularly HIV infection)
- IT 9047-64-7, Ribonucleotide reductase 9068-38-6, Reverse transcriptase 144114-21-6, HIV-1 Protease
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (inhibitors; therapeutic agents used in conjunction with benzimidazolylazabicyclooctylethylpiperidine Ccr5 antagonists in the treatment of viral infections, particularly HIV infection)
- IT 54-42-2, Idoxuridine 57-66-9, Probenecid 58-32-2, Dipyrindamole 123-77-3, 1,1'-Azobisformamide 127-07-1, Hydroxyurea 616-91-1, N-Acetylcysteine 3056-17-5, Stavudine 4428-95-9, Phosphonoformic acid 6493-05-6, Pentoxifylline 7481-89-2, Zalcitabine 11096-26-7, Erythropoietin 15477-76-6D, Phosphonate, nucleoside derivs. 19771-63-2, Procysteine 25526-93-6, 3'-Deoxy-3'-fluorothymidine 29321-75-3, PRO-2000 29706-85-2 30516-87-1, AZT 39809-25-1, Penciclovir 59277-89-3, Acyclovir 61512-21-8, Thymosin 69655-05-6, 2',3'-Dideoxyinosine 82410-32-0, Ganciclovir 83869-56-1, GM-CSF 104227-87-4, Fanciclovir 113269-46-8, Oxetanocin-G

113852-37-2, HPMPC 119644-22-3 124265-89-0, H2G
 124832-26-4, Valaciclovir 127142-14-7 127759-89-1, SQ-34514
 127779-20-8, Saquinavir 129618-40-2, Nevirapine
 134678-17-4, Lamivudine 136470-78-5, Abacavir 136817-59-9,
 Delavirdine 142340-99-6, Bis-POM PMEA 142632-32-4,
 (+)-Calanolide A 143491-54-7, FTC 145514-04-1, DAPD
 147127-20-6, Tenofovir 147318-81-8, KNI-272 147362-57-0,
 Loviride 149950-60-7, MKC-442 150378-17-9, Indinavir
 154598-52-4, Efavirenz 155148-31-5, AMD-3100 155213-67-5,
 Ritonavir 159989-64-7, Nelfinavir 161814-49-9, Amprenavir
 170020-61-8, FP-21399 174391-92-5, Mozenavir 174484-41-4,
 Tipranavir 178979-85-6, Capravirine 195156-77-5, ABT-606
 198904-31-3, BMS-232632 201341-05-1, Bis-POC-PMPA 213252-22-3,
 Reticulose 214287-99-7, DPC-083 216863-66-0, MK-944A
 226700-79-4, Fosamprenavir 352234-06-1, AG-1776 383198-58-1,
 PRO-542

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (therapeutic agents used in conjunction with
 benzimidazolylazabicyclooctylethylpiperidine Ccr5 antagonists
 in the treatment of bacterial and viral infections,
 particularly HIV infection, and other diseases)

L82 ANSWER 16 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:531360 HCAPLUS Full-text

DOCUMENT NUMBER: 141:88873

TITLE: Preparation of heterocyclylalkyl substituted
 cyclohexyl compounds as CCR5 antagonists

INVENTOR(S): Duan, Maosheng; Kazmierski, Wieslaw
 Mieczyslaw; Aquino, Christopher Joseph

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 103 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004054581

A2

20040701

WO 2003-US39732

2003

1212

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WO 2004054581

A3

20050203

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
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 ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
 KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
 MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT,
 RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT,
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 NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,
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AU 2003297048

A1

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AU 2003-297048

2003

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EP 1569647

A2

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EP 2003-813435

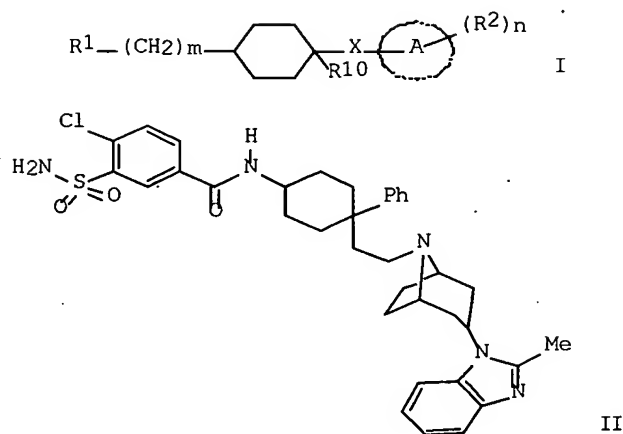
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 PRIORITY APPLN. INFO.: US 2002-433552P P 2002
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 OTHER SOURCE(S): MARPAT 141:88873
 GI



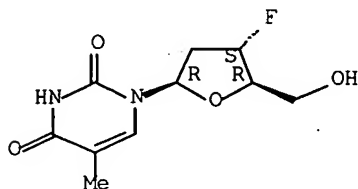
- AB Title compds. I [R1 = (un)substituted saturated, partially saturated, or aromatic 4-7 monocyclic or 8-10 membered bicyclic ring having one ring nitrogen and 0-4 addnl. heteroatoms selected from O, P, S or N, optionally attached through alkylene chain, substituted-amine, -amide, etc.; R2 = OH, halogen (un)substituted-alkyl, -alkoxy, -aryl, -heteroaryl, -cycloalkyl, etc., optionally two adjacent R2s taken together form a fused, saturated, partially saturated or aromatic 5-6 membered ring having 0-3 heteroatoms selected from O, P, S, or N, or two geminal R2s optionally taken together from a spiro, saturated, partially saturated or aromatic 5-6 membered ring having 0-3 heteroatoms selected from O, P, S or N, said fused or spiro ring being optionally substituted; R10 = H, (un)substituted-alkyl, -alkenyl, -alkynyl, -cycloalkyl, -heterocyclyl, -heteroaryl, or aryl; X = (un)substituted-alkylene chain which optionally may have 0-3 heteroatoms selected from O, P, S or N; A = saturated, partially saturated, or aromatic 4-7 monocyclic or 8-10 membered bicyclic ring having one ring nitrogen and 0-4 addnl. heteroatoms selected from O, P, S or N; m = 0 or 1, n = 0-5] and their pharmaceutically acceptable salts are prepared and disclosed as CCR5 antagonists. Thus, II was prepared by amidation of cis-4-{2-[3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl}-4-phenylcyclohexanamine (preparation given) with 3-(aminosulfonyl)-4-chlorobenzoic acid. I have pIC50 values of ≥ 5 in assays for CCR5 antagonism. As CCR5 antagonists, I are useful for the treatment of viral infections (particularly HIV infection).
- IT 25526-93-6, 3'-Deoxy-3'-fluorothymidine
 129618-40-2, BI-RG-587

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (codrug for therapeutic administration; preparation of
 heterocyclalkyl substituted cyclohexanes derivs. as CCR5
 antagonists)

RN 25526-93-6 HCAPLUS

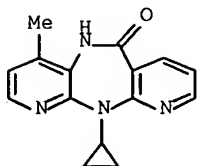
CN Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
 11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



IC ICM A61K031-46

ICS A61K031-438; A61P031-18; A61P031-04; C07D451-02; C07D471-10;
 C07D333-52; C07D211-26; C07D401-04

CC 24-5 (Alicyclic Compounds)

Section cross-reference(s): 1, 10, 27, 63

ST cyclohexane heterocyclalkyl prepn CCR5 antagonist HIV
 treatment

IT AIDS (disease)

Alzheimer's disease

Anti-AIDS agents

Anti-Alzheimer's agents

Antiartherosclerotics

Antiasthmatics

Antibacterial agents

Antirheumatic agents

Antitumor agents

Antiviral agents

Arteriosclerosis

Asthma

Human

Human papillomavirus

Immune disease

Inflammation

Kidney, disease

Multiple sclerosis

Neoplasm

Prostate gland, neoplasm

Rheumatoid arthritis

Sjogren syndrome

Transplant rejection

Wound healing

(preparation of heterocyclalkyl substituted cyclohexanes derivs.
as CCR5 antagonists)

IT 54-42-2, Idoxuridine 57-66-9, Probenecid 58-32-2, Dipyridamole
123-77-3, Diazenedicarboxamide 127-07-1, Hydroxyurea 616-91-1,
N-Acetylcysteine 3056-17-5, Stavudine 4097-22-7,
2',3'-Dideoxyadenosine 4428-95-9, Phosphonoformic acid
6493-05-6, Pentoxifylline 7481-89-2, Zalcitabine 11096-26-7,
Erythropoietin 15477-76-6D, Phosphonate, acyclic nucleoside
derivs. 19771-63-2, Procysteine 25526-93-6,
3'-Deoxy-3'-fluorothymidine 29321-75-3, PRO-2000 30516-87-1,
Zidovudine 39809-25-1 59277-89-3 61512-21-8, Thymosin
69655-05-6, Didanosine 82410-32-0 83869-56-1, GM-CSF
104227-87-4 113269-46-8 113852-37-2 124265-89-0
124832-26-4 127759-89-1 127779-20-8, Saquinavir
129618-40-2, BI-RG-587 134678-17-4, Lamivudine
136470-78-5, Abacavir 136817-59-9, Delavirdine 142340-99-6,
Adefovir dipivoxil 142632-32-4, Calanolide A 142739-72-8
143491-54-7, FTC 145514-04-1, Dapd 147127-20-6, Tenofovir
147318-81-8, KNI-272 147362-57-0, Loviride 149950-60-7,
MKC-442 150378-17-9, Indinavir 154598-52-4, Efavirenz
155148-31-5, AMD-3100 155213-67-5, Ritonavir 159989-64-7,
Nelfinavir 161814-49-9, Amprenavir 170020-61-8, FP-21399
174391-92-5, Mozenavir 174484-41-4, Tipranavir 178979-85-6,
Capravirine 195156-77-5 198904-31-3, BMS-232632 201341-05-1
213252-22-3, Reticulose 214287-99-7, DPC-083 216863-66-0,
MK-944A 226700-79-4, Fosamprenavir 352234-06-1, AG-1776
383198-58-1, PRO-542 714968-69-1
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(codrug for therapeutic administration; preparation of
heterocyclalkyl substituted cyclohexanes derivs. as CCR5
antagonists)

L82 ANSWER 17 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:41226 HCAPLUS Full-text

DOCUMENT NUMBER: 140:105321

TITLE: Methods and compositions relating to
isoleucine boroproline compounds

INVENTOR(S): Adams, Sharlene; Miller, Glenn T.; Jesson,
Michael I.; Jones, Barry

PATENT ASSIGNEE(S): Point Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 152 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004658	A2	20040115	WO 2003-US21405	2003 0709

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WO 2004004658 A3 20050804

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MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU,
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GQ, GW, ML, MR, NE, SN, TD, TG
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JP 2006507352 T2 20060302 JP 2004-562634

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CN 1802090 A 20060712 CN 2003-821282

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CN 1826129 A 20060830 CN 2003-821281

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US 2002-414978P P

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1001

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US 2003-466435P P

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0428

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WO 2003-US21405 W

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0709

OTHER SOURCE(S): MARPAT 140:105321

AB A method for treating subjects with, inter alia, abnormal cell proliferation or infectious disease using agents of formula (I, AmNHCH(CH(CH3)CH2CH3)COAlR) (where Am and Al are amino acids and R = organo boronates, organo phosphonates, fluoroalkyl ketones, alphaketos, N-peptioly-O-(acylhydroxylamines), azapeptides, azetidines, fluoroolefins dipeptide isosteres, peptidyl (α -aminoalkyl) phosphonate esters, aminoacyl pyrrolidine-2-nitriles and 4-cyanothiazolidides) is claimed. Methods for stimulating an immune response using the compds. of the invention are also claimed. Compns. containing Ile-boroPro compds. are also provided as are kits containing the compns. The invention embraces the use of these compds. alone or in combination with other therapeutic agents.

IT 25526-93-6, Alovudine 92562-88-4
129618-40-2, Nevirapine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

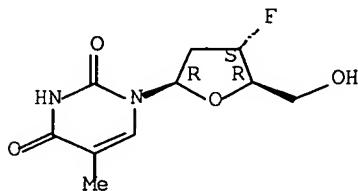
(Biological study); USES (Uses)

(therapeutic methods and compns. relating to isoleucine
boroproline compds. alone or in combination with other drugs,
antibodies, or antigens)

RN 25526-93-6 HCAPLUS

CN Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)

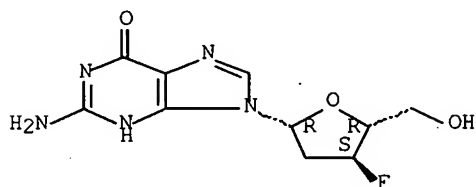
Absolute stereochemistry.



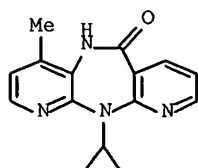
RN 92562-88-4 HCAPLUS

CN Guanosine, 2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)

IC ICM A61K

CC 1-12 (Pharmacology)

Section cross-reference(s): 15

IT Actinomyces

Adenoviridae

Bacteroides

Borrelia

Campylobacter

Citrobacter

Clostridium difficile

Corynebacterium

Cytomegalovirus

Echinococcus

Enterobacter
 Escherichia coli
 Fasciola
 Gardnerella
 Haemophilus
 Helicobacter pylori
 Human herpesvirus 1
 Human herpesvirus 2
 Human herpesvirus 3
 Human herpesvirus 4
 Human immunodeficiency virus
 Human papillomavirus
 Hymenolepis
 Klebsiella
 Legionella
 Listeria
 Monkeypox virus
 Necator americanus
 Neisseria
 Nocardia
 Paragonimus
 Pasteurella
 Pneumocystis
 Proteus (bacterium)
 Pseudomonas
 Respiratory syncytial virus
 Rotavirus
 Salmonella
 Shigella
 Spirillum
 Spirochaeta
 Streptobacillus
 Streptococcus
 Streptococcus pneumoniae
 Taenia
 Treponema
 Trichomonas vaginalis
 Trichuris trichiura
 Trypanosoma brucei
 Trypanosoma cruzi
 (infection; therapeutic methods and compns. relating to
 isoleucine boroproline compds. alone or in combination with
 other drugs, antibodies, or antigens)
 IT Acute lymphocytic leukemia
 Acute myeloid leukemia
 Angiogenesis inhibitors
 Anti-infective agents
 Antibacterial agents
 Antibacterial agents
 Antibiotics
 Antiemetics
 Antimicrobial agents
 Antitumor agents
 Antiviral agents
 Biliary tract, neoplasm
 Bladder, neoplasm
 Bone, neoplasm
 Brain, neoplasm
 Central nervous system, neoplasm
 Chronic lymphocytic leukemia
 Chronic myeloid leukemia
 Digestive tract, neoplasm
 Drug delivery systems
 Esophagus, neoplasm
 Eye, neoplasm
 Fungicides
 Head and Neck

Head and Neck, neoplasm
 Hodgkin's disease
 Human
 Immunodeficiency
 Immunostimulants
 Infection
 Influenza A virus
 Kidney, neoplasm
 Larynx, neoplasm
 Leprosy
 Leukemia
 Liver, neoplasm
 Lymphoma
 Malaria
 Mammary gland, neoplasm
 Melanoma
 Mouth, neoplasm
 Multiple myeloma
 Multiple sclerosis
 Mycosis
 Nausea
 Neoplasm
 Ovary, neoplasm
 Pancreas, neoplasm
 Parasitocides
 Prostate gland, neoplasm
 Radiotherapy
 Respiratory system, neoplasm
 Sarcoma
 Skin, neoplasm
 Staphylococcus
 Stomach, neoplasm
 Testis, neoplasm
 Thyroid gland, neoplasm
 Tuberculosis
 Tuberculostatics
 Urinary system, neoplasm
 Uterus, neoplasm
 Vaccines

(therapeutic methods and compns. relating to isoleucine
 boroproline compds. alone or in combination with other drugs,
 antibodies, or antigens)

IT 5980-31-4, Hexedine 6576-51-8, Stallimycin hydrochloride
 6591-72-6, Penicillin v hydrabamine 6804-07-5, Carbadox
 6981-18-6, Ormetoprim 6990-06-3, Fusidic acid 7054-25-3,
 Quinidine gluconate 7179-50-2, Oxytetracycline calcium
 7481-89-2, Zalcitabine 7527-91-5, Acrisorcin 7542-37-2,
 Paromomycin 7681-11-0, Potassium iodide, biological studies
 7681-93-8, Natamycin 8017-57-0D, Trisulfapyrimidine, derivs.
 8025-81-8, Spiramycin 8063-07-8, Kanamycin 8063-91-0,
 Mirincamycin hydrochloride 8064-90-2 8068-28-8, Colistimethate
 sodium 9001-06-3, Chitinase 9015-68-3, Asparaginase
 9041-93-4, Bleomycin sulfate 10118-85-1, Lydimycin 10118-90-8,
 Minocycline 10500-82-0, Famotidine hydrochloride 10540-97-3,
 Memotidine hydrochloride 11006-76-1, Virginiamycin 11006-77-2,
 Statolon 11015-37-5, Bambermycin 11016-07-2, Fungimycin
 11033-34-4, Steffimycin 11048-13-8, Nebramycin 11048-15-0,
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 11111-12-9, Cephalosporin 11121-32-7, Mepartricin 13292-46-1,
 Rifampin 13292-46-1D, Rifampin, derivs. 13392-28-4,
 Rimantadine 13411-16-0, Nifurpirinol 13463-41-7, Pyrrithione
 zinc 13614-98-7, Minocycline hydrochloride 14088-71-2,
 Proclonol 14698-29-4, Oxolinic acid 15037-55-5, Ethonam
 nitrate 15176-29-1, Edoxudine 15318-45-3, Thiamphenicol

15475-56-6, Methotrexate sodium 15663-27-1, Cisplatin
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 19885-51-9, Aranotin 20685-78-3, Rolitetracycline nitrate
 21462-39-5, Clindamycin hydrochloride 21593-23-7, Cephapirin
 21638-36-8, Nifurimide 21649-57-0, Carbenicillin phenylsodium
 21679-14-1, Fludarabine 21736-83-4, Spectinomycin hydrochloride
 21738-42-1, Oxamniquine 22204-24-6, Pyrantel pamoate
 22373-78-0, Monensin sodium 22484-64-6, Sulfanilate zinc
 22573-93-9, Alexidine 22832-87-7, Miconazole nitrate
 22916-38-7, Orconazole nitrate 22916-47-8, Miconazole
 22994-85-0, Benznidazole 23067-13-2, Erythromycin gluceptate
 23155-02-4, Fosfomycin 23214-92-8, Doxorubicin 23239-41-0,
 Cephacetrile sodium 23256-30-6, Nifurtimox 23313-80-6,
 Eptitetracycline hydrochloride 23319-48-4, Megalomicin potassium
 phosphate 23444-86-2, Suncillin sodium 23541-50-6,
 Daunorubicin hydrochloride 23593-75-1, Clotrimazole
 23736-58-5, Cloxacillin benzathine 24169-02-6, Econazole nitrate
 24356-60-3, Cephapirin sodium 24390-14-5, Doxycycline hyclate
 24729-96-2, Clindamycin phosphate 25316-40-9, Doxorubicin
 hydrochloride 25389-94-0, Kanamycin sulfate 25507-04-4,
 Clindamycin palmitate hydrochloride 25526-93-6,
 Alovudine 25953-19-9, Cefazolin 26309-95-5, Pivampicillin
 hydrochloride 26605-69-6, Carbenicillinindanylsodium
 26774-90-3, Epicillin 26786-84-5, Lomofungin 26787-78-0,
 Amoxicillin 27164-46-1, Cefazolin sodium 27220-47-9, Econazole
 27523-40-6, Isoconazole 27591-69-1, Tilorone hydrochloride
 27762-78-3, Kethoxal 27823-62-7, Chlortetracycline bisulfate
 27877-51-6, Tolindate 28069-65-0, Cuprimyxin 28088-64-4,
 Aminosalicic acid 28657-80-9, Cinoxacin 29342-05-0,
 Ciclopirox 29457-07-6, Ticarcillin disodium 29767-20-2,
 Teniposide 29984-33-6, Vidarabine phosphate 30034-03-8,
 Cefamandole sodium 30516-87-1, Zidovudine 31342-36-6,
 Chloramphenicol pantothenate complex 31431-39-7, Mebendazole
 32385-11-8, Sisomicin 32886-97-8, Amdinocillin pivoxil
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 Taxol 33419-42-0, Etoposide 33564-30-6, Cefoxitin sodium
 34444-01-4, Cefamandole 35523-45-6, Fludalanine 35554-44-0,
 Enilconazole 35607-20-6, Avridine 35607-66-0, Cefoxitin
 35834-26-5, Rosaramicin 36791-04-5, Ribavirin 36983-81-0,
 Fosfonet sodium 37091-65-9, Azlocillin sodium 37091-66-0,
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 37338-39-9, 37517-28-5, Amikacin 37661-08-8, Bacampicillin
 hydrochloride 38070-41-6, Tiodonium chloride 38821-53-3,
 Cephadrine 39030-72-3, Pivampicillin pamoate 39809-25-1,
 Penciclovir 39831-55-5, Amikacin sulfate 39878-70-1,
 Talampicillin hydrochloride 40034-42-2, Rosoxacin 40966-79-8,
 Sarpicillin 41575-94-4, Carboplatin 41621-49-2, Ciclopirox
 olamine 42057-22-7, Mezlocillin sodium 42190-91-0,
 Pivampicillin probenate 42540-40-9, Cefamandole nafate
 42835-25-6, Flumequine 43143-11-9, Bispyrithione magsulfex
 43169-50-2, Betamycin sulfate 49620-13-5, Robustaflavone
 49842-07-1, Tobramycin sulfate 50370-12-2, Cefadroxil
 50838-36-3, Tolciclate 51022-98-1, Butirosin sulfate
 51481-64-2, Rosaramicin propionate 51481-65-3, Mezlocillin
 51547-64-9, Rosaramicin stearate 51627-14-6, Cefatrizine
 51627-20-4, Cefaparole 51762-05-1, Cefroxadine 52123-49-6,
 Cefazaflur sodium 52152-93-9, Cefsulodin sodium 53066-26-5,
 Lexithromycin 53179-09-2, Sisomicin sulfate 53230-10-7,
 Mefloquine 53678-77-6, Muramyl dipeptide 53808-87-0,
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 Viroxime

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)

(therapeutic methods and compns. relating to isoleucine
 boroproline compds. alone or in combination with other drugs,
 antibodies, or antigens)

IT 63527-52-6, Cefotaxime 63585-09-1, Foscarnet sodium
 64211-46-7, Oxiconazole nitrate 64221-86-9, Imipenem
 64221-86-9D, Imipenem, derivs. 64485-93-4, Cefotaxime sodium
 64544-07-6, Cefuroxime axetil 64872-77-1, Butoconazole nitrate
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 65052-63-3, Cefetamet 65271-80-9, Mitoxantrone 65277-42-1,
 Ketoconazole 65473-14-5, Naftifine hydrochloride 65899-73-2,
 Tioconazole 66148-78-5, Temocillin 66309-69-1, Cefotiam
 hydrochloride 66887-96-5, Propikacin 67337-44-4, Sarmoxicillin
 67915-31-5, Terconazole 68401-82-1, Ceftizoxime sodium
 68693-30-1, Somantadine hydrochloride 68902-57-8, Metioprim
 69123-90-6, Fiacitabine 69123-98-4, Fialuridine 69198-10-3,
 Metronidazole hydrochloride 69402-03-5, Piridicillin sodium
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 69657-51-8, Acyclovir sodium 69712-56-7, Cefotetan 69756-53-2,
 Halofantrine 70052-12-9, Eflornithine 70288-86-7, Ivermectin
 70458-92-3, Pefloxacin 70458-95-6, Pefloxacin mesylate
 70458-96-7, Norfloxacin 70797-11-4, Cefpiramide 71002-10-3,
 Vidarabine sodium phosphate 71420-79-6 72275-67-3, Astromicin
 sulfate 72301-78-1, Zinviroxime 72301-79-2, Enviroxime
 72558-82-8, Ceftazidime 72559-06-9, Rifabutin 73334-05-1,
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 Fosarilate 73816-42-9, Meclocycline sulfosalicylate
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 monosodium 74849-93-7, Cefpiramide sodium 75738-58-8,
 Cefmenoxime hydrochloride 76168-82-6, Ramoplanin 76470-66-1,
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 hydrochloride 78964-85-9, Fosfomycin tromethamine 79350-37-1,
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 339286-24-7, GNI-250 339526-30-6, MDX-220 478159-64-7, 2C3
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 292 645417-21-6, BAY 38-9502 646031-42-7, Celogovab
 646032-07-7, Zamy1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)

(therapeutic methods and compns. relating to isoleucine
 boroproline compds. alone or in combination with other drugs,
 antibodies, or antigens)

L82 ANSWER 18 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:5729 HCAPLUS Full-text
 DOCUMENT NUMBER: 138:56191

TITLE: Preparation, **antiviral** activity, and cytotoxicity of β -2'- and 3'-halo-nucleosides

INVENTOR(S): Chu, Chung K.; Otto, Michael J.; Shi, Junxing; Schinazi, Raymond F.; Choi, Yongseok; Gumina, Giuseppe; Chong, Youhoon; et al.

PATENT ASSIGNEE(S): Pharmasset Ltd., Barbados; University of Georgia Research Foundation, Inc.; Emory University

SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

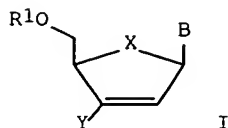
PATENT INFORMATION:

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WO 2003000200	A2	20030103	WO 2002-US20245	2002 0624
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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BR 2002010594	A	20051101	BR 2002-10594	2002 0624
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PRIORITY APPLN. INFO.:			US 2001-300356P	P 2001 0622
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OTHER SOURCE(S):

MARPAT 138:56191

GI



AB The present invention includes compds. and compns. of β -halo-nucleosides I wherein: R1 is hydrogen, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, amino acid residue, mono, di, or triphosphate, or a phosphate derivative; X is O, S, SO₂ or CH₂; Y is fluoro, chloro, bromo or iodo; and B is a purine or pyrimidine base that may optionally be substituted, as well as methods to treat HIV, HBV or abnormal cellular proliferation comprising administering said compds. or compns. Thus, (-)-1-[(1S,4R)-2,3-dideoxy-2,3-didehydro-2-fluoro-4-thio- β -D-ribofuranosyl]-cytosine was prepared and tested in vitro as **antiviral** agent. Preferred examples of **antiviral** agents can be used in combination or alternation with other known **antiviral** agents for HIV therapy. Use of the any one of the pharmaceutical compns. for the treatment and/or prophylaxis of an HIV infection or an abnormal cellular proliferation in a host.

IT 125362-05-2P 396653-01-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

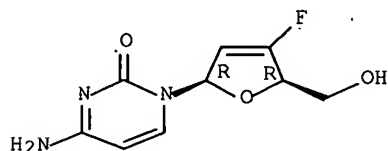
(Preparation); USES (Uses)

(preparation, **antiviral** activity, and cytotoxicity of β -2'- and 3'-halo-nucleosides)

RN 125362-05-2 HCAPLUS

CN Cytidine, 2',3'-didehydro-2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

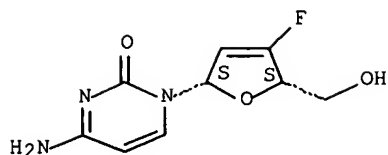
Absolute stereochemistry. Rotation (-).



RN 396653-01-3 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2S,5S)-4-fluoro-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

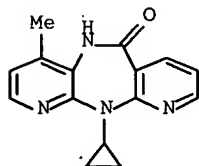


IT 129618-40-2, Nevirapine 181623-96-1
181785-94-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(preparation, **antiviral** activity, and cytotoxicity of
 β -2'- and 3'-halo-nucleosides)

RN 129618-40-2 HCAPLUS

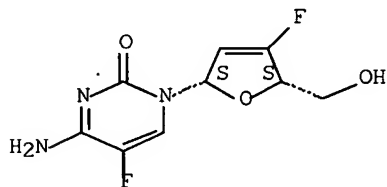
CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



RN 181623-96-1 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-5-fluoro-1-[4-fluoro-2,5-dihydro-5-(
hydroxymethyl)-2-furanyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

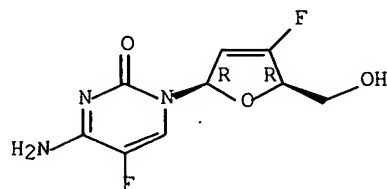
Absolute stereochemistry. Rotation (-).



RN 181785-94-4 HCAPLUS

CN Cytidine, 2',3'-didehydro-2',3'-dideoxy-3',5-difluoro- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



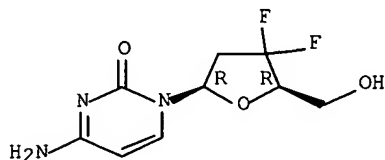
IT 184700-37-6P 395075-16-8P 395075-17-9P
 395075-18-0P 395075-19-1P 395075-20-4P
 395075-21-5P 479035-81-9P 479035-82-0P
 479035-84-2P 479035-85-3P 479035-86-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP
 (Preparation); RACT (Reactant or reagent)
 (preparation, **antiviral** activity, and cytotoxicity of
 β -2'- and 3'-halo-nucleosides)

RN 184700-37-6 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[4,4-difluorotetrahydro-5-
 (hydroxymethyl)-2-furanyl]-, (2R-cis)- (9CI) (CA INDEX NAME)

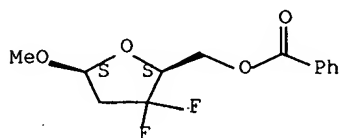
Absolute stereochemistry. Rotation (+).



RN 395075-16-8 HCAPLUS

CN 2-Furanmethanol, 3,3-difluorotetrahydro-5-methoxy-, benzoate,
 (2S,5S)- (9CI) (CA INDEX NAME)

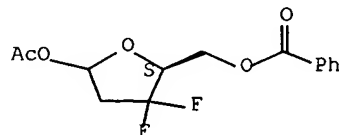
Absolute stereochemistry. Rotation (+).



RN 395075-17-9 HCAPLUS

CN 2-Furanmethanol, 5-(acetyloxy)-3,3-difluorotetrahydro-, benzoate,
 (2S)- (9CI) (CA INDEX NAME)

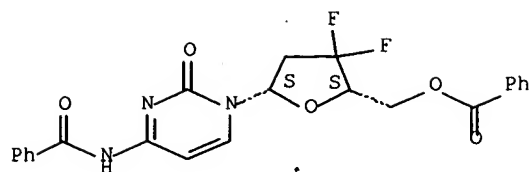
Absolute stereochemistry.



RN 395075-18-0 HCAPLUS

CN Benzamide, N-[1-[(2S,5S)-5-[(benzoyloxy)methyl]-4,4-
 difluorotetrahydro-2-furanyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-
 (9CI) (CA INDEX NAME)

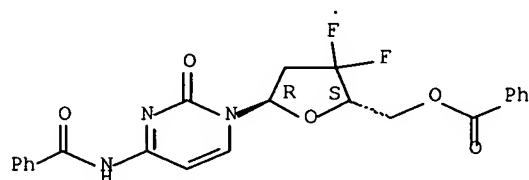
Absolute stereochemistry. Rotation (-).



RN 395075-19-1 HCAPLUS

CN Benzamide, N-[1-[(2R,5S)-5-[(benzoyloxy)methyl]-4,4-difluorotetrahydro-2-furanyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

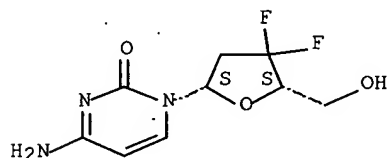
Absolute stereochemistry. Rotation (+)..



RN 395075-20-4 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2S,5S)-4,4-difluorotetrahydro-5-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

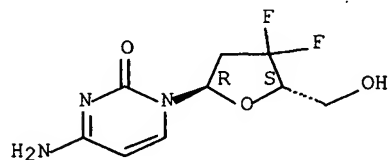
Absolute stereochemistry. Rotation (-).



RN 395075-21-5 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2R,5S)-4,4-difluorotetrahydro-5-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

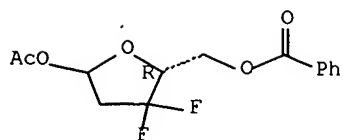
Absolute stereochemistry. Rotation (+).



RN 479035-81-9 HCAPLUS

CN 2-Furanmethanol, 5-(acetyloxy)-3,3-difluorotetrahydro-, benzoate, (2R)- (9CI) (CA INDEX NAME)

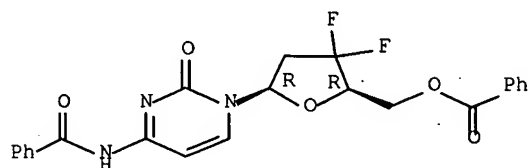
Absolute stereochemistry.



RN 479035-82-0 HCAPLUS

CN Benzamide, N-[1-[(2R,5R)-5-[(benzoyloxy)methyl]-4,4-difluorotetrahydro-2-furanyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

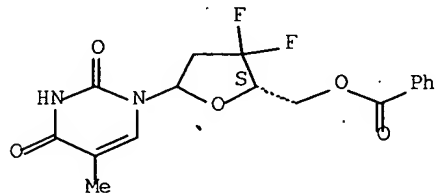
Absolute stereochemistry. Rotation (+).



RN 479035-84-2 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(5S)-5-[(benzoyloxy)methyl]-4,4-difluorotetrahydro-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

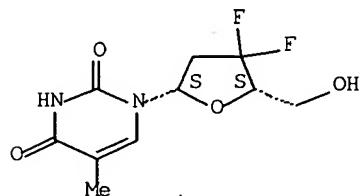
Absolute stereochemistry.



RN 479035-85-3 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,5S)-4,4-difluorotetrahydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

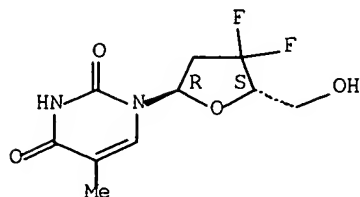
Absolute stereochemistry. Rotation (-).



RN 479035-86-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-4,4-difluorotetrahydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



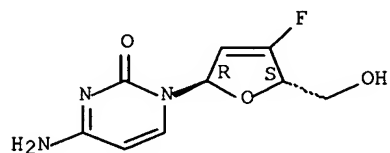
IT 396653-02-4P 479035-87-5P 479035-88-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, **antiviral** activity, and cytotoxicity of
 β -2'- and 3'-halo-nucleosides)

RN 396653-02-4 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2R,5S)-4-fluoro-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

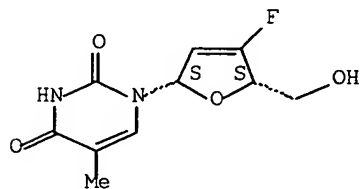
Absolute stereochemistry. Rotation (+).



RN 479035-87-5 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,5S)-4-fluoro-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

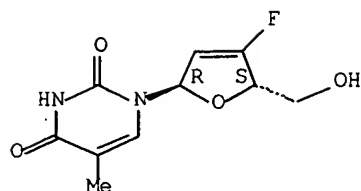
Absolute stereochemistry. Rotation (+).



RN 479035-88-6 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-4-fluoro-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



- IC ICM A61K
 CC 33-9 (Carbohydrates)
 Section cross-reference(s): 1, 63
 ST human **antiviral** nucleoside prodrug **AIDS**
 cytotoxicity prepn cellular proliferation
 IT Cell proliferation
 (inhibition; preparation, **antiviral** activity, and
 cytotoxicity of β -2'- and 3'-halo-nucleosides)
 IT Anti-AIDS agents
Antiviral agents
 Cytotoxic agents
 Cytotoxicity
 Hepatitis B virus
 Human
Human immunodeficiency virus 1
 Therapy
 (preparation, **antiviral** activity, and cytotoxicity of
 β -2'- and 3'-halo-nucleosides)
 IT Interferons
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation, **antiviral** activity, and cytotoxicity of
 β -2'- and 3'-halo-nucleosides)
 IT Nucleosides, preparation
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)
 (preparation, **antiviral** activity, and cytotoxicity of
 β -2'- and 3'-halo-nucleosides)
 IT Drug delivery systems
 (prodrugs; preparation, **antiviral** activity, and
 cytotoxicity of β -2'- and 3'-halo-nucleosides)
 IT Infection
 (viral; preparation, **antiviral** activity, and cytotoxicity
 of β -2'- and 3'-halo-nucleosides)
 IT 9026-93-1, Adenosine deaminase
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation, **antiviral** activity, and cytotoxicity of
 β -2'- and 3'-halo-nucleosides)
 IT 125362-05-2P 165399-47-3P 166249-15-6P
 396653-01-3P 398133-37-4P 476210-28-3P 476210-30-7P
 476210-37-4P 479036-01-6P 479036-12-9P 479036-22-1P
 479036-23-2P 479036-25-4P 479036-26-5P 479036-38-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)
 (preparation, **antiviral** activity, and cytotoxicity of
 β -2'- and 3'-halo-nucleosides)
 IT 3056-17-5, D4T 7481-89-2, DDC 30516-87-1, AZT 39809-25-1,
 Penciclovir 59277-89-3, Acyclovir 69655-05-6, DDI
 104227-87-4, Famciclovir 118353-05-2, Carbovir 127779-20-8,
 Saquinavir 129618-40-2, Nevirapine 136470-78-5,
 Abacavir 143491-54-7, FTC 145440-12-6 145514-01-8
 145514-04-1 149950-60-7, MKC-442 150378-17-9, Indinavir
 154598-52-4, DMP-266 163252-36-6, L-FMAU 177932-89-7, DMP-450

181623-96-1 181785-94-4 182967-46-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation, **antiviral** activity, and cytotoxicity of β -2'- and 3'-halo-nucleosides)

IT 609-06-3, L-Xylose 15186-48-8 26661-13-2 34837-55-3,
 Benzeneselenenyl bromide 137719-21-2 169736-17-8 180675-22-3
 212954-52-4 367491-78-9 479036-27-6 479036-29-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation, **antiviral** activity, and cytotoxicity of β -2'- and 3'-halo-nucleosides)

IT 68660-45-7P 145887-01-0P 145887-10-1P 145887-11-2P
 171721-11-2P **184700-37-6P** 395075-12-4P 395075-13-5P
 395075-14-6P 395075-15-7P **395075-16-8P**
395075-17-9P 395075-18-0P 395075-19-1P
395075-20-4P 395075-21-5P 398133-29-4P
 398133-32-9P 398133-34-1P 398133-35-2P 398133-36-3P
 476209-84-4P 476209-86-6P 476209-92-4P 476209-94-6P
 476210-00-1P 476210-02-3P 476210-04-5P 476210-06-7P
 476210-09-0P 476210-11-4P 476210-22-7P 476210-24-9P
 476210-26-1P 476210-32-9P 476210-33-0P 476210-34-1P
 476210-35-2P 476210-39-6P 476210-45-4P 476210-47-6P
 479035-76-2P 479035-77-3P 479035-78-4P 479035-79-5P
479035-80-8P 479035-81-9P 479035-82-0P
479035-83-1P 479035-84-2P 479035-85-3P
479035-86-4P 479035-89-7P 479035-90-0P 479035-91-1P
 479035-92-2P 479035-93-3P 479035-94-4P 479035-95-5P
 479035-96-6P 479035-98-8P 479036-13-0P 479036-14-1P
 479036-15-2P 479036-16-3P 479036-17-4P 479036-18-5P
 479036-19-6P 479036-20-9P 479036-21-0P 479036-30-1P
 479036-31-2P 479036-32-3P 479036-40-3P 479036-41-4P
 479036-42-5P 479036-43-6P 479036-44-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(preparation, **antiviral** activity, and cytotoxicity of β -2'- and 3'-halo-nucleosides)

IT **396653-02-4P** 398133-33-0P **479035-87-5P**
479035-88-6P 479036-03-8P 479036-05-0P 479036-28-7P
 479036-39-0P 479036-45-8P 479036-46-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation, **antiviral** activity, and cytotoxicity of β -2'- and 3'-halo-nucleosides)

L82 ANSWER 19 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:695941 HCAPLUS Full-text

DOCUMENT NUMBER: 137:232453

TITLE: Preparation of substituted benzophenones as inhibitors of reverse transcriptase

INVENTOR(S): Chan, Joseph Howing

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 163 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070470	A2	20020912	WO 2002-US6037	2002 0228

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WO 2002070470 A3 20030306

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,

10/809,250

CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI,				
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,				
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,				
MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE,				
SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,				
VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT,				
BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC,				
NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,				
ML, MR, NE, SN, TD, TG				
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EP 1363877	A2	20031126	EP 2002-723265	2002 0228
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BR 2002007752	A	20040323	BR 2002-7752	2002 0228
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JP 2004525914	T2	20040826	JP 2002-569791	2002 0228
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ZA 2003006549	A	20041122	ZA 2003-6549	2003 0821
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NO 2003003857	A	20031027	NO 2003-3857	2003 0901
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US 2004122064	A1	20040624	US 2004-469104	2004 0205
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US 6995283	B2	20060207		2005 0909
US 2006009651	A1	20060112	US 2005-223634	
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PRIORITY APPLN. INFO.:				
			US 2001-272953P	P 2001 0302
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			WO 2002-US6037	W 2002 0228
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			US 2004-469104	A3 2004 0205

OTHER SOURCE(S): MARPAT 137:232453
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT

*

AB Title compds. I [R1 = \geq 1 substituent chosen from halo, CF₃, alkyl, aminoalkyl, alkoxy, CN, NO₂, NH₂, thioalkoxy, etc.; R2 = H, halo, alkyl, NO₂, NH₂, alkylamino, CF₃, alkoxy; R3 = OH, halo, CF₃, NO₂, alkyl; R4 = sulfonamido, sulfonylimino, etc.;] were prepared For instance, 3,5-dichlorobromobenzene was metalated (MTBE, n-BuLi, -50°) and acylated with the N,2-dimethoxy-N-methyl-5-chlorobenzamide and the resulting benzophenone converted to II. II was converted to III in 5 steps. Polymorphic forms of sodium, choline, calcium, magnesium, ethanolamine and triethylamine salts of III were prepared and characterized. Oral bioavailability and solubility parameters were determined for III and polymorphic salt forms thereof. Compds. of the present invention have anti-HIV activity and deliver compds. that have anti- HIV activity in the range IC₅₀ = 1-1000 nM against wild type and mutant viruses.

IT 25526-93-6, 3'-Deoxy-3'-fluorothymidine

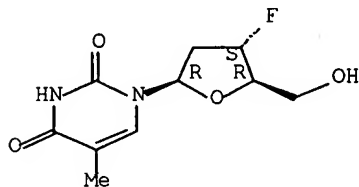
129618-40-2, Nevirapine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination pharmaceutical; preparation of substituted
benzophenones as inhibitors of reverse transcriptase)

RN 25526-93-6 HCAPLUS

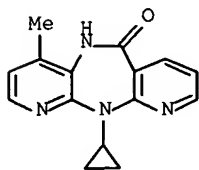
CN Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



IC ICM C07C311-51

ICS C07D295-14; C07C311-53; C07C311-46; C07D207-16; A61K031-18;
A61P031-18

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid
Compounds)

Section cross-reference(s): 1

IT AIDS (disease)

Anti-AIDS agents

Antiviral agents

Human

(preparation of substituted benzophenones as inhibitors of reverse

transcriptase)
 IT 54-42-2, Idoxuridine 57-66-9, Probenecid 58-32-2, Dipyrindamole
 123-77-3, 1,1'-Azobis-formamide 616-91-1, NAC 3056-17-5, d4T
 4097-22-7, 2',3'-Dideoxyadenosine 4428-95-9, Phosphonoformic
 acid 6493-05-6, Pentoxifylline 7481-89-2, 2',3'-
 Dideoxycytidine 11096-26-7, Erythropoietin 19771-63-2,
 Procysteine 25526-93-6, 3'-Deoxy-3'-fluorothymidine
 29321-75-3, PRO-2000 30516-87-1, AZT 36791-04-5, Ribavirin
 39809-25-1, Penciclovir 59277-89-3, Acyclovir 61512-21-8,
 Thymosin 69655-05-6, 2',3'-Dideoxyinosine 82410-32-0,
 Ganciclovir 83869-56-1, Granulocyte macrophage colony
 stimulating factor 104227-87-4, Fanciclovir 106941-25-7,
 Adefovir 113269-46-8, Oxetanocin-G 113852-37-2, HPMPC
 124265-89-0, H 2G 124832-26-4, Valaciclovir 127759-89-1,
 SQ-34514 127779-20-8, Saquinavir 129618-40-2,
 Nevirapine 132077-80-6 134678-17-4, Lamivudine 136470-78-5,
 Abacavir 136817-59-9, Delavirdine 142632-32-4, (+)-Calanolide
 A 143491-54-7, FTC 145514-04-1, DAPD 147127-20-6, Tenofovir
 147318-81-8, KNI-272 149950-60-7, MKC-442 150378-17-9,
 Indinavir 155148-31-5, AMD-3100 155213-67-5, Ritonavir
 159519-65-0, T-20 159989-64-7, Nelfinavir 161814-49-9,
 Amprenavir 170020-61-8, FP-21399 174391-92-5, Mozenavir
 174484-41-4, Tipranavir 178979-85-6, Capravirine 195156-77-5,
 ABT 606 198904-31-3, BMS-232632 201341-05-1, Bis-POC-PMMPA
 213252-22-3, Reticulose 214287-99-7, DPC-083 216863-66-0,
 MK-944A 251562-00-2, T-1249 269055-15-4, TMC-125
 352234-06-1, AG-1776 383198-58-1, PRO-542 394728-76-8, TMC-120
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (combination pharmaceutical; preparation of substituted
 benzophenones as inhibitors of reverse transcriptase)

L82 ANSWER 20 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:521462 HCAPLUS Full-text
 DOCUMENT NUMBER: 137:88442
 TITLE: Incensole and furanogermacrens and compounds
 in treatment for inhibiting neoplastic lesions
 and microorganisms
 INVENTOR(S): Shanahan-Pendergast, Elisabeth
 PATENT ASSIGNEE(S): Ire.
 SOURCE: PCT Int. Appl., 68 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002053138	A2	20020711	WO 2002-IE1	2002 0102
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WO 2002053138	A3	20020919		
W: AE, AG, AT, AU, BB, BG, CA, CH, CN, CO, CU, CZ, LU, LV, MA, MD, UA, UG, US, VN, YU, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, AT, BE, CH, CY, DE, ES, FI, ML, MR, NE, SN, TD, TG				
AU 2002219472	A1	20020716	AU 2002-219472	2002 0102
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EP 1351678	A2	20031015	EP 2002-727007	2002 0102
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,

10/809,250

MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2004092583 A1 20040513 US 2004-250535

2004
0102

PRIORITY APPLN. INFO.:

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IE 2001-2

A
2001
0102

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WO 2002-IE1

W
2002
0102

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OTHER SOURCE(S): MARPAT 137:88442

AB The invention discloses the use of incensole and/or furanogermacrene, derivs. metabolites and precursors thereof in the treatment of neoplasia, particularly resistant neoplasia and immunodysregulatory disorders. These compds. can be administered alone or in combination with conventional chemotherapeutic, **antiviral**, antiparasite agents, radiation and/or surgery. Incensole and furanogermacrene and their mixture showed antitumor activity against various human carcinomas and melanomas and antimicrobial activity against *Staphylococcus aureus* and *Enterococcus faecalis*.

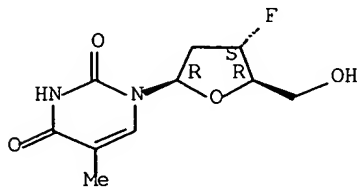
IT 25526-93-6, Alovudine 129618-40-2, Nevirapine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical formulation further containing; incensole and furanogermacrene and compds. as antitumor and antimicrobial agents)

RN 25526-93-6 HCAPLUS

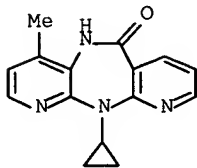
CN Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



IC ICM A61K031-00

CC 1-6 (Pharmacology)

Section cross-reference(s): 10, 63

IT Antibodies and Immunoglobulins

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug targeting to HIV infected cells using;
incensole and furanogermacrene and compds. as antitumor and

- antimicrobial agents)
- IT Envelope proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(gp120env, drug targeting to HIV infected cells using
antibodies to; incensole and furanogermacreins and compds. as
antitumor and antimicrobial agents)
- IT Envelope proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(gp160env, drug targeting to HIV infected cells using
antibodies to; incensole and furanogermacreins and compds. as
antitumor and antimicrobial agents)
- IT Adrenal gland, neoplasm
Anti-AIDS agents
Anti-infective agents
Antiarthritics
Antiasthmatics
Antidiabetic agents
Antidiarrheals
Antitumor agents
B-cell leukemia
Bladder, neoplasm
Brain, neoplasm
Burn
Central nervous system, neoplasm
Drug delivery systems
Enterococcus faecalis
Hairy cell leukemia
Hematopoietic neoplasm
Hodgkin's disease
Human
Leukemia
Leukemia
Lymphoma
Mammary gland, neoplasm
Melanoma
Monocytic leukemia
Mouth, neoplasm
Multiple myeloma
Myeloid leukemia
Myelomonocytic leukemia
Neoplasm
Newborn
Ovary, neoplasm
Pancreas, neoplasm
Prostate gland, neoplasm
Sarcoma
Staphylococcus aureus
Stomach, neoplasm
T-cell leukemia
Testis, neoplasm
(incensole and furanogermacreins and compds. as antitumor and
antimicrobial agents)
- IT **Antiviral agents**
(pharmaceutical formulation further containing; incensole and
furanogermacreins and compds. as antitumor and antimicrobial
agents)
- IT **Human immunodeficiency virus**
(targeting to cells infected with; incensole and
furanogermacreins and compds. as antitumor and antimicrobial
agents)
- IT 144114-21-6, HIV-1 Protease
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors, pharmaceutical formulation further containing;
incensole and furanogermacreins and compds. as antitumor and
antimicrobial agents)
- IT 54-05-7, Chloroquine 54-42-2, Idoxuridine 60-54-8,
Tetracycline 69-74-9, Cytarabine Hydrochloride 70-00-8,

Trifluridine 80-08-0, Dapsone 90-34-6, Primaquine 100-33-4,
 Pentamidine 130-95-0, Quinine 443-48-1, Metronidazole
 494-79-1, Melarsoprol 665-66-7, Amantadine Hydrochloride
 1501-84-4, Rimantadine Hydrochloride 1910-68-5, Methisazone
 3056-17-5, d4T 3736-81-0, Diloxanide furoate 5536-17-4,
 Vidarabine 7481-89-2, DdC 8064-90-2 9004-70-0, HE-2000
 10500-82-0, Famotidine Hydrochloride 10540-97-3, Memotidine
 Hydrochloride 11006-77-2, Statolon 15176-29-1, Edoxudine
 15185-43-0, DOTC 19387-91-8, Tinidazole 19885-51-9, Aranotin
 22994-85-0, Benznidazole 23256-30-6, Nifurtimox
 25526-93-6, Alovudine 27591-69-1, Tilorone Hydrochloride
 27762-78-3, Kethoxal 29984-33-6, Vidarabine Phosphate
 30516-87-1, AZT 35607-20-6, Avridine 36791-04-5, Ribavirin
 36983-81-0, Fosfonet Sodium 37338-39-9 39809-25-1, Penciclovir
 51867-87-9 53230-10-7, Mefloquine 56219-57-9, Arildone
 59277-89-3, Acyclovir 63198-97-0, Viroxime 63585-09-1,
 Foscarnet Sodium 63968-64-9D, Artemisinin, derivs. 68693-30-1,
 Somantadine Hydrochloride 69123-90-6, Fiacitabine 69123-98-4,
 Fialuridine 69655-05-6, DdI 69657-51-8, Acyclovir Sodium
 69756-53-2, Halofantrine 72301-78-1, Zinviroxime 72301-79-2,
 Enviroxime 73514-87-1, Fosarilate 77181-69-2, Sorivudine
 80883-55-2, Enviradene 82410-32-0, Ganciclovir 84408-37-7,
 Desciclovir 85087-20-3, Doxycycline 87495-31-6, Disoxaril
 95233-18-4, Atovaquone 100817-46-7, Stibogluconic acid
 104227-87-4, Famciclovir 106362-32-7, Peptide T 106941-25-7,
 PME A 107910-75-8, Ganciclovir Sodium 110042-95-0, Acemannan
 110143-10-7, Lodenosine 113852-37-2, Cidofovir 124436-59-5,
 Pirodavidir 124832-27-5, Valacyclovir Hydrochloride 127759-89-1,
 Lobucavir 127779-20-8, Saquinavir 129618-40-2,
 Nevirapine 132210-43-6, Cipamfylline 134678-17-4, 3TC
 136470-78-5, Abacavir 136817-59-9, Delavirdine 137487-62-8,
 Alvircept Sudotox 138540-32-6, Ateviridine Mesylate
 141204-94-6, Co-artemether 142340-99-6 142632-32-4, Calanolide
 A 143491-57-0, Coviracil 145514-04-1, DAPD 147127-20-6,
 Tenofovir 147221-93-0, Delavirdine Mesylate 147318-81-8,
 KNI-272 147362-57-0, Loviride 149845-06-7, Saquinavir Mesylate
 149950-60-7, Emivirine 150378-17-9, Indinavir 153127-49-2,
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 155213-67-5, Ritonavir 156879-70-8 159519-65-0, Pentafuside
 159989-64-7, Nelfinavir 163451-80-7 170020-61-8, FP-21399
 174484-41-4, Tipranavir 177932-89-7, DMP-450 178979-85-6, AG
 1549 185220-03-5, PNU142721 192725-17-0, ABT-378
 214287-88-4, DPC961 216863-66-0, L-756423 251562-00-2, T-1249
 383198-56-9, BW 141 383198-57-0, BMS-232630 383198-58-1, PRO
 542

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (pharmaceutical formulation further containing; incensole and
 furanogermacrens and compds. as antitumor and antimicrobial
 agents)

L82 ANSWER 21 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:935354 HCAPLUS Full-text

DOCUMENT NUMBER: 136:64094

TITLE: The use of synthetic, non-hormonal
 21-aminosteroids, derivatives, metabolites,
 and precursors thereof in the treatment of
 viral infections

INVENTOR(S): Prendergast, Patrick Thomas

PATENT ASSIGNEE(S): Kotze, Gavin Salomon, S. Afr.

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

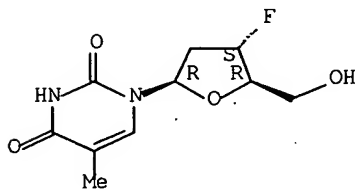
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

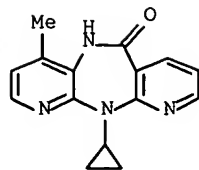
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001097749	A2	20011227	WO 2001-IB1101	2001 0622
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WO 2001097749	A3	20020523		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001074383	A5	20020102	AU 2001-74383	2001 0622
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		WO 2001-IB1101	W	2001 0622
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AB	The invention discloses the use of synthetic, non-hormonal 21-aminosteroids, derivs., metabolites, and precursors thereof in the treatment of viral infections, particularly hepatitis and retroviral infection by HIV. Synthetic non-hormonal 21-aminosteroids are disclosed for use in the prophylaxis and therapy of hepatitis viral infections. These compds. can be administered alone or in combination with conventional antiviral agents.			
IT	25526-93-6, Alovudine 129618-40-2, Nevirapine RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (aminosteroids, derivs., metabolites, and precursors for treatment of viral infection, and use with other agents)			
RN	25526-93-6 HCAPLUS			
CN	Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)			

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS
 CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
 11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



IC ICM A61K
 CC 1-5 (**Pharmacology**)
 ST **antiviral** aminosteroid hepatitis virus HIV
 IT **AIDS** (disease)
 (AIDS-related syndromes; aminosteroids, derivs.,
 metabolites, and precursors for treatment of viral infection,
 and use with other agents)
 IT Animal virus
 Anti-AIDS agents
 Antiviral agents
 Border disease virus 1
 Bovine diarrhea virus
 Cachexia
 Classical swine fever virus
 Cytomegalovirus
 Drug delivery systems
 Hepatitis A virus
 Hepatitis B virus
 Hepatitis C virus
 Hepatitis delta virus
 Hepatitis virus
 Herpesviridae
 Human herpesvirus 4
 Human immunodeficiency virus
 Immunomodulators
 Newborn
 Retroviridae
 (aminosteroids, derivs., metabolites, and precursors for
 treatment of viral infection, and use with other agents)
 IT 54-42-2, Idoxuridine 69-74-9, Cytarabine hydrochloride
 70-00-8, Trifluridine 127-07-1, Hydroxyurea 665-66-7,
 Amantadine hydrochloride 1501-84-4, Rimantadine hydrochloride
 1910-68-5, Methisazone 2751-09-9, Triacetyloleandomycin
 3056-17-5, d4T 5536-17-4, Vidarabine 7481-89-2, DdC
 9004-70-0, HE-2000 10500-82-0, Famotidine hydrochloride
 10540-97-3 11006-77-2, Statolon 15176-29-1, Edoxudine
 15185-43-0, DOTC 19885-51-9, Aranotin 25526-93-6,
 Alovudine 27591-69-1, Tilorone hydrochloride 27762-78-3,
 Kethoxal 29984-33-6, Vidarabine phosphate 30516-87-1, AZT
 35607-20-6, Avridine 36791-04-5, Ribavirin 36983-81-0,
 Fosfonet sodium 39809-25-1, Penciclovir 56219-57-9, Arildone
 59277-89-3, Acyclovir 63198-97-0, Viroxime 63585-09-1,
 Foscarnet sodium 65277-42-1, Ketoconazole 68693-30-1
 69123-90-6, Fiacitabine 69123-98-4, Fialuridine 69655-05-6,
 DdI 69657-51-8, Acyclovir sodium 71002-10-3 72301-78-1,
 Zinviroxime 72301-79-2, Enviroxime 73514-87-1, Fosarilate
 77181-69-2, Sorivudine 80883-55-2, Envirodene 82410-32-0,
 Ganciclovir 84408-37-7, Desciclovir 87495-31-6, Disoxaril
 104227-87-4, Famciclovir 106362-32-7, Peptide T 106941-25-7,
 PMEA 107910-75-8, Ganciclovir sodium 110042-95-0, Acemannan
 110101-66-1, Tirilazad 110101-66-1D, Tirilazad, metabolites
 110101-67-2, Tirilazad mesylate 110143-10-7, Lodenosine
 113852-37-2, Cidofovir 124436-59-5, Pirodavis 124832-27-5,
 Valacyclovir hydrochloride 127759-89-1, Lobucavir 127779-20-8,
 Saquinavir 129618-40-2, Nevirapine 132210-43-6,
 Cipamfylline 134678-17-4, 3TC 136470-78-5, Abacavir

136817-59-9, Delavirdine 137487-62-8, Alvircept sudotox
 138540-32-6, Ateviridine mesylate 142340-99-6 142632-32-4,
 Calanolide A 143491-57-0, BW 1592 145514-04-1, DAPD
 147127-20-6, Tenofovir 147221-93-0, Delavirdine mesylate
 147318-81-8, KNI-272 147362-57-0, Loviride 149845-06-7,
 Saquinavir mesylate 149950-60-7, Emivirine 150378-17-9,
 Indinavir 153127-49-2, ALX40-4C 154598-52-4, DMP 266
 155148-31-5, AMD 3100 155213-67-5, Ritonavir 157744-31-5
 157744-31-5D, metabolites 159519-65-0, Pentafuside
 159989-64-7, Nelfinavir 162758-91-0 162758-91-0D, metabolites
 163451-80-7, HBY097 170020-61-8, FP-21399 174484-41-4,
 Tipranavir 177180-81-3 177180-81-3D, metabolites 177180-82-4
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 1549 185220-03-5, PNU142721 192725-17-0, ABT-378
 214287-88-4, DPC 961 216863-66-0, L-756423 251562-00-2, T-1249
 383198-55-8, Naragin 383198-56-9, BW 141 383198-57-0, BMS
 232630 383198-58-1, PRO 542
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (aminosteroids, derivs., metabolites, and precursors for
 treatment of viral infection, and use with other agents)

L82 ANSWER 22 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1999:795684 HCAPLUS Full-text
 DOCUMENT NUMBER: 132:35990
 TITLE: Multibinding inhibitors of HIV
 reverse transcriptase
 INVENTOR(S): Mammen, Mathai; Oare, David; Griffin, John H.;
 Aggen, James
 PATENT ASSIGNEE(S): Advanced Medicine, Inc., USA
 SOURCE: PCT Int. Appl., 166 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 31
 PATENT INFORMATION:

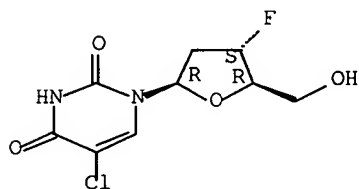
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MC, PT, IE, FI				
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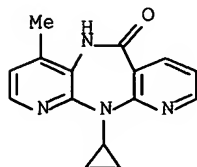
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 WO 1999-US12774 W 1999
 0608
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 US 2000-502938 A1 2000
 0211

- AB Novel **human immunodeficiency virus (HIV)** reverse transcriptase inhibitors that act as multibinding agents, LpXq [where L = at least one nucleoside reverse transcriptase inhibitor and at least one non-nucleoside reverse transcriptase inhibitor; X = a linker; p = 2-10; q = 1-20; linker lengths range from 2-100 Å], are disclosed. Combinatorial arrays, methods of synthesis, and methods of assaying the dimeric and multimeric compds. are also embodied by the invention. A number of divalent prophetic examples, each derived from one nucleoside reverse transcriptase inhibitor ligand and one non-nucleoside reverse transcriptase inhibitor ligand and a difunctional linker, are given. Compds. of the invention inhibit HIV replication in vivo (no data). The multibinding compds. have increased potency over currently available inhibitors and provide improved biol. and/or therapeutic effects compared to the aggregate of the unlinked ligands due to their multibinding properties (no data). Nucleoside reverse transcriptase ligands may include 5'-deoxy analogs of zidovudine, didanosine, zalcitabine, stavudine, lamivudine, abacavir, adefovir, raluridine, oral PMPA prodrug, azidouridine, IVX-E-59, emtricitabine, and lodenosine. Non-nucleoside reverse transcriptase ligands may include nevirapine, delavirdine, efavirenz, MKC-442, loviride, S-1153, talviraline, calanolide A, and tivrapiene.
- IT **119644-22-3DP**, Raluridine, dimeric and multimeric multibinding derivs. of 5'-deoxy analogs of **129618-40-2DP**, Nevirapine, dimeric and multimeric multibinding derivs. of
- RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (target compound; preparation of multibinding inhibitors of HIV reverse transcriptase)
- RN **119644-22-3** HCAPLUS
- CN Uridine, 5-chloro-2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



- RN **129618-40-2** HCAPLUS
- CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



IC ICM A61K038-00
 ICS A61K039-00; A61K039-44; A61K039-395; A61K051-00; C07K002-00;
 C07K004-00; G01N033-53; G01N033-543; G01N033-566; A01N057-34;
 C12P019-38

CC 33-9 (Carbohydrates)
 Section cross-reference(s): 1, 63

ST dimeric multimeric multibinding HIV reverse
 transcriptase inhibitor prepn; combinatorial array multibinding
human immunodeficiency virus reverse
 transcriptase inhibitor

IT Structure-activity relationship
 (ligand-binding; preparation of multibinding inhibitors of
 HIV reverse transcriptase)

IT Anti-AIDS agents
 Antiviral agents
 Combinatorial library
 Drug delivery systems
 Drug screening
 (preparation of multibinding inhibitors of HIV reverse
 transcriptase)

IT Nucleosides, preparation
 RL: BAC (Biological activity or effector, except adverse); BSU
 (Biological study, unclassified); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation);
 USES (Uses)
 (preparation of multibinding inhibitors of HIV reverse
 transcriptase)

IT 9068-38-6, Reverse transcriptase
 RL: BPR (Biological process); BSU (Biological study,
 unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC
 (Process)
 (preparation of multibinding inhibitors of HIV reverse
 transcriptase)

IT 3056-17-5P, Stavudine 7481-89-2P, Zalcitabine 30516-87-1P,
 Zidovudine 69655-05-6P, Didanosine 106941-25-7P, Adefovir
 107021-12-5P 110143-10-7P, Lodenosine **119644-22-3DP**,
 Raluridine, dimeric and multimeric multibinding derivs. of
 5'-deoxy analogs of 121135-53-3DP, dimeric and multimeric
 multibinding derivs. of 5'-deoxy analogs of **129618-40-2DP**
 , Nevirapine, dimeric and multimeric multibinding derivs. of
 134678-17-4DP, Lamivudine, dimeric and multimeric multibinding
 derivs. of 5'-deoxy analogs of 136470-78-5DP, Abacavir, dimeric
 and multimeric multibinding derivs. of 5'-deoxy analogs of
 136817-59-9DP, Delavirdine, dimeric and multimeric multibinding
 derivs. of 137332-54-8DP, Tivirapine, dimeric and multimeric
 multibinding derivs. of 142632-32-4DP, Calanolide A, dimeric and
 multimeric multibinding derivs. of 143491-57-ODP, Emtricitabine,
 dimeric and multimeric multibinding derivs. of 5'-deoxy analogs of
 147362-57-ODP, Loviride, dimeric and multimeric multibinding
 derivs. of 149950-60-7DP, MKC-442, dimeric and multimeric
 multibinding derivs. of 154598-52-4DP, Efavirenz, dimeric and
 multimeric multibinding derivs. of 163451-80-7DP, Talviraline,
 dimeric and multimeric multibinding derivs. of 178979-85-6DP, S
 1153, dimeric and multimeric multibinding derivs. of
 RL: BAC (Biological activity or effector, except adverse); BSU
 (Biological study, unclassified); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation);
 USES (Uses)
 (target compound; preparation of multibinding inhibitors of
 HIV reverse transcriptase)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

DOCUMENT NUMBER: 124:306324
 TITLE: Rapid screening of antiretroviral combinations
 AUTHOR(S): St. Clair, Marty; Pennington, Kevin N.;
 Rooney, James; Barry, David W.
 CORPORATE SOURCE: Department Virology, Burroughs Wellcome Co.,
 Research Triangle Park, NC, 27709-4498, USA
 SOURCE: Journal of Acquired Immune Deficiency
 Syndromes and Human Retrovirology (1995), 10(Suppl. 1), S24-S27
 CODEN: JDSRET; ISSN: 1077-9450
 PUBLISHER: Lippincott-Raven
 DOCUMENT TYPE: Journal
 LANGUAGE: English

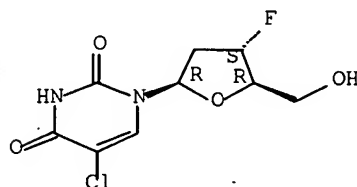
AB An in-vitro assay was designed to ascertain the inhibitory action of drug combinations on HIV-infected MT4 cells, allowing rapid evaluation of those that may be of use in the clinic. Manipulation of this system also provides data on the efficacy of drugs under conditions of high viral load and against resistant strains.

IT 119644-22-3, 935U83 129618-40-2, Nevirapine
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (screening of antiretroviral combinations including)

RN 119644-22-3 HCAPLUS

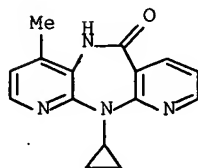
CN Uridine, 5-chloro-2',3'-dideoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
 11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



CC 1-1 (Pharmacology)

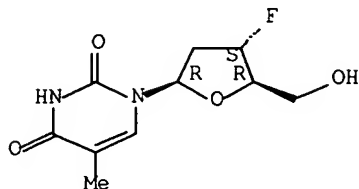
ST retrovirus inhibitor combination screening; HIV
 inhibitor combination screening; **human**
immunodeficiency virus inhibitor combination
 screening; virucide retro combination screening

IT 7481-89-2, DdC 30516-87-1, Zidovudine 69655-05-6, DdI
 119644-22-3, 935U83 127779-20-8, Saquinavir
 129618-40-2, Nevirapine 134678-17-4, 3TC
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (screening of antiretroviral combinations including)

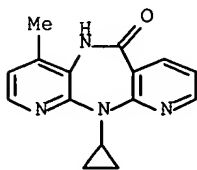
L82 ANSWER 24 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1995:526821 HCAPLUS Full-text
 DOCUMENT NUMBER: 122:274069
 TITLE: Use of tumor necrosis factor inhibitors
 together with **antiviral** agents, and
 therapeutic compositions thereof, against
 HIV infection
 INVENTOR(S): Andrulis, Peter J., Jr.; Angres, Issac
 PATENT ASSIGNEE(S): Andrulis Pharmaceuticals Corp., USA
 SOURCE: PCT Int. Appl., 12 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9504525	A2	19950216	WO 1994-US8741	1994 0803
WO 9504525	A3	19950601		
W: AU, CA, CN, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9473763	A1	19950228	AU 1994-73763	1994 0803
EP 712310	A1	19960522	EP 1994-922777	1994 0803
US 6001828	A	19991214	US 1997-956277	1997 1023
PRIORITY APPLN. INFO.:			US 1993-101752	A 1993 0804
			WO 1994-US8741	W 1994 0803
			US 1995-462034	B1 1995 0605
AB			A pharmaceutical composition for treating HIV infection comprises (a) a tumor necrosis factor inhibitor (e.g. thalidomide, pentoxifylline, xanthine derivs.); (b) a compound selected from a reverse transcriptase inhibitor (e.g. AZT, ddI, ddC), a protease inhibitor, a gene inhibitor, a myristoylation inhibitor, a cell-virus binding inhibitor, a LTR promoter site inhibitor, ribosome inactivators, a platelet aggregation inhibitor, and propylactic and therapeutic HIV vaccine, and (c) a pharmaceutical inert nontoxic carrier. A capsule formulation contains e.g. pentoxifylline and AZT.	
IT			25526-93-6 129618-40-2, BI-RG-587	
			RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (tumor necrosis factor inhibitor- antiviral agent combination for HIV infection treatment)	
RN			25526-93-6 HCAPLUS	
CN			Thymidine, 3'-deoxy-3'-fluoro- (8CI, 9CI) (CA INDEX NAME)	

Absolute stereochemistry.



RN 129618-40-2 HCAPLUS
 CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one,
 11-cyclopropyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



IC ICM A61K031-00
 ICS A61K031-52; A61K031-445
 CC 63-6 (Pharmaceuticals)
 Section cross-reference(s): 1
 ST HIV infection TNF inhibitor **antiviral**
 combination; reverse transcriptase inhibitor TNF inhibitor
 HIV; capsule pentoxifylline AZT HIV infection
 treatment
 IT Phospholipids, biological studies
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (AC2; tumor necrosis factor inhibitor-**antiviral** agent
 combination for HIV infection treatment)
 IT Vaccines
 (HIV; tumor necrosis factor inhibitor-
antiviral agent combination for HIV infection
 treatment)
 IT Animal cell
 Virus
 (cell-virus binding inhibitors; tumor necrosis factor
 inhibitor-**antiviral** agent combination for HIV
 infection treatment)
 IT Ribosome
 (inactivators; tumor necrosis factor inhibitor-
antiviral agent combination for HIV infection
 treatment)
 IT Gene, microbial
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (inhibitors; tumor necrosis factor inhibitor-**antiviral**
 agent combination for HIV infection treatment)
 IT Blood platelet aggregation inhibitors
 Virucides and Virustats
 (tumor necrosis factor inhibitor-**antiviral** agent
 combination for HIV infection treatment)
 IT Pharmaceutical dosage forms
 (capsules, tumor necrosis factor inhibitor-**antiviral**
 agent combination for HIV infection treatment)

IT Virus, animal
(human immunodeficiency, tumor necrosis factor inhibitor-
antiviral agent combination for HIV infection
treatment)

IT Genetic element
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(long terminal repeat, promoter site, inhibitors; tumor
necrosis factor inhibitor-**antiviral** agent combination
for HIV infection treatment)

IT Acylation
(myristoylation, inhibitors; tumor necrosis factor inhibitor-
antiviral agent combination for HIV infection
treatment)

IT Lymphokines and Cytokines
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(tumor necrosis factor, inhibitors; tumor necrosis factor
inhibitor-**antiviral** agent combination for HIV
infection treatment)

IT 9068-38-6, Reverse transcriptase 144114-21-6, Retropepsin
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; tumor necrosis factor inhibitor-**antiviral**
agent combination for HIV infection treatment)

IT 50-35-1, Thalidomide 69-89-6D, Xanthine, derivs. 3416-05-5
6493-05-6, Pentoxifylline 7481-89-2 **25526-93-6**
30516-87-1, AZT 30516-87-1D, AZT, lipophilic prodrugs
69655-05-6, DdI 126320-77-2, R-82150 **129618-40-2**,
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(tumor necrosis factor inhibitor-**antiviral** agent
combination for HIV infection treatment)

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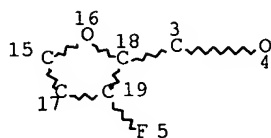
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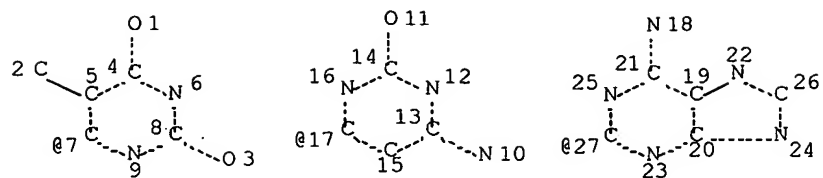
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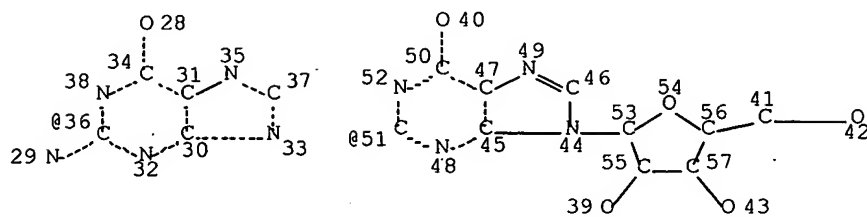
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STEREO ATTRIBUTES: NONE
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L19 STR



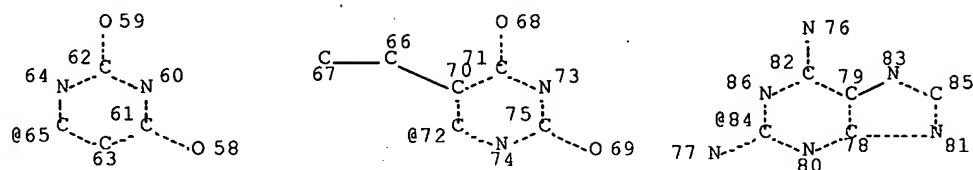
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Page 1-A

7

Page 1-B



Page 2-A

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DEFAULT ECLEVEL IS LIMITED

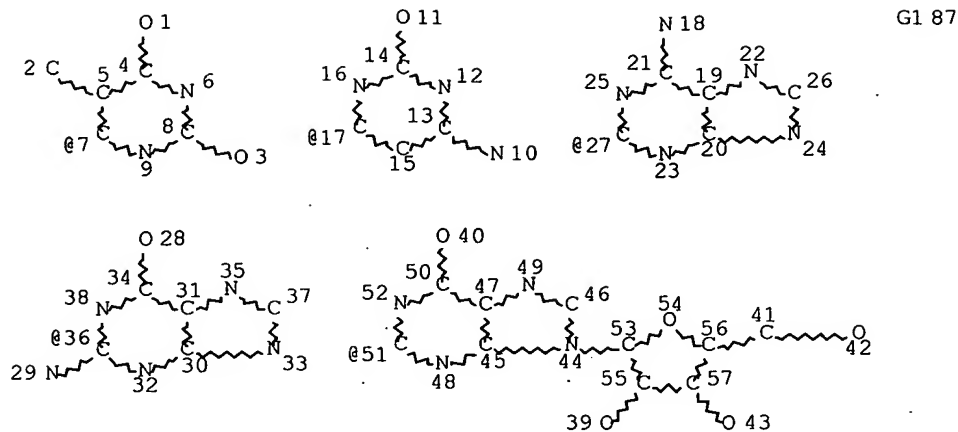
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

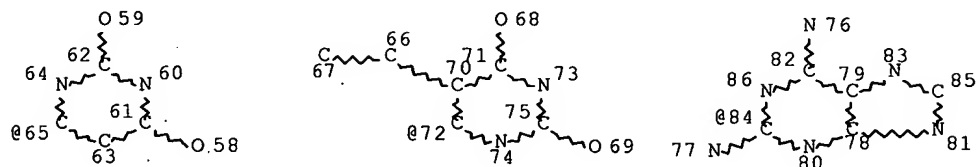
NUMBER OF NODES IS 87

STEREO ATTRIBUTES: NONE

L20 STR



Page 1-A



Page 2-A

VAR G1=7/17/27/36/51/65/72/84

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 87

STEREO ATTRIBUTES: NONE

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 L27 54 SEA FILE=REGISTRY ABB=ON PLU=ON L23 AND ?CYTIDIN?/CNS

 L28 36 SEA FILE=REGISTRY ABB=ON PLU=ON L27 AND 1/F NOT
 (1-5/CL OR 1-5/BR OR 2-5/F)
 L29 9 SEA FILE=REGISTRY ABB=ON PLU=ON L28 AND 3/N AND 3/O
 L30 2 SEA FILE=REGISTRY ABB=ON PLU=ON L29 AND C9H12FN3O3/M
 F
 L31 6 SEA FILE=REGISTRY ABB=ON PLU=ON L23 AND ?FLUOROADENOS
 IN?/CNS
 L32 1 SEA FILE=REGISTRY ABB=ON PLU=ON L31 AND C10 H12 F N5
 O2/MF
 L33 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L3
 L34 49 SEA FILE=HCAPLUS ABB=ON PLU=ON L4
 L35 292 SEA FILE=HCAPLUS ABB=ON PLU=ON L5
 L36 39 SEA FILE=HCAPLUS ABB=ON PLU=ON L30
 L37 35 SEA FILE=HCAPLUS ABB=ON PLU=ON L32
 L38 338 SEA FILE=HCAPLUS ABB=ON PLU=ON (L33 OR L34 OR L35 OR
 L36 OR L37)
 L39 315 SEA FILE=HCAPLUS ABB=ON PLU=ON L25
 L40 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L24

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 L42 835 SEA FILE=HCAPLUS ABB=ON PLU=ON L18
 L43 697 SEA FILE=HCAPLUS ABB=ON PLU=ON (L38 OR L39 OR L40 OR L41)
 L44 1 SEA FILE=REGISTRY ABB=ON PLU=ON 129618-40-2/RN
 L45 1501 SEA FILE=HCAPLUS ABB=ON PLU=ON L44
 L46 33 SEA FILE=HCAPLUS ABB=ON PLU=ON L45 AND L42
 L47 33 SEA FILE=HCAPLUS ABB=ON PLU=ON L45 AND L43
 L48 30 SEA FILE=HCAPLUS ABB=ON PLU=ON L45 AND L38
 L49 33 SEA FILE=HCAPLUS ABB=ON PLU=ON (L46 OR L47 OR L48)
 L50 QUE ABB=ON PLU=ON PHARMAC?/SC, SX
 L51 33 SEA FILE=HCAPLUS ABB=ON PLU=ON L49 AND L50
 L52 57456 SEA FILE=HCAPLUS ABB=ON PLU=ON ANTIVIRAL OR ANTI(A)VI RAL
 L53 24 SEA FILE=HCAPLUS ABB=ON PLU=ON L51 AND L52
 L55 35862 SEA FILE=HCAPLUS ABB=ON PLU=ON HUMAN IMMUNODEFICIENCY VIRUS?/CT
 L56 20 SEA FILE=HCAPLUS ABB=ON PLU=ON L55 AND L51
 L57 QUE ABB=ON PLU=ON HUMAN(W)IMMUNODEFICIEN?(W)VIRUS? O R HIV OR AIDS
 L58 32 SEA FILE=HCAPLUS ABB=ON PLU=ON L51 AND L57
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 L66 2 SEA FILE=BIOSIS ABB=ON PLU=ON L65 AND L64
 L67 2 SEA FILE=BIOSIS ABB=ON PLU=ON L66 AND (L52 OR L57)
 L68 274 SEA FILE=EMBASE ABB=ON PLU=ON L18
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 L73 125 SEA FILE=MEDLINE ABB=ON PLU=ON (L33 OR L34 OR L35 OR L36 OR L37)
 L74 155 SEA FILE=MEDLINE ABB=ON PLU=ON L18
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 L76 1183 SEA FILE=MEDLINE ABB=ON PLU=ON L44
 L77 1 SEA FILE=MEDLINE ABB=ON PLU=ON L75 AND L76
 L78 43 DUP REM L60 L67 L72 L77 (1 DUPLICATE REMOVED)
 L79 2 SEA FILE=BIOSIS L78

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L79 ANSWER 1 OF 2 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation
on STN

ACCESSION NUMBER: 1994:387261 BIOSIS Full-text

DOCUMENT NUMBER: PREV199497400261

TITLE: Present and future of the human
immunodeficiency virus (HIV) reverse transcriptase inhibitors.

AUTHOR(S): Juarez Gimenez, J. C.; Sanz Pamplona, S.; Flores, G.; Montoro Ronsano, J. B.; Altisent Roca, C.

CORPORATE SOURCE: Servicio de Farmacia, Unidad de Hemofilia, Hosp. Gen. Valle de Hebron, Barcelona, Spain

SOURCE: Farmacia Clinica, (1994) Vol. 11, No. 3, pp. 255-258, 260-266.

CODEN: FACLE2. ISSN: 0212-6583.

DOCUMENT TYPE: Article

General Review; (Literature Review)

LANGUAGE: Spanish

ENTRY DATE: Entered STN: 14 Sep 1994

Last Updated on STN: 15 Sep 1994

- AB Since the identification of the **human immunodeficiency virus (HIV)** the schedules for the treatment of **AIDS** and other opportunist infections have undergone considerable modification in the course of the last few times. In this study we review the principal clinical trials, the mechanism of action and of resistances of the 2,3'-dideoxynucleoside analog antiretroviral drugs, such as Zidovudine (ZDV), Didanosine (ddI), Dideoxycytidine (ddC), Estavudine (d4T), Alovudine (FLT) and 3-TC, and the reverse transcriptase (RT) inhibitor non-nucleosides, such as Foscarnet, TIBO and derivatives, Bispiperazines, L drugs and Nevirapine. We describe current treatment strategies according to the patients' immunological and clinical conditions.
- TI Present and future of the **human immunodeficiency virus (HIV)** reverse transcriptase inhibitors.
- AB Since the identification of the **human immunodeficiency virus (HIV)** the schedules for the treatment of **AIDS** and other opportunist infections have undergone considerable modification in the course of the last few times. In this study we review the principal clinical trials, the mechanism of action and of resistances of the 2,3'-dideoxynucleoside analog antiretroviral drugs, such as Zidovudine (ZDV), Didanosine (ddI), Dideoxycytidine (ddC), Estavudine (d4T), Alovudine (FLT) and 3-TC, and the reverse transcriptase (RT) inhibitor non-nucleosides, such as Foscarnet, TIBO and derivatives, Bispiperazines, L drugs and Nevirapine. We describe current treatment strategies according to the patients' immunological and clinical conditions.
- IT Miscellaneous Descriptors
ALOVUDINE; **ANTIVIRAL-DRUG**; DIDANOSINE;
DIDEOXYCYTIDINE; ESTAVUDINE; FOSCARNET; NEVIRAPINE;
PHARMACODYNAMICS; ZIDOVUDINE
- RN 30516-87-1 (ZIDOVUDINE)
69655-05-6 (DIDANOSINE)
7481-89-2 (DIDEOXYCYTIDINE)
25526-93-6 (ALOVUDINE)
4428-95-9 (FOSCARNET)
129618-40-2 (NEVIRAPINE)
- CC Biochemistry studies - Nucleic acids, purines and pyrimidines 10062
Biochemistry studies - Proteins, peptides and amino acids 10064
Enzymes - Chemical and physical 10806
Pathology - Therapy 12512
Pharmacology - Drug metabolism and metabolic stimulators 22003
Pharmacology - Clinical pharmacology 22005
Medical and clinical microbiology - Virology 36006
Chemotherapy - Antiviral agents 38506
- IT Major Concepts
Enzymology (Biochemistry and Molecular Biophysics); Infection;
Pharmacology
- IT Chemicals & Biochemicals
ZIDOVUDINE; DIDANOSINE; DIDEOXYCYTIDINE; ALOVUDINE; FOSCARNET;
NEVIRAPINE
- IT Miscellaneous Descriptors
ALOVUDINE; **ANTIVIRAL-DRUG**; DIDANOSINE;
DIDEOXYCYTIDINE; ESTAVUDINE; FOSCARNET; NEVIRAPINE;
PHARMACODYNAMICS; ZIDOVUDINE
- ORGN Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
human
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates
- ORGN Classifier
Retroviridae 03305
Super Taxa
DNA and RNA Reverse Transcribing Viruses; Viruses;
Microorganisms
Organism Name
Retroviridae

Taxa Notes

DNA and RNA Reverse Transcribing Viruses, Microorganisms,
Viruses

RN 30516-87-1 (ZIDOVUDINE)
69655-05-6 (DIDANOSINE)
7481-89-2 (DIDEOXYCYTIDINE)
25526-93-6 (ALOVUDINE)
4428-95-9 (FOSCARNET)
129618-40-2 (NEVIRAPINE)

L79 ANSWER 2 OF 2 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation
on STN

ACCESSION NUMBER: 1993:58467 BIOSIS Full-text
DOCUMENT NUMBER: PREV199344024117
TITLE: New antiretroviral agents in clinical development.
AUTHOR(S): Flexner, Charles
CORPORATE SOURCE: Div. Clin. Pharmacol., Dep. Med., Johns Hopkins
Univ. Sch. Med., Baltimore, Md. 21205, USA
SOURCE: Current Opinion in Infectious Diseases, (1992) Vol.
5, No. 6, pp. 798-805.
ISSN: 0951-7375.
DOCUMENT TYPE: Article
General Review; (Literature Review)
LANGUAGE: English
ENTRY DATE: Entered STN: 15 Jan 1993
Last Updated on STN: 17 Mar 1993

IT Miscellaneous Descriptors

ACQUIRED IMMUNODEFICIENCY SYNDROME; ALOVUDINE; ANTIRETROVIRAL
TOXINS; **ANTIVIRAL-DRUG**; CD4-PSEUDOMONAS EXOTOXIN;
COMPOUND Q; CYTOKINES; CYTOPROTECTANTS; DIDANOSINE;
DIETHYLDITHIOCARBAMATE; DRUG LICENSING; INTERFERONS;
INTERLEUKIN-2; INTERLEUKIN-4; L697661; N=ACETYLCYSTEINE;
NEVIRAPINE; NON- NUCLEOSIDE INHIBITORS; NUCLEOSIDE ANALOGUES;
PROCYSTEINE; PROTEASE INHIBITORS; RECOMBINANT HUMAN CD4-
IMMUNOGLOBULIN G; REVERSE TRANSCRIPTASE INHIBITORS; R82913;
STAVUDINE; TAT INHIBITOR; TETRAHYDROIMIDAZOBENZODIAZEPINTHIONE;
U-87201E; VACCINES; ZALCITABINE; ZIDOVUDINE; 2'
3'=DIDEOXY-3'-THIACYTIDINE

ORGN Classifier

Hominidae 86215

Super Taxa

Primates; Mammalia; Vertebrata; Chordata; Animalia

Organism Name

human

Taxa Notes

Animals, Chordates, Humans, Mammals, Primates, Vertebrates

ORGN Classifier

Retroviridae 03305

Super Taxa

DNA and RNA Reverse Transcribing Viruses; Viruses;
Microorganisms

Organism Name

human immunodeficiency virus

Taxa Notes

DNA and RNA Reverse Transcribing Viruses, Microorganisms,
Viruses

RN 30516-87-1 (ZIDOVUDINE)
69655-05-6 (DIDANOSINE)
7481-89-2 (ZALCITABINE)
25526-93-6 (ALOVUDINE)
3056-17-5 (STAVUDINE)
126347-69-1 (R82913)
129618-40-2 (NEVIRAPINE)
135525-78-9 (L697661)
37205-61-1 (PROTEASE INHIBITORS)
23526-02-5 (EXOTOXIN)
616-91-1 (N-ACETYLCYSTEINE)

147-84-2 (DIETHYLDITHIOCARBAMATE)

CC General biology - Institutions, administration and legislation
00508
Biochemistry studies - Nucleic acids, purines and pyrimidines
10062
Biochemistry studies - Proteins, peptides and amino acids 10064
Biochemistry studies - Carbohydrates 10068
Replication, transcription, translation 10300
Enzymes - Physiological studies 10808
Metabolism - Nucleic acids, purines and pyrimidines 13014
Blood - Blood, lymphatic and reticuloendothelial pathologies
15006
Blood - Lymphatic tissue and reticuloendothelial system 15008
Endocrine - General 17002
Pharmacology - Clinical pharmacology 22005
Pharmacology - Blood and hematopoietic agents 22008
Pharmacology - Immunological processes and allergy 22018
Toxicology - General and methods 22501
Genetics of bacteria and viruses 31500
Virology - Animal host viruses 33506
Immunology - Immunopathology, tissue immunology 34508
Medical and clinical microbiology - Virology 36006
Chemotherapy - Antiviral agents 38506

IT Major Concepts
Clinical Endocrinology (Human Medicine, Medical Sciences);
Infection; Pharmacology

IT Chemicals & Biochemicals
ZIDOVUDINE; DIDANOSINE; ZALCITABINE; ALOVUDINE; STAVUDINE;
R82913; NEVIRAPINE; L697661; PROTEASE INHIBITORS; EXOTOXIN;
N-ACETYLCYSTEINE; DIETHYLDITHIOCARBAMATE

IT Miscellaneous Descriptors
ACQUIRED IMMUNODEFICIENCY SYNDROME; ALOVUDINE; ANTIRETROVIRAL
TOXINS; **ANTIVIRAL-DRUG**; CD4-PSEUDOMONAS EXOTOXIN;
COMPOUND Q; CYTOKINES; CYTOPROTECTANTS; DIDANOSINE;
DIETHYLDITHIOCARBAMATE; DRUG LICENSING; INTERFERONS;
INTERLEUKIN-2; INTERLEUKIN-4; L697661; N-ACETYLCYSTEINE;
NEVIRAPINE; NON- NUCLEOSIDE INHIBITORS; NUCLEOSIDE ANALOGUES;
PROCYSTEINE; PROTEASE INHIBITORS; RECOMBINANT HUMAN CD4-
IMMUNOGLOBULIN G; REVERSE TRANSCRIPTASE INHIBITORS; R82913;
STAVUDINE; TAT INHIBITOR; TETRAHYDROIMIDAZOBENZODIAZEPINTHIONE;
U-87201E; VACCINES; ZALCITABINE; ZIDOVUDINE; 2'
3'-DIDEOXY-3'-THIACYTIDINE

GT USA (North America, Nearctic region)

ORGN Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
human
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates

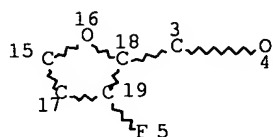
ORGN Classifier
Retroviridae 03305
Super Taxa
DNA and RNA Reverse Transcribing Viruses; Viruses;
Microorganisms
Organism Name
human immunodeficiency virus
Taxa Notes
DNA and RNA Reverse Transcribing Viruses, Microorganisms,
Viruses

RN 30516-87-1 (ZIDOVUDINE)
69655-05-6 (DIDANOSINE)
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129618-40-2 (NEVIRAPINE)
 135525-78-9 (L697661)
 37205-61-1 (PROTEASE INHIBITORS)
 23526-02-5 (EXOTOXIN)
 616-91-1 (N-ACETYL CYSTEINE)
 147-84-2 (DIETHYLDITHIOCARBAMATE)

=> => d que stat 180

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 52350-85-3/BI OR 770723-01-8/BI OR 9068-38-6/BI OR
 92562-88-4/BI)
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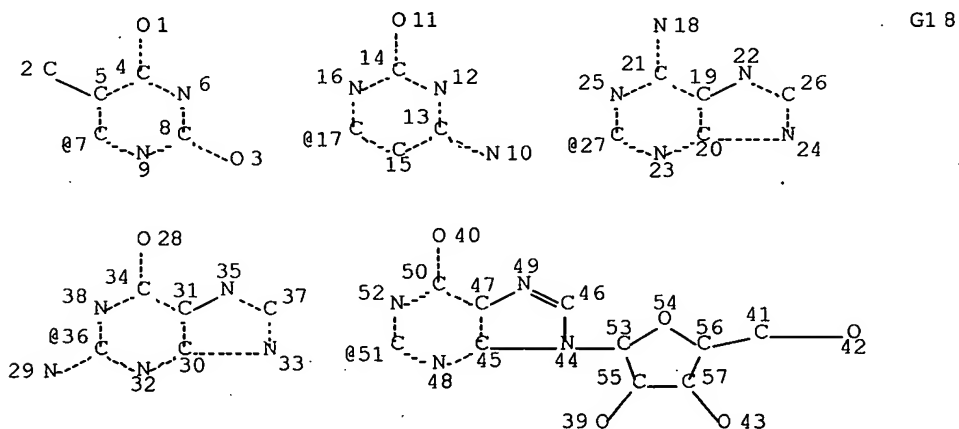


NODE ATTRIBUTES:
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 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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 NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

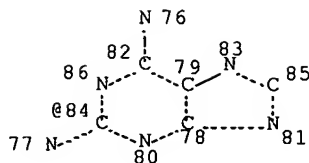
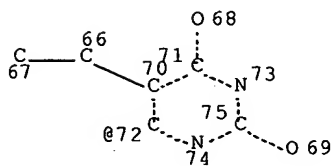
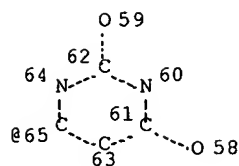
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Page 1-A

7

Page 1-B



Page 2-A

VAR G1=7/17/27/36/51/65/72/84

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

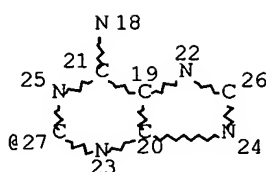
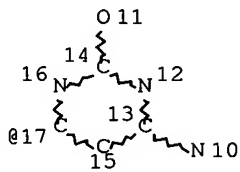
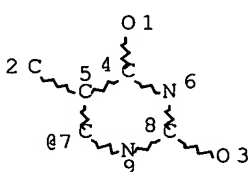
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

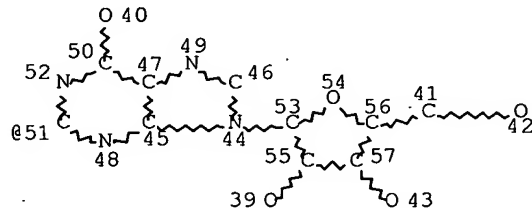
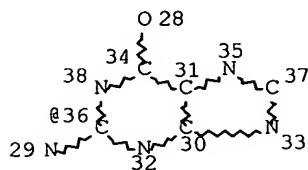
NUMBER OF NODES IS 87

STEREO ATTRIBUTES: NONE

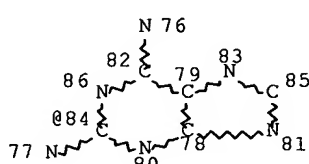
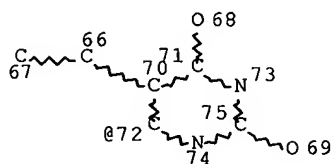
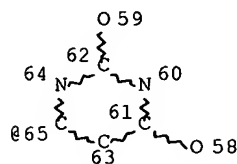
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G1 87



Page 1-A



Page 2-A

VAR G1=7/17/27/36/51/65/72/84

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 87

STEREO ATTRIBUTES: NONE

L23 1142 SEA FILE=REGISTRY SUB=L18 SSS FUL L20
 L24 2 SEA FILE=REGISTRY SUB=L18 SSS FUL L19
 L25 4 SEA FILE=REGISTRY ABB=ON PLU=ON L2 AND L23
 L27 54 SEA FILE=REGISTRY ABB=ON PLU=ON L23 AND ?CYTIDIN?/CNS

 L28 36 SEA FILE=REGISTRY ABB=ON PLU=ON L27 AND 1/F NOT
 (1-5/CL OR 1-5/BR OR 2-5/F)
 L29 9 SEA FILE=REGISTRY ABB=ON PLU=ON L28 AND 3/N AND 3/O
 L30 2 SEA FILE=REGISTRY ABB=ON PLU=ON L29 AND C9H12FN3O3/M
 F
 L31 6 SEA FILE=REGISTRY ABB=ON PLU=ON L23 AND ?FLUOROADENOS
 IN?/CNS
 L32 1 SEA FILE=REGISTRY ABB=ON PLU=ON L31 AND C10 H12 F N5
 O2/MF
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 L37 35 SEA FILE=HCAPLUS ABB=ON PLU=ON L32
 L38 338 SEA FILE=HCAPLUS ABB=ON PLU=ON (L33 OR L34 OR L35 OR
 L36 OR L37)
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 L51 33 SEA FILE=HCAPLUS ABB=ON PLU=ON L49 AND L50
 L52 57456 SEA FILE=HCAPLUS ABB=ON PLU=ON ANTIVIRAL OR ANTI(A)VI
 RAL
 L53 24 SEA FILE=HCAPLUS ABB=ON PLU=ON L51 AND L52
 L55 35862 SEA FILE=HCAPLUS ABB=ON PLU=ON HUMAN IMMUNODEFICIENCY
 VIRUS?/CT
 L56 20 SEA FILE=HCAPLUS ABB=ON PLU=ON L55 AND L51
 L57 QUE ABB=ON PLU=ON HUMAN(W)IMMUNODEFICIEN?(W)VIRUS? O
 R HIV OR AIDS
 L58 32 SEA FILE=HCAPLUS ABB=ON PLU=ON L51 AND L57
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 L60 24 SEA FILE=HCAPLUS ABB=ON PLU=ON L59 AND 1907-2003/PY, P
 RY
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 L74 155 SEA FILE=MEDLINE ABB=ON PLU=ON L18
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L77 1 SEA FILE=MEDLINE ABB=ON PLU=ON L75 AND L76
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 L80 16 SEA FILE=EMBASE L78

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ACCESSION NUMBER: 2003246602 EMBASE Full-text
 TITLE: Update on prescribing errors with HAART.
 AUTHOR: Faragon J.J.; Lesar T.S.
 CORPORATE SOURCE: J.J. Faragon, Department of Pharmacy, Albany College of Pharmacy, Albany, NY, United States
 SOURCE: AIDS Reader, (1 Jun 2003) Vol. 13, No. 6, pp. 268-270+274-278. .
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 ISSN: 1053-0894 CODEN: AIREFO
 COUNTRY: United States
 DOCUMENT TYPE: Journal; General Review
 FILE SEGMENT: 026 Immunology, Serology and Transplantation
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 039 Pharmacy
 LANGUAGE: English
 SUMMARY LANGUAGE: English
 ENTRY DATE: Entered STN: 3 Jul 2003
 Last Updated on STN: 3 Jul 2003

ABSTRACT: Medication-prescribing errors associated with HAART may lead to treatment failure, development of resistance, or drug toxicity. Reports have described HAART-related medication-prescribing errors; the causes of these errors are often multifactorial and include lack of knowledge about HIV treatments, complexity of regimens, and soundalike/look-alike names of medications. Clinicians caring for ***HIV*** -infected patients should be aware of the potential for prescribing errors associated with HAART and employ strategies to prevent them.

CONTROLLED TERM: Medical Descriptors:
 *highly active antiretroviral therapy
 prescription
 treatment failure
 medical practice
 Human immunodeficiency virus infection: DT,
 drug therapy
 drug nomenclature
 human
 review
 Drug Descriptors:
 antiretrovirus agent: CB, drug combination
 antiretrovirus agent: DT, drug therapy
 antiretrovirus agent: PR, pharmaceuticals
 antiretrovirus agent: PO, oral drug administration
 proteinase inhibitor: CB, drug combination
 proteinase inhibitor: DO, drug dose
 proteinase inhibitor: DT, drug therapy
 proteinase inhibitor: PR, pharmaceuticals
 proteinase inhibitor: PO, oral drug administration
 RNA directed DNA polymerase inhibitor: CB, drug combination
 RNA directed DNA polymerase inhibitor: DT, drug therapy
 RNA directed DNA polymerase inhibitor: PR, pharmaceuticals
 nevirapine: DT, drug therapy
 nelfinavir: CB, drug combination
 nelfinavir: DT, drug therapy
 nelfinavir: PO, oral drug administration

zidovudine: CB, drug combination
 zidovudine: DT, drug therapy
 didanosine: CB, drug combination
 didanosine: DT, drug therapy
 didanosine: PR, pharmaceuticals
 zalcitabine: CB, drug combination
 zalcitabine: DT, drug therapy
 stavudine: CB, drug combination
 stavudine: DT, drug therapy
 lamivudine: CB, drug combination
 lamivudine: DT, drug therapy
 abacavir: DT, drug therapy
 tenofovir: DT, drug therapy
 delavirdine: DT, drug therapy
 efavirenz: DT, drug therapy
 saquinavir: CB, drug combination
 saquinavir: DT, drug therapy
 saquinavir: PR, pharmaceuticals
 ritonavir: CB, drug combination
 ritonavir: DO, drug dose
 ritonavir: DT, drug therapy
 indinavir: CB, drug combination
 indinavir: DT, drug therapy
 amprenavir: DO, drug dose
 amprenavir: DT, drug therapy
 lopinavir: CB, drug combination
 lopinavir: DT, drug therapy
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 amdoxovir: DT, drug therapy
 dpc 817: DT, drug therapy
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 racivir: DT, drug therapy
 emtricitabine: DT, drug therapy
 capravirine: DT, drug therapy
 tipranavir: DT, drug therapy
 amprenavir phosphate: DT, drug therapy
 tmc 125: DT, drug therapy
 unindexed drug
 unclassified drug
 tenofovir disoproxil
 lopinavir plus ritonavir

CAS REGISTRY NO.: (proteinase inhibitor) 37205-61-1; (nevirapine)
 129618-40-2; (nelfinavir) 159989-64-7,
 159989-65-8; (zidovudine) 30516-87-1; (didanosine)
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 143491-54-7, 143491-57-0; (capravirine)
 178979-85-6; (tipranavir) 174484-41-4; (amprenavir
 phosphate) 226700-79-4, 226700-80-7, 226700-81-8;
 (tenofovir disoproxil) 202138-50-9

CHEMICAL NAME: Dpc 817; Fuzeon; Kaletra; Agenerase; Crixivan;
 Norvir; Fortovase; Invirase; Sustiva; Rescriptor;
 Viread; Ziagen; Epivir; Zerit; Hivid; Videx;
 Retrovir; Viracept; Viramune

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tenofovir: DT, drug therapy

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saquinavir: PR, pharmaceuticals

ritonavir: CB, drug combination

ritonavir: DO, drug dose

ritonavir: DT, drug therapy

indinavir: CB, drug combination

indinavir: DT, drug therapy

amprenavir: DO, drug dose

amprenavir: DT, drug therapy

lopinavir: CB, drug combination

lopinavir: DT, drug therapy

enfuvirtide: DT, drug therapy

amdoxovir: DT, drug therapy

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 CN Dpc 817; Fuzeon; Kaletra; Agenerase; Crixivan; Norvir; Fortovase;
 Invirase; Sustiva; Rescriptor; Viread; Ziagen; Epivir; Zerit;
 Hivid; Videx; Retrovir; Viracept; Viramune

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ACCESSION NUMBER: 2003109626 EMBASE Full-text
 TITLE: HIV DART 2002: Frontiers in drug
 development for antiretroviral therapies: 15-19
 December 2002, Naples, FL, USA.
 AUTHOR: Wainberg M.A.
 CORPORATE SOURCE: M.A. Wainberg, McGill University, AIDS Centre,
 Jewish General Hospital, Montreal, Que., Canada.
 mark.wainberg@mcgill.ca
 SOURCE: IDrugs, (1 Feb 2003) Vol. 6, No. 2, pp. 110-113. .
 ISSN: 1369-7056 CODEN: IDRUFN
 COUNTRY: United Kingdom
 DOCUMENT TYPE: Journal; Conference Article
 FILE SEGMENT: 004 Microbiology
 030 Pharmacology
 037 Drug Literature Index
 026 Immunology, Serology and Transplantation
 038 Adverse Reactions Titles
 LANGUAGE: English
 SUMMARY LANGUAGE: English
 ENTRY DATE: Entered STN: 27 Mar 2003
 Last Updated on STN: 27 Mar 2003

ABSTRACT: In general, this was an excellent conference that presented considerable new
 information on **antiviral** drug development in
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CONTROLLED TERM: Medical Descriptors:
 *Human immunodeficiency virus infection: DT, drug therapy
 *Human immunodeficiency virus infection: PC, prevention
 *Human immunodeficiency virus infection: DR, drug resistance
 human
 clinical trial
 nonhuman
 Human immunodeficiency virus
 virus pathogenesis
 drug information
 drug targeting
 virus replication
 drug efficacy
 highly active antiretroviral therapy
 drug structure
 drug bioavailability
 tissue distribution
 drug effect
 drug toxicity: SI, side effect
 dose response
 drug design
 virus strain
 virus mutation
 drug binding
 antiviral activity
 immunotherapy
 virus load
 virus resistance
 drug potentiation
 conference paper

CONTROLLED TERM: Drug Descriptors:
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 *antiretrovirus agent: PD, pharmacology
 *antiretrovirus agent: DT, drug therapy
 *antiretrovirus agent: PO, oral drug administration
 *antiretrovirus agent: AE, adverse drug reaction
 *antiretrovirus agent: DV, drug development
 *antiretrovirus agent: AN, drug analysis
 *antiretrovirus agent: CT, clinical trial
 *antiretrovirus agent: CM, drug comparison
 *antiretrovirus agent: CB, drug combination
 *antiretrovirus agent: VA, intravaginal drug administration
 *antiretrovirus agent: SC, subcutaneous drug administration
 *antiretrovirus agent: IT, drug interaction
 enfuvirtide: PD, pharmacology
 enfuvirtide: DT, drug therapy
 enfuvirtide: AN, drug analysis
 enfuvirtide: DV, drug development
 enfuvirtide: PO, oral drug administration
 enfuvirtide: PK, pharmacokinetics
 enfuvirtide: SC, subcutaneous drug administration
 enfuvirtide: CT, clinical trial
 1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11 tetraazacyclotetradecane): DT, drug therapy
 1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11 tetraazacyclotetradecane): PO, oral drug administration

1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11
 tetraazacyclotetradecane): PD, pharmacology
 1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11
 tetraazacyclotetradecane): AE, adverse drug
 reaction
 1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11
 tetraazacyclotetradecane): DO, drug dose
 1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11
 tetraazacyclotetradecane): AN, drug analysis
 1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11
 tetraazacyclotetradecane): DV, drug development
 ak 602: PK, pharmacokinetics
 ak 602: AN, drug analysis
 ak 602: PO, oral drug administration
 ak 602: DV, drug development
 ak 602: DT, drug therapy
 RNA directed DNA polymerase inhibitor: DV, drug
 development
 RNA directed DNA polymerase inhibitor: PD,
 pharmacology
 RNA directed DNA polymerase inhibitor: CT, clinical
 trial
 RNA directed DNA polymerase inhibitor: DT, drug
 therapy
 RNA directed DNA polymerase inhibitor: VA,
 intravaginal drug administration
 RNA directed DNA polymerase inhibitor: CM, drug
 comparison
 proteinase inhibitor: DV, drug development
 proteinase inhibitor: PD, pharmacology
 proteinase inhibitor: CT, clinical trial
 proteinase inhibitor: DT, drug therapy
 proteinase inhibitor: VA, intravaginal drug
 administration
 proteinase inhibitor: CB, drug combination
 proteinase inhibitor: DO, drug dose
 proteinase inhibitor: AN, drug analysis
 proteinase inhibitor: IT, drug interaction
 tmc 125: DV, drug development
 tmc 125: PD, pharmacology
 tmc 125: CT, clinical trial
 tmc 125: DT, drug therapy
 tmc 125: VA, intravaginal drug administration
 tmc 114: DV, drug development
 tmc 114: PD, pharmacology
 tmc 114: CT, clinical trial
 tmc 114: DT, drug therapy
 tmc 114: VA, intravaginal drug administration
 cytidine derivative: PD, pharmacology
 cytidine derivative: DV, drug development
 dpc 817: DV, drug development
 dpc 817: PD, pharmacology
 lamivudine: PD, pharmacology
 zidovudine: PD, pharmacology
 zidovudine: CM, drug comparison
 zidovudine: DT, drug therapy
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 nevirapine: PD, pharmacology
 nevirapine: CM, drug comparison
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 nevirapine: CT, clinical trial
 stavudine: PD, pharmacology
 stavudine: CM, drug comparison
 stavudine: DT, drug therapy
 stavudine: CT, clinical trial
 virus vaccine: DT, drug therapy
 virus vaccine: PD, pharmacology

virus vaccine: TP, topical drug administration
 immunomodulating agent: CT, clinical trial
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 3' fluorothymidine: CT, clinical trial
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 tipranavir: CB, drug combination
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 racivir: PK, pharmacokinetics
 racivir: PD, pharmacology
 racivir: AE, adverse drug reaction
 amprenavir: PD, pharmacology
 amprenavir: DT, drug therapy
 ach 126443: PD, pharmacology
 polyinosinic polycytidylic acid: DT, drug therapy
 polyinosinic polycytidylic acid: CT, clinical trial
 nucleoside analog: DT, drug therapy
 nucleoside analog: PD, pharmacology
 nucleoside analog: CT, clinical trial
 nucleoside analog: AN, drug analysis
 nucleoside analog: DV, drug development
 nucleoside analog: AE, adverse drug reaction
 nucleoside analog: PK, pharmacokinetics
 nucleoside analog: CM, drug comparison
 efavirenz: PD, pharmacology
 efavirenz: DT, drug therapy
 dermavir: DT, drug therapy
 dermavir: TP, topical drug administration
 dermavir: PD, pharmacology
 unclassified drug
 reverset
 t 649

CAS REGISTRY NO.:

(enfuvirtide) 159519-65-0; (1,1' [1,4
 phenylenebis(methylene)]bis(1,4,8,11
 tetraazacyclotetradecane)) 155148-31-5; (proteinase
 inhibitor) 37205-61-1; (lamivudine) 134678-17-4,
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 174484-41-4; (ritonavir) 155213-67-5; (amprenavir)
 161814-49-9; (polyinosinic polycytidylic acid)
 24939-03-5, 26301-44-0; (efavirenz) 154598-52-4

CHEMICAL NAME:

(1) Amd 3100; (2) Ak 602; (3) Tmc 125; (4) Tmc 114;
 (5) Dpc 817; (6) Reverset; (7) Dpc 817; (8)
 Reverset; (9) Racivir; (10) Dpc 817; (11) Racivir;
 (12) Reverset; (13) U 140690; (14) Fuzeon; (15) T
 649; (16) Fuzeon; (17) T 649; (18) Ach 126443; (19)
 Ak 602; (20) Miv 310; (21) Dermavir

COMPANY NAME:

(1) Anormed; (2) Ono; (4) Tibotec Virco; (6)
 Bristol Myers Squibb; (9) Emory University; (12)
 Pharmasset; (13) Boehringer Ingelheim; (15)
 Hoffmann La Roche; (17) Trimeris; (18) Achillion
 (United States); (19) Kumamoto University; (20)
 Medivir; (21) Research Institute for Genetic and
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3' fluorothymidine: AE, adverse drug reaction
 3' fluorothymidine: AN, drug analysis
 3' fluorothymidine: DV, drug development
 3' fluorothymidine: DT, drug therapy
 3' fluorothymidine: CB, drug combination
 tipranavir: CB, drug combination
 tipranavir: PD, pharmacology
 tipranavir: AN, drug analysis
 tipranavir: DV, drug development
 tipranavir: DT, drug therapy
 tipranavir: IT, drug interaction
 ritonavir: CB, drug combination
 ritonavir: DO, drug dose
 ritonavir: PD, pharmacology
 ritonavir: DT, drug therapy
 ritonavir: IT, drug interaction
 racivir: PK, pharmacokinetics
 racivir: PD, pharmacology
 racivir: AE, adverse drug reaction
 amprenavir: PD, pharmacology
 amprenavir: DT, drug therapy
 ach 126443: PD, pharmacology
 polyinosinic polycytidylic acid: DT, drug therapy
 polyinosinic polycytidylic acid: CT, clinical trial
 nucleoside analog: DT, drug therapy
 nucleoside analog: PD, pharmacology
 nucleoside analog: CT, clinical trial
 nucleoside analog: AN, drug analysis
 nucleoside analog: DV, drug development
 nucleoside analog: AE, adverse drug reaction
 nucleoside analog: PK, pharmacokinetics
 nucleoside analog: CM, drug comparison
 efavirenz: PD, pharmacology
 efavirenz: DT, drug therapy
 dermavir: DT, drug therapy
 dermavir: TP, topical drug administration
 dermavir: PD, pharmacology
 unclassified drug
 reversion
 t 649
 RN (enfuvirtide) 159519-65-0; (1,1' [1,4
 phenylenebis(methylene)]bis(1,4,8,11 tetraazacyclotetradecane))
 155148-31-5; (proteinase inhibitor) 37205-61-1; (lamivudine)
 134678-17-4, 134680-32-3; (zidovudine) 30516-87-1; (nevirapine)
 129618-40-2; (stavudine) 3056-17-5; (3' fluorothymidine)
 25526-93-6; (tipranavir) 174484-41-4; (ritonavir)
 155213-67-5; (amprenavir) 161814-49-9; (polyinosinic polycytidylic
 acid) 24939-03-5, 26301-44-0; (efavirenz) 154598-52-4
 CT Medical Descriptors:
 *Human immunodeficiency virus infection: DT, drug therapy
 *Human immunodeficiency virus infection: PC, prevention
 *Human immunodeficiency virus infection: DR, drug
 resistance
 human
 clinical trial
 nonhuman
 Human immunodeficiency virus
 virus pathogenesis
 drug information
 drug targeting
 virus replication
 drug efficacy
 highly active antiretroviral therapy
 drug structure
 drug bioavailability
 tissue distribution
 drug effect

drug toxicity: SI, side effect
 dose response
 drug design
 virus strain
 virus mutation
 drug binding

antiviral activity

immunotherapy
 virus load
 virus resistance
 drug potentiation
 conference paper

CT Drug Descriptors:

*antiretrovirus agent: PK, pharmacokinetics
 *antiretrovirus agent: PD, pharmacology
 *antiretrovirus agent: DT, drug therapy
 *antiretrovirus agent: PO, oral drug administration
 *antiretrovirus agent: AE, adverse drug reaction
 *antiretrovirus agent: DV, drug development
 *antiretrovirus agent: AN, drug analysis
 *antiretrovirus agent: CT, clinical trial
 *antiretrovirus agent: CM, drug comparison
 *antiretrovirus agent: CB, drug combination
 *antiretrovirus agent: VA, intravaginal drug administration
 *antiretrovirus agent: SC, subcutaneous drug administration
 *antiretrovirus agent: IT, drug interaction
 enfuvirtide: PD, pharmacology
 enfuvirtide: DT, drug therapy
 enfuvirtide: AN, drug analysis
 enfuvirtide: DV, drug development
 enfuvirtide: PO, oral drug administration
 enfuvirtide: PK, pharmacokinetics
 enfuvirtide: SC, subcutaneous drug administration
 enfuvirtide: CT, clinical trial
 1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11
 tetraazacyclotetradecane): DT, drug therapy
 1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11
 tetraazacyclotetradecane): PO, oral drug administration
 1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11
 tetraazacyclotetradecane): PD, pharmacology
 1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11
 tetraazacyclotetradecane): AE, adverse drug reaction
 1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11
 tetraazacyclotetradecane): DO, drug dose
 1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11
 tetraazacyclotetradecane): AN, drug analysis
 1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11
 tetraazacyclotetradecane): DV, drug development
 ak 602: PK, pharmacokinetics
 ak 602: AN, drug analysis
 ak 602: PO, oral drug administration
 ak 602: DV, drug development
 ak 602: DT, drug therapy
 RNA directed DNA polymerase inhibitor: DV, drug development
 RNA directed DNA polymerase inhibitor: PD, pharmacology
 RNA directed DNA polymerase inhibitor: CT, clinical trial
 RNA directed DNA polymerase inhibitor: DT, drug therapy
 RNA directed DNA polymerase inhibitor: VA, intravaginal drug
 administration
 RNA directed DNA polymerase inhibitor: CM, drug comparison
 proteinase inhibitor: DV, drug development
 proteinase inhibitor: PD, pharmacology
 proteinase inhibitor: CT, clinical trial
 proteinase inhibitor: DT, drug therapy
 proteinase inhibitor: VA, intravaginal drug administration
 proteinase inhibitor: CB, drug combination
 proteinase inhibitor: DO, drug dose

proteinase inhibitor: AN, drug analysis
 proteinase inhibitor: IT, drug interaction
 tmc 125: DV, drug development
 tmc 125: PD, pharmacology
 tmc 125: CT, clinical trial
 tmc 125: DT, drug therapy
 tmc 125: VA, intravaginal drug administration
 tmc 114: DV, drug development
 tmc 114: PD, pharmacology
 tmc 114: CT, clinical trial
 tmc 114: DT, drug therapy
 tmc 114: VA, intravaginal drug administration
 cytidine derivative: PD, pharmacology
 cytidine derivative: DV, drug development
 dpc 817: DV, drug development
 dpc 817: PD, pharmacology
 lamivudine: PD, pharmacology
 zidovudine: PD, pharmacology
 zidovudine: CM, drug comparison
 zidovudine: DT, drug therapy
 zidovudine: CT, clinical trial
 nevirapine: PD, pharmacology
 nevirapine: CM, drug comparison
 nevirapine: DT, drug therapy
 nevirapine: CT, clinical trial
 stavudine: PD, pharmacology
 stavudine: CM, drug comparison
 stavudine: DT, drug therapy
 stavudine: CT, clinical trial
 virus vaccine: DT, drug therapy
 virus vaccine: PD, pharmacology
 virus vaccine: TP, topical drug administration
 immunomodulating agent: CT, clinical trial
 immunomodulating agent: DT, drug therapy
 3' fluorothymidine: CT, clinical trial
 3' fluorothymidine: AE, adverse drug reaction
 3' fluorothymidine: AN, drug analysis
 3' fluorothymidine: DV, drug development
 3' fluorothymidine: DT, drug therapy
 3' fluorothymidine: CB, drug combination
 tipranavir: CB, drug combination
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 acid) 24939-03-5, 26301-44-0; (efavirenz) 154598-52-4
 CN (1) Amd 3100; (2) Ak 602; (3) Tmc 125; (4) Tmc 114; (5) Dpc 817;
 (6) Reverset; (7) Dpc 817; (8) Reverset; (9) Racivir; (10) Dpc
 817; (11) Racivir; (12) Reverset; (13) U 140690; (14) Fuzeon; (15)
 T 649; (16) Fuzeon; (17) T 649; (18) Ach 126443; (19) Ak 602; (20)
 Miv 310; (21) Dermavir
 CO (1) Anormed; (2) Ono; (4) Tibotec Virco; (6) Bristol Myers Squibb;
 (9) Emory University; (12) Pharmasset; (13) Boehringer Ingelheim;
 (15) Hoffmann La Roche; (17) Trimeris; (18) Achillion (United
 States); (19) Kumamoto University; (20) Medivir; (21) Research
 Institute for Genetic and Human Therapy

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ACCESSION NUMBER: 2000237078 EMBASE Full-text

TITLE: Amprenavir: A new **human**
immunodeficiency virus type 1
 protease inhibitor.

AUTHOR: Fung H.B.; Kirschenbaum H.L.; Hameed R.

CORPORATE SOURCE: Dr. H.B. Fung, Pharmacy Service, Bronx VA Medical
 Center, 130 West Kingsbridge Road, Bronx, NY 10468,
 United States

SOURCE: Clinical Therapeutics, (2000) Vol. 22, No. 5, pp.
 549-572. .

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ISSN: 0149-2918 CODEN: CLTHDG

COUNTRY: United States

DOCUMENT TYPE: Journal; General Review

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LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 20 Jul 2000

Last Updated on STN: 20 Jul 2000

ABSTRACT: Objective: This paper reviews the pharmacologic properties and clinical
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immunodeficiency **virus** type 1 (HIV-1)

protease inhibitor. Background: Amprenavir, the most recent

HIV -1 protease inhibitor to receive marketing approval from the
 US Food and Drug Administration, is a potent competitive inhibitor of

HIV -1 protease and a relatively weak inhibitor of HIV

-2 protease. Inhibition of the HIV-I protease enzyme results

in immature and noninfectious viral particles. Amprenavir is rapidly
 absorbed following oral administration. The time to peak concentration
 (T(max)) in adults is between 1 and 2 hours, the area under the plasma
 concentration versus time curve is roughly proportional to the dose,
 the half-life is .apprx.8 hours, and the volume of distribution is
 .apprx.430 L. The T(max) in children 4 to 12 years of age is between
 1.1 and 1.4 hours. The bioavailability of the solution is 86% relative
 to the capsule formulation. It is metabolized by the cytochrome P-450
 isozyme CYP3A4 and to a lesser extent by CYP2D6 and CYP2C9. Methods:

We searched MEDLINE® (1966 to January 2000), AIDSLINE® (1980 to January 2000), International Pharmaceutical Abstracts (1970 to January 2000), PharmaProjects (January 2000 version), and web sites of major ***HIV*** /acquired immunodeficiency syndrome conferences for appropriate published references (1996 to February 2000). Results: Data reported to date indicate that amprenavir is efficacious in the treatment of HIV disease in patients with primary HIV infection, antiretroviral-naïve patients, protease inhibitor-naïve patients, protease inhibitor-experienced patients, and pediatric patients. Adverse effects were usually of early onset (range, 2 to 21 days) and transient (range, 3 to 46 days), although the incidence of metabolic abnormalities such as lipodystrophy, hyperlipidemia, and diabetes mellitus has not yet been defined. Amprenavir should be avoided in patients with a known sulfonamide allergy. Concomitant use of other medications that are CYP3A4 inducers or inhibitors should be done cautiously and only if the potential benefit clearly outweighs potential risk. The dose should be reduced in patients with significant hepatic impairment (Child-Pugh score, ≥ 5). Amprenavir probably should not be administered with rifabutin, rifampin, astemizole, midazolam, triazolam, bepridil, dihydroergotamine, ergotamine, or cisapride. The recommended adult dose is 1200 mg twice daily. For patients between 4 and 12 years of age or between 13 and 16 years of age who weigh < 50 kg, the recommended dosage of the capsule form is 20 mg/kg (22.5 mg/kg for oral solution) twice daily or 15 mg/kg (17 mg/kg for oral solution) 3 times a day to a maximum dose of 2400 mg (2800 mg for oral solution). Patients should not take vitamin E supplements because amprenavir is formulated with a large amount of vitamin E (109 IU/capsule and 46 IU/mL oral solution) to improve oral absorption. Amprenavir may be administered with or without food, but a high-fat meal (> 67 g fat) should be avoided. Conclusions: Published clinical data are limited, but amprenavir appears to be efficacious and generally well tolerated in patients with ***HIV*** infection. Pharmacoeconomic data are not yet available. The introduction of amprenavir appears to be important, since it provides an additional treatment option as a component of both initial and salvage combination therapies for patients with HIV.

CONTROLLED TERM: Medical Descriptors:
 *Human immunodeficiency virus infection: DT,
 drug therapy
 *acquired immune deficiency syndrome: DT, drug
 therapy
 Human immunodeficiency virus 1
 metabolic disorder: SI, side effect
 drug structure
 drug mechanism
 IC 50
 antiviral activity
 drug metabolism
 food drug interaction
 antibiotic resistance
 drug efficacy
 drug induced disease: SI, side effect
 drug tolerability
 drug contraindication
 practice guideline
 treatment planning
 human
 clinical trial
 review

CONTROLLED TERM: Drug Descriptors:
 *amprenavir: AE, adverse drug reaction
 *amprenavir: CT, clinical trial
 *amprenavir: AD, drug administration
 *amprenavir: AN, drug analysis
 *amprenavir: CB, drug combination

*amprenavir: CM, drug comparison
*amprenavir: CR, drug concentration
*amprenavir: DO, drug dose
*amprenavir: IT, drug interaction
*amprenavir: DT, drug therapy
*amprenavir: PK, pharmacokinetics
*amprenavir: PD, pharmacology
*amprenavir: PO, oral drug administration
*proteinase inhibitor: AE, adverse drug reaction
*proteinase inhibitor: CT, clinical trial
*proteinase inhibitor: AD, drug administration
*proteinase inhibitor: AN, drug analysis
*proteinase inhibitor: CB, drug combination
*proteinase inhibitor: CM, drug comparison
*proteinase inhibitor: CR, drug concentration
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*proteinase inhibitor: IT, drug interaction
*proteinase inhibitor: DT, drug therapy
*proteinase inhibitor: PK, pharmacokinetics
*proteinase inhibitor: PD, pharmacology
*proteinase inhibitor: PO, oral drug administration
abacavir: CT, clinical trial
abacavir: CB, drug combination
abacavir: CM, drug comparison
abacavir: DO, drug dose
abacavir: IT, drug interaction
abacavir: DT, drug therapy
clarithromycin: IT, drug interaction
efavirenz: CT, clinical trial
efavirenz: CB, drug combination
efavirenz: DO, drug dose
efavirenz: IT, drug interaction
efavirenz: DT, drug therapy
indinavir: AE, adverse drug reaction
indinavir: CT, clinical trial
indinavir: CB, drug combination
indinavir: CM, drug comparison
indinavir: DO, drug dose
indinavir: IT, drug interaction
indinavir: DT, drug therapy
indinavir: PD, pharmacology
ketoconazole: IT, drug interaction
lamivudine: AE, adverse drug reaction
lamivudine: CT, clinical trial
lamivudine: CB, drug combination
lamivudine: CM, drug comparison
lamivudine: DO, drug dose
lamivudine: IT, drug interaction
lamivudine: DT, drug therapy
nelfinavir: CT, clinical trial
nelfinavir: CB, drug combination
nelfinavir: CM, drug comparison
nelfinavir: DO, drug dose
nelfinavir: IT, drug interaction
nelfinavir: DT, drug therapy
nelfinavir: PD, pharmacology
rifabutin: IT, drug interaction
rifampicin: IT, drug interaction
ritonavir: CT, clinical trial
ritonavir: CB, drug combination
ritonavir: CM, drug comparison
ritonavir: DO, drug dose
ritonavir: IT, drug interaction
ritonavir: DT, drug therapy
ritonavir: PD, pharmacology
saquinavir: CT, clinical trial
saquinavir: CB, drug combination

saquinavir: CM, drug comparison
 saquinavir: DO, drug dose
 saquinavir: IT, drug interaction
 saquinavir: DT, drug therapy
 saquinavir: PD, pharmacology
 zidovudine: AE, adverse drug reaction
 zidovudine: CT, clinical trial
 zidovudine: CB, drug combination
 zidovudine: CM, drug comparison
 zidovudine: DO, drug dose
 zidovudine: IT, drug interaction
 zidovudine: DT, drug therapy
 RNA directed DNA polymerase inhibitor: AE, adverse drug reaction
 RNA directed DNA polymerase inhibitor: CT, clinical trial
 RNA directed DNA polymerase inhibitor: CB, drug combination
 RNA directed DNA polymerase inhibitor: CM, drug comparison
 RNA directed DNA polymerase inhibitor: DO, drug dose
 RNA directed DNA polymerase inhibitor: IT, drug interaction
 RNA directed DNA polymerase inhibitor: DT, drug therapy
 amitriptyline: IT, drug interaction
 amitriptyline: PD, pharmacology
 imipramine: IT, drug interaction
 imipramine: PD, pharmacology
 propranolol: IT, drug interaction
 propranolol: PD, pharmacology
 pethidine: IT, drug interaction
 pethidine: PD, pharmacology
 didanosine: IT, drug interaction
 raluridine: IT, drug interaction
 emtricitabine: IT, drug interaction
 nevirapine: AE, adverse drug reaction
 nevirapine: CT, clinical trial
 nevirapine: CB, drug combination
 nevirapine: CM, drug comparison
 nevirapine: DT, drug therapy
 stavudine: AE, adverse drug reaction
 stavudine: CT, clinical trial
 stavudine: CB, drug combination
 stavudine: CM, drug comparison
 stavudine: DT, drug therapy
 sulfonamide
 sulfonylurea derivative
 probenecid
 acetazolamide
 thiazide diuretic agent
 unindexed drug
 CAS REGISTRY NO.: (amprenavir) 161814-49-9; (protease inhibitor)
 37205-61-1; (abacavir) 136470-78-5, 188062-50-2;
 (clarithromycin) 81103-11-9; (efavirenz)
 154598-52-4; (indinavir) 150378-17-9, 157810-81-6,
 180683-37-8; (ketoconazole) 65277-42-1;
 (lamivudine) 134678-17-4, 134680-32-3; (nelfinavir)
 159989-64-7, 159989-65-8; (rifabutin) 72559-06-9;
 (rifampicin) 13292-46-1; (ritonavir) 155213-67-5;
 (saquinavir) 127779-20-8, 149845-06-7; (zidovudine)
 30516-87-1; (amitriptyline) 50-48-6, 549-18-8;
 (imipramine) 113-52-0, 50-49-7; (propranolol)
 13013-17-7, 318-98-9, 3506-09-0, 4199-09-1,
 525-66-6; (pethidine) 28097-96-3, 50-13-5, 57-42-1;
 (didanosine) 69655-05-6; (raluridine)

119644-22-3; (emtricitabine) 137530-41-7,
 143491-54-7, 143491-57-0; (nevirapine)
 129618-40-2; (stavudine) 3056-17-5;
 (probenecid) 57-66-9; (acetazolamide) 1424-27-7,
 59-66-5

CHEMICAL NAME: (1) Agenerase; Vx 478; 141w94; 935u83; 524w91

COMPANY NAME: (1) Vertex (United States)

TI Amprenavir: A new **human immunodeficiency virus** type 1 protease inhibitor.

SO Clinical Therapeutics, (2000) Vol. 22, No. 5, pp. 549-572. .

Refs: 68

ISSN: 0149-2918 CODEN: CLTHDG

AB Objective: This paper reviews the pharmacologic properties and clinical usefulness of amprenavir, a new **human immunodeficiency virus** type 1 (HIV-1) protease inhibitor. Background: Amprenavir, the most recent HIV-1 protease inhibitor to receive marketing approval from the US Food and Drug Administration, is a potent competitive inhibitor of HIV-1 protease and a relatively weak inhibitor of HIV-2 protease. Inhibition of the HIV-I protease enzyme results in immature and noninfectious viral particles. Amprenavir is rapidly absorbed following oral administration. The time to peak concentration (T(max)) in adults is between 1 and 2 hours, the area under the plasma concentration versus time curve is roughly proportional to the dose, the half-life is .apprx.8 hours, and the volume of distribution is .apprx.430 L. The T(max) in children 4 to 12 years of age is between 1.1 and 1.4 hours. The bioavailability of the solution is 86% relative to the capsule formulation. It is metabolized by the cytochrome P-450 isozyme CYP3A4 and to a lesser extent by CYP2D6 and CYP2C9. Methods: We searched MEDLINE® (1966 to January 2000), AIDSLINE® (1980 to January 2000), International Pharmaceutical Abstracts (1970 to January 2000), PharmaProjects (January 2000 version), and web sites of major HIV/acquired immunodeficiency syndrome conferences for appropriate published references (1996 to February 2000). Results: Data reported to date indicate that amprenavir is efficacious in the treatment of HIV disease in patients with primary HIV infection, antiretroviral-naïve patients, protease inhibitor-naïve patients, protease inhibitor-experienced patients, and pediatric patients. Adverse effects were usually of early onset (range, 2 to 21 days) and transient (range, 3 to 46 days), although the incidence of metabolic abnormalities such as lipodystrophy, hyperlipidemia, and diabetes mellitus has not yet been defined. Amprenavir should be avoided in patients with a known sulfonamide allergy. Concomitant use of other medications that are CYP3A4 inducers or inhibitors should be done cautiously and only if the potential benefit clearly outweighs potential risk. The dose should be reduced in patients with significant hepatic impairment (Child-Pugh score, ≥5). Amprenavir probably should not be administered with rifabutin, rifampin, astemizole, midazolam, triazolam, bepridil, dihydroergotamine, ergotamine, or cisapride. The recommended adult dose is 1200 mg twice daily. For patients between 4 and 12 years of age or between 13 and 16 years of age who weigh <50 kg, the recommended dosage of the capsule form is 20 mg/kg (22.5 mg/kg for oral solution) twice daily or 15 mg/kg (17 mg/kg for oral solution) 3 times a day to a maximum dose of 2400 mg (2800 mg for oral solution). Patients should not take vitamin E supplements because amprenavir is formulated with a large amount of vitamin E (109 IU/capsule and 46 IU/mL oral solution) to improve oral absorption. Amprenavir may be administered with or without food, but a high-fat meal (>67 g fat) should be avoided. Conclusions: Published clinical data are limited, but amprenavir appears to be efficacious and generally well tolerated in patients with HIV infection. Pharmacoeconomic data are not yet available. The introduction of amprenavir appears to be important, since it provides an additional treatment option as a component of both initial and salvage combination therapies for patients with HIV.

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Human immunodeficiency virus 1

metabolic disorder: SI, side effect

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IC 50

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drug metabolism

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drug efficacy

drug induced disease: SI, side effect
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 practice guideline
 treatment planning
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 abacavir: CT, clinical trial
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 abacavir: DO, drug dose
 abacavir: IT, drug interaction
 abacavir: DT, drug therapy
 clarithromycin: IT, drug interaction
 efavirenz: CT, clinical trial
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 indinavir: DT, drug therapy
 indinavir: PD, pharmacology
 ketoconazole: IT, drug interaction
 lamivudine: AE, adverse drug reaction
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 nelfinavir: CT, clinical trial
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 nelfinavir: CM, drug comparison
 nelfinavir: DO, drug dose

nelfinavir: IT, drug interaction
 nelfinavir: DT, drug therapy
 nelfinavir: PD, pharmacology
 rifabutin: IT, drug interaction
 rifampicin: IT, drug interaction
 ritonavir: CT, clinical trial
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 ritonavir: IT, drug interaction
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 zidovudine: AE, adverse drug reaction
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 amitriptyline: IT, drug interaction
 amitriptyline: PD, pharmacology
 imipramine: IT, drug interaction
 imipramine: PD, pharmacology
 propranolol: IT, drug interaction
 propranolol: PD, pharmacology
 pethidine: IT, drug interaction
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 acetazolamide
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 RN (amprenavir) 161814-49-9; (protease inhibitor) 37205-61-1;
 (abacavir) 136470-78-5, 188062-50-2; (clarithromycin) 81103-11-9;
 (efavirenz) 154598-52-4; (indinavir) 150378-17-9, 157810-81-6,
 180683-37-8; (ketoconazole) 65277-42-1; (lamivudine) 134678-17-4,
 134680-32-3; (nelfinavir) 159989-64-7, 159989-65-8; (rifabutin)
 72559-06-9; (rifampicin) 13292-46-1; (ritonavir) 155213-67-5;
 (saquinavir) 127779-20-8, 149845-06-7; (zidovudine) 30516-87-1;

(amitriptyline) 50-48-6, 549-18-8; (imipramine) 113-52-0, 50-49-7;
 (propranolol) 13013-17-7, 318-98-9, 3506-09-0, 4199-09-1,
 525-66-6; (pethidine) 28097-96-3, 50-13-5, 57-42-1; (didanosine)
 69655-05-6; (raluridine) 119644-22-3; (emtricitabine)
 137530-41-7, 143491-54-7, 143491-57-0; (nevirapine)
 129618-40-2; (stavudine) 3056-17-5; (probenecid) 57-66-9;
 (acetazolamide) 1424-27-7, 59-66-5

CT Medical Descriptors:

*Human immunodeficiency virus infection: DT, drug therapy

*acquired immune deficiency syndrome: DT, drug therapy

Human immunodeficiency virus 1

metabolic disorder: SI, side effect

drug structure

drug mechanism

IC 50

antiviral activity

drug metabolism

food drug interaction

antibiotic resistance

drug efficacy

drug induced disease: SI, side effect

drug tolerability

drug contraindication

practice guideline

treatment planning

human

clinical trial

review

CT Drug Descriptors:

*amprenavir: AE, adverse drug reaction

*amprenavir: CT, clinical trial

*amprenavir: AD, drug administration

*amprenavir: AN, drug analysis

*amprenavir: CB, drug combination

*amprenavir: CM, drug comparison

*amprenavir: CR, drug concentration

*amprenavir: DO, drug dose

*amprenavir: IT, drug interaction

*amprenavir: DT, drug therapy

*amprenavir: PK, pharmacokinetics

*amprenavir: PD, pharmacology

*amprenavir: PO, oral drug administration

*proteinase inhibitor: AE, adverse drug reaction

*proteinase inhibitor: CT, clinical trial

*proteinase inhibitor: AD, drug administration

*proteinase inhibitor: AN, drug analysis

*proteinase inhibitor: CB, drug combination

*proteinase inhibitor: CM, drug comparison

*proteinase inhibitor: CR, drug concentration

*proteinase inhibitor: DO, drug dose

*proteinase inhibitor: IT, drug interaction

*proteinase inhibitor: DT, drug therapy

*proteinase inhibitor: PK, pharmacokinetics

*proteinase inhibitor: PD, pharmacology

*proteinase inhibitor: PO, oral drug administration

abacavir: CT, clinical trial

abacavir: CB, drug combination

abacavir: CM, drug comparison

abacavir: DO, drug dose

abacavir: IT, drug interaction

abacavir: DT, drug therapy

clarithromycin: IT, drug interaction

efavirenz: CT, clinical trial

efavirenz: CB, drug combination

efavirenz: DO, drug dose

efavirenz: IT, drug interaction

efavirenz: DT, drug therapy

indinavir: AE, adverse drug reaction
 indinavir: CT, clinical trial
 indinavir: CB, drug combination
 indinavir: CM, drug comparison
 indinavir: DO, drug dose
 indinavir: IT, drug interaction
 indinavir: DT, drug therapy
 indinavir: PD, pharmacology
 ketoconazole: IT, drug interaction
 lamivudine: AE, adverse drug reaction
 lamivudine: CT, clinical trial
 lamivudine: CB, drug combination
 lamivudine: CM, drug comparison
 lamivudine: DO, drug dose
 lamivudine: IT, drug interaction
 lamivudine: DT, drug therapy
 nelfinavir: CT, clinical trial
 nelfinavir: CB, drug combination
 nelfinavir: CM, drug comparison
 nelfinavir: DO, drug dose
 nelfinavir: IT, drug interaction
 nelfinavir: DT, drug therapy
 nelfinavir: PD, pharmacology
 rifabutin: IT, drug interaction
 rifampicin: IT, drug interaction
 ritonavir: CT, clinical trial
 ritonavir: CB, drug combination
 ritonavir: CM, drug comparison
 ritonavir: DO, drug dose
 ritonavir: IT, drug interaction
 ritonavir: DT, drug therapy
 ritonavir: PD, pharmacology
 saquinavir: CT, clinical trial
 saquinavir: CB, drug combination
 saquinavir: CM, drug comparison
 saquinavir: DO, drug dose
 saquinavir: IT, drug interaction
 saquinavir: DT, drug therapy
 saquinavir: PD, pharmacology
 zidovudine: AE, adverse drug reaction
 zidovudine: CT, clinical trial
 zidovudine: CB, drug combination
 zidovudine: CM, drug comparison
 zidovudine: DO, drug dose
 zidovudine: IT, drug interaction
 zidovudine: DT, drug therapy
 RNA directed DNA polymerase inhibitor: AE, adverse drug reaction
 RNA directed DNA polymerase inhibitor: CT, clinical trial
 RNA directed DNA polymerase inhibitor: CB, drug combination
 RNA directed DNA polymerase inhibitor: CM, drug comparison
 RNA directed DNA polymerase inhibitor: DO, drug dose
 RNA directed DNA polymerase inhibitor: IT, drug interaction
 RNA directed DNA polymerase inhibitor: DT, drug therapy
 amitriptyline: IT, drug interaction
 amitriptyline: PD, pharmacology
 imipramine: IT, drug interaction
 imipramine: PD, pharmacology
 propranolol: IT, drug interaction
 propranolol: PD, pharmacology
 pethidine: IT, drug interaction
 pethidine: PD, pharmacology
 didanosine: IT, drug interaction
 raluridine: IT, drug interaction
 emtricitabine: IT, drug interaction
 nevirapine: AE, adverse drug reaction
 nevirapine: CT, clinical trial
 nevirapine: CB, drug combination

nevirapine: CM, drug comparison
 nevirapine: DT, drug therapy
 stavudine: AE, adverse drug reaction
 stavudine: CT, clinical trial
 stavudine: CB, drug combination
 stavudine: CM, drug comparison
 stavudine: DT, drug therapy
 sulfonamide
 sulfonylurea derivative
 probenecid
 acetazolamide
 thiazide diuretic agent
 unindexed drug
 RN (amprenavir) 161814-49-9; (protease inhibitor) 37205-61-1;
 (abacavir) 136470-78-5, 188062-50-2; (clarithromycin) 81103-11-9;
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 69655-05-6; (raluridine) **119644-22-3**; (emtricitabine)
 137530-41-7, 143491-54-7, 143491-57-0; (nevirapine)
129618-40-2; (stavudine) 3056-17-5; (probenecid) 57-66-9;
 (acetazolamide) 1424-27-7, 59-66-5
 CN (1) Agenerase; Vx 478; 141w94; 935u83; 524w91
 CO (1) Vertex (United States)

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ACCESSION NUMBER: 2000220779 EMBASE Full-text
 TITLE: Predictive value of treatment effects in SIV/SHIV
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 AUTHOR: Bottiger D.; Oberg B.
 CORPORATE SOURCE: D. Bottiger, Medivir AB, Lunastigen 7, S-141 44
 Huddinge, Stockholm, Sweden. bo.oberg@medivir.se
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ABSTRACT: Monkeys infected with simian immunodeficiency virus (SIV) or hybrids between
 SIV and HIV are excellent models in the
 efforts to develop new therapies against HIV/AIDS.
 The clinical effect against HIV of a certain compound and
 dose can be predicted by the use of a few infected animals while cell
 culture models may give misleading results. Increasingly complicated
 treatment combinations and many different resistant HIV
 strains make it important to use predictive models before entering
 clinical trials. SIV/SHIV-infected monkeys offer such a model.

CONTROLLED TERM: Medical Descriptors:
 *virus infection: ET, etiology
 *virus infection: DT, drug therapy
 human
 clinical trial
 nonhuman
 animal model

experimental model
 monkey
 Simian immunodeficiency virus
Human immunodeficiency virus
 treatment outcome
 virus gene
antiviral activity
 dose response
 drug efficacy
 drug effect
 drug screening
 review

CONTROLLED TERM:

Drug Descriptors:
 *antivirus agent: DT, drug therapy
 *antivirus agent: DV, drug development
 *antivirus agent: DO, drug dose
 *antivirus agent: IM, intramuscular drug
 administration
 *antivirus agent: CT, clinical trial
 *antivirus agent: CB, drug combination
 zidovudine: DT, drug therapy
 zidovudine: DV, drug development
 zidovudine: CM, drug comparison
 zidovudine: DO, drug dose
 zidovudine: CB, drug combination
 zidovudine: CT, clinical trial
 didanosine: DT, drug therapy
 didanosine: DV, drug development
 didanosine: CM, drug comparison
 didanosine: DO, drug dose
 zalcitabine: DT, drug therapy
 zalcitabine: DV, drug development
 zalcitabine: CM, drug comparison
 zalcitabine: DO, drug dose
 stavudine: DT, drug therapy
 stavudine: DV, drug development
 stavudine: CM, drug comparison
 stavudine: DO, drug dose
 lamivudine: DT, drug therapy
 lamivudine: DV, drug development
 lamivudine: CM, drug comparison
 lamivudine: DO, drug dose
 3' fluorothymidine: DT, drug therapy
 3' fluorothymidine: DV, drug development
 3' fluorothymidine: CM, drug comparison
 3' fluorothymidine: DO, drug dose
 2',3' dideoxy 3' fluorouridine: DT, drug therapy
 2',3' dideoxy 3' fluorouridine: DV, drug
 development
 2',3' dideoxy 3' fluorouridine: CM, drug comparison
 2',3' dideoxy 3' fluorouridine: DO, drug dose
 2',3' dideoxyguanosine: DT, drug therapy
 2',3' dideoxyguanosine: DV, drug development
 2',3' dideoxyguanosine: CM, drug comparison
 2',3' dideoxyguanosine: DO, drug dose
 9 [4 hydroxy 2 (hydroxymethyl)butyl]guanine: DT,
 drug therapy
 9 [4 hydroxy 2 (hydroxymethyl)butyl]guanine: DV,
 drug development
 9 [4 hydroxy 2 (hydroxymethyl)butyl]guanine: CM,
 drug comparison
 9 [4 hydroxy 2 (hydroxymethyl)butyl]guanine: DO,
 drug dose
 2'3' dideoxy 3' hydroxymethylcytosine: DT, drug
 therapy
 2'3' dideoxy 3' hydroxymethylcytosine: DV, drug
 development

2'3' dideoxy 3' hydroxymethylcytosine: CM, drug
 comparison
 2'3' dideoxy 3' hydroxymethylcytosine: DO, drug
 dose
 cytosine derivative: DT, drug therapy
 cytosine derivative: DV, drug development
 cytosine derivative: CM, drug comparison
 cytosine derivative: DO, drug dose
 nevirapine: DT, drug therapy
 nevirapine: DV, drug development
 nevirapine: CM, drug comparison
 nevirapine: CT, clinical trial
 nevirapine: DO, drug dose
 trovirdine: DT, drug therapy
 trovirdine: DV, drug development
 trovirdine: CM, drug comparison
 trovirdine: CT, clinical trial
 trovirdine: DO, drug dose
 foscarnet: DT, drug therapy
 foscarnet: DV, drug development
 foscarnet: DO, drug dose
 adefovir: DT, drug therapy
 adefovir: DV, drug development
 adefovir: DO, drug dose
 9 (2 phosphonomethoxypropyl)adenine: DT, drug
 therapy
 9 (2 phosphonomethoxypropyl)adenine: DV, drug
 development
 9 (2 phosphonomethoxypropyl)adenine: DO, drug dose
 9 (2 phosphonomethoxypropyl)adenine: CT, clinical
 trial
 1 (naphthoxyacetyl)histidyl(5 amino 6 cyclohexyl
 3,4 dihydroxy 2 isopropylhexanoyl)isoleucine n (2
 pyridylmethyl)amide: DT, drug therapy
 1 (naphthoxyacetyl)histidyl(5 amino 6 cyclohexyl
 3,4 dihydroxy 2 isopropylhexanoyl)isoleucine n (2
 pyridylmethyl)amide: DV, drug development
 1 (naphthoxyacetyl)histidyl(5 amino 6 cyclohexyl
 3,4 dihydroxy 2 isopropylhexanoyl)isoleucine n (2
 pyridylmethyl)amide: DO, drug dose
 1 (naphthoxyacetyl)histidyl(5 amino 6 cyclohexyl
 3,4 dihydroxy 2 isopropylhexanoyl)isoleucine n (2
 pyridylmethyl)amide: CT, clinical trial
 hydroxyurea: DT, drug therapy
 hydroxyurea: DO, drug dose
 hydroxyurea: DV, drug development
 thalidomide: DT, drug therapy
 thalidomide: CT, clinical trial
 thalidomide: DV, drug development
 cyclosporin A: DT, drug therapy
 cyclosporin A: CT, clinical trial
 cyclosporin A: DV, drug development
 soluble CD4 antigen: DV, drug development
 soluble CD4 antigen: IM, intramuscular drug
 administration
 soluble CD4 antigen: CT, clinical trial
 soluble CD4 antigen: DT, drug therapy
 recombinant alpha interferon: DT, drug therapy
 recombinant alpha interferon: CB, drug combination
 recombinant alpha interferon: DV, drug development
 unclassified drug
 ddl
 gcv
 flt
 flg
 f2g
 2',3' dideoxy 3' hydroxymethylcytidine

fcu
6 cl ddg
aciclovir

CAS REGISTRY NO.: (zidovudine) 30516-87-1; (didanosine) 69655-05-6;
(zalcitabine) 7481-89-2; (stavudine) 3056-17-5;
(lamivudine) 134678-17-4, 134680-32-3; (3'
fluorothymidine) 25526-93-6; (2',3'
dideoxy 3' fluorouridine) 41107-56-6;
(2',3' dideoxyguanosine) 85326-06-3; (9 [4 hydroxy
2 (hydroxymethyl)butyl]guanine) 105868-85-7;
(nevirapine) 129618-40-2; (trovirdine)
148311-89-1, 149488-17-5; (foscarnet) 4428-95-9;
(adefovir) 106941-25-7; (9 (2
phosphonomethoxypropyl)adenine) 147127-19-3,
147127-20-6; (1 (naphthoxyacetyl)histidyl(5 amino 6
cyclohexyl 3,4 dihydroxy 2
isopropylhexanoyl)isoleucine n (2
pyridylmethyl)amide) 112190-24-6; (hydroxyurea)
127-07-1; (thalidomide) 50-35-1; (cyclosporin A)
59865-13-3, 63798-73-2; (aciclovir) 59277-89-3

CHEMICAL NAME: (1) Ddl; (2) D4T; (3) AZT; (4) Ddc; (5) Gcv; (6)
3TC; (7) Flt; (8) Flg; (9) F2g; (10) Bea 005; (11)
U 75875; Fcu; 6 cl ddg; Acv

COMPANY NAME: (2) Bristol Myers Squibb; (3) Glaxo; (5) Hoffmann
La Roche; (6) Biochem Pharma; (7) American
Cyanamid; (10) Medivir; (11) Pharmacia Upjohn;
Boehringer Ingelheim; Astra Zeneca; Rega

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AB Monkeys infected with simian immunodeficiency virus (SIV) or hybrids between SIV and
HIV are excellent models in the efforts to develop new therapies against HIV/ AIDS.
The clinical effect against HIV of a certain compound and dose can be predicted by the
use of a few infected animals while cell culture models may give misleading results.
Increasingly complicated treatment combinations and many different resistant HIV
strains make it important to use predictive models before entering clinical trials.
SIV/SHIV-infected monkeys offer such a model.

CT Medical Descriptors:
*virus infection: ET, etiology
*virus infection: DT, drug therapy
human
clinical trial
nonhuman
animal model
experimental model
monkey
Simian immunodeficiency virus
Human immunodeficiency virus
treatment outcome
virus gene
antiviral activity
dose response
drug efficacy
drug effect
drug screening
review

CT Drug Descriptors:
*antivirus agent: DT, drug therapy
*antivirus agent: DV, drug development
*antivirus agent: DO, drug dose
*antivirus agent: IM, intramuscular drug administration
*antivirus agent: CT, clinical trial
*antivirus agent: CB, drug combination
zidovudine: DT, drug therapy
zidovudine: DV, drug development
zidovudine: CM, drug comparison

zidovudine: DO, drug dose
 zidovudine: CB, drug combination
 zidovudine: CT, clinical trial
 didanosine: DT, drug therapy
 didanosine: DV, drug development
 didanosine: CM, drug comparison
 didanosine: DO, drug dose
 zalcitabine: DT, drug therapy
 zalcitabine: DV, drug development
 zalcitabine: CM, drug comparison
 zalcitabine: DO, drug dose
 stavudine: DT, drug therapy
 stavudine: DV, drug development
 stavudine: CM, drug comparison
 stavudine: DO, drug dose
 lamivudine: DT, drug therapy
 lamivudine: DV, drug development
 lamivudine: CM, drug comparison
 lamivudine: DO, drug dose
 3' fluorothymidine: DT, drug therapy
 3' fluorothymidine: DV, drug development
 3' fluorothymidine: CM, drug comparison
 3' fluorothymidine: DO, drug dose
 2',3' dideoxy 3' fluorouridine: DT, drug therapy
 2',3' dideoxy 3' fluorouridine: DV, drug development
 2',3' dideoxy 3' fluorouridine: CM, drug comparison
 2',3' dideoxy 3' fluorouridine: DO, drug dose
 2',3' dideoxyguanosine: DT, drug therapy
 2',3' dideoxyguanosine: DV, drug development
 2',3' dideoxyguanosine: CM, drug comparison
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 9 [4 hydroxy 2 (hydroxymethyl)butyl]guanine: DT, drug therapy
 9 [4 hydroxy 2 (hydroxymethyl)butyl]guanine: DV, drug development
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 2'3' dideoxy 3' hydroxymethylcytosine: DT, drug therapy
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 2'3' dideoxy 3' hydroxymethylcytosine: CM, drug comparison
 2'3' dideoxy 3' hydroxymethylcytosine: DO, drug dose
 cytosine derivative: DT, drug therapy
 cytosine derivative: DV, drug development
 cytosine derivative: CM, drug comparison
 cytosine derivative: DO, drug dose
 nevirapine: DT, drug therapy
 nevirapine: DV, drug development
 nevirapine: CM, drug comparison
 nevirapine: CT, clinical trial
 nevirapine: DO, drug dose
 trovirdine: DT, drug therapy
 trovirdine: DV, drug development
 trovirdine: CM, drug comparison
 trovirdine: CT, clinical trial
 trovirdine: DO, drug dose
 foscarnet: DT, drug therapy
 foscarnet: DV, drug development
 foscarnet: DO, drug dose
 adefovir: DT, drug therapy
 adefovir: DV, drug development
 adefovir: DO, drug dose
 9 (2 phosphonomethoxypropyl)adenine: DT, drug therapy
 9 (2 phosphonomethoxypropyl)adenine: DV, drug development
 9 (2 phosphonomethoxypropyl)adenine: DO, drug dose
 9 (2 phosphonomethoxypropyl)adenine: CT, clinical trial
 1 (naphthoxyacetyl)histidyl(5 amino 6 cyclohexyl 3,4 dihydroxy 2 isopropylhexanoyl)isoleucine n (2 pyridylmethyl)amide: DT, drug therapy
 1 (naphthoxyacetyl)histidyl(5 amino 6 cyclohexyl 3,4 dihydroxy 2

isopropylhexanoyl)isoleucine n (2 pyridylmethyl)amide: DV, drug development
 1 (naphthoxyacetyl)histidyl(5 amino 6 cyclohexyl 3,4 dihydroxy 2 isopropylhexanoyl)isoleucine n (2 pyridylmethyl)amide: DO, drug dose
 1 (naphthoxyacetyl)histidyl(5 amino 6 cyclohexyl 3,4 dihydroxy 2 isopropylhexanoyl)isoleucine n (2 pyridylmethyl)amide: CT, clinical trial
 hydroxyurea: DT, drug therapy
 hydroxyurea: DO, drug dose
 hydroxyurea: DV, drug development
 thalidomide: DT, drug therapy
 thalidomide: CT, clinical trial
 thalidomide: DV, drug development
 cyclosporin A: DT, drug therapy
 cyclosporin A: CT, clinical trial
 cyclosporin A: DV, drug development
 soluble CD4 antigen: DV, drug development
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 unclassified drug
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 gcv
 flt
 flg
 f2g
 2',3' dideoxy 3' hydroxymethylcytidine
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 lamivudine: DV, drug development
 lamivudine: CM, drug comparison
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 3' fluorothymidine: DT, drug therapy
 3' fluorothymidine: DV, drug development
 3' fluorothymidine: CM, drug comparison
 3' fluorothymidine: DO, drug dose
 2',3' dideoxy 3' fluorouridine: DT, drug therapy
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 2',3' dideoxy 3' fluorouridine: CM, drug comparison
 2',3' dideoxy 3' fluorouridine: DO, drug dose
 2',3' dideoxyguanosine: DT, drug therapy
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 2',3' dideoxyguanosine: DO, drug dose
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 9 [4 hydroxy 2 (hydroxymethyl)butyl]guanine: DV, drug development
 9 [4 hydroxy 2 (hydroxymethyl)butyl]guanine: CM, drug comparison
 9 [4 hydroxy 2 (hydroxymethyl)butyl]guanine: DO, drug dose
 2'3' dideoxy 3' hydroxymethylcytosine: DT, drug therapy
 2'3' dideoxy 3' hydroxymethylcytosine: DV, drug development
 2'3' dideoxy 3' hydroxymethylcytosine: CM, drug comparison
 2'3' dideoxy 3' hydroxymethylcytosine: DO, drug dose
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 zalcitabine: DO, drug dose
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 1 (naphthoxyacetyl)histidyl(5 amino 6 cyclohexyl 3,4 dihydroxy 2 isopropylhexanoyl)isoleucine n (2 pyridylmethyl)amide: DO, drug dose
 1 (naphthoxyacetyl)histidyl(5 amino 6 cyclohexyl 3,4 dihydroxy 2 isopropylhexanoyl)isoleucine n (2 pyridylmethyl)amide: CT, clinical trial
 hydroxyurea: DT, drug therapy
 hydroxyurea: DO, drug dose
 hydroxyurea: DV, drug development
 thalidomide: DT, drug therapy
 thalidomide: CT, clinical trial
 thalidomide: DV, drug development
 cyclosporin A: DT, drug therapy
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 soluble CD4 antigen: DV, drug development
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 soluble CD4 antigen: CT, clinical trial
 soluble CD4 antigen: DT, drug therapy
 recombinant alpha interferon: DT, drug therapy
 recombinant alpha interferon: CB, drug combination
 recombinant alpha interferon: DV, drug development
 unclassified drug
 ddl
 gcv
 flt
 flg
 f2g
 2',3' dideoxy 3' hydroxymethylcytidine
 fcu
 6 cl ddg
 aciclovir
 RN (zidovudine) 30516-87-1; (didanosine) 69655-05-6; (zalcitabine) 7481-89-2; (stavudine) 3056-17-5; (lamivudine) 134678-17-4, 134680-32-3; (3' fluorothymidine) 25526-93-6; (2',3' dideoxy 3' fluorouridine) 41107-56-6; (2',3' dideoxyguanosine) 85326-06-3; (9 [4 hydroxy 2 (hydroxymethyl)butyl]guanine) 105868-85-7; (nevirapine) 129618-40-2; (troviridine) 148311-89-1, 149488-17-5; (foscarnet) 4428-95-9; (adefovir) 106941-25-7; (9 (2 phosphonomethoxypropyl)adenine) 147127-19-3, 147127-20-6; (1 (naphthoxyacetyl)histidyl(5 amino 6 cyclohexyl 3,4 dihydroxy 2 isopropylhexanoyl)isoleucine n (2 pyridylmethyl)amide) 112190-24-6; (hydroxyurea) 127-07-1; (thalidomide) 50-35-1; (cyclosporin A) 59865-13-3, 63798-73-2; (aciclovir) 59277-89-3
 CN (1) Ddl; (2) D4T; (3) AZT; (4) Ddc; (5) Gcv; (6) 3TC; (7) Flt; (8) Flg; (9) F2g; (10) Bea 005; (11) U 75875; Fcu; 6 cl ddg; Acv
 CO (2) Bristol Myers Squibb; (3) Glaxo; (5) Hoffmann La Roche; (6) Biochem.Pharma; (7) American Cyanamid; (10) Medivir; (11) Pharmacia Upjohn; Boehringer Ingelheim; Astra Zeneca; Rega

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ACCESSION NUMBER: 2000102461 EMBASE Full-text

TITLE: Current **antiviral** agents FactFile:

1999-2000 update. 5th edition: Part II -

**Human immunodeficiency
viruses.**

AUTHOR: Kinchington D.; Gee S.; Balzarini J.; Gait M.; De Clercq E.; Field H.J.

CORPORATE SOURCE: D. Kinchington, International Antiviral News, International Medical Press, 125 High Holborn, London WC1V 6QA, United Kingdom.
iavn@intmedpress.com

SOURCE: International Antiviral News, (2000) Vol. 8, No. 1, pp. 4-21. .
Refs: 121
ISSN: 0965-2310 CODEN: IANWEL

COUNTRY: United Kingdom

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 004 Microbiology
030 Pharmacology
037 Drug Literature Index

LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 6 Apr 2000
Last Updated on STN: 6 Apr 2000

ABSTRACT: This FactFile is again an expanded and updated version of the fourth edition, which appeared in October 1998. Part I of the update, which was published in 7:7, covers agents mainly active against herpes, hepatitis and respiratory viruses. The entries are limited to key ***antiviral*** agents that have undergone or are about to undergo at least Phase I clinical evaluation. Some originally promising compounds whose clinical development or use has been suspended are also included.

CONTROLLED TERM: Medical Descriptors:
*Human immunodeficiency virus infection: DT,
drug therapy
Human immunodeficiency virus 1
Human immunodeficiency virus 2
human
review
Drug Descriptors:
*antivirus agent: DT, drug therapy
*antivirus agent: PD, pharmacology
1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11
tetraazacyclotetradecane): DT, drug therapy
1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11
tetraazacyclotetradecane): PD, pharmacology
1,3 bis(3 aminobenzyl) 4,7 dibenzyl 2,3,4,5,6,7
hexahydro 5,6 dihydroxy 1h 1,3 diazepam 2 one: DT,
drug therapy
1,3 bis(3 aminobenzyl) 4,7 dibenzyl 2,3,4,5,6,7
hexahydro 5,6 dihydroxy 1h 1,3 diazepam 2 one: PD,
pharmacology
3' azido 2',3' dideoxy 5 methylcytidine: DT, drug
therapy
3' azido 2',3' dideoxy 5 methylcytidine: PD,
pharmacology
3' fluorothymidine: DT, drug therapy
3' fluorothymidine: PD, pharmacology
4,7 dibenzyl 2,3,4,5,6,7 hexahydro 5,6 dihydroxy
1,3 bis[4 (hydroxymethyl)benzyl] 2h 1,3 diazepam 2
one: DT, drug therapy
4,7 dibenzyl 2,3,4,5,6,7 hexahydro 5,6 dihydroxy
1,3 bis[4 (hydroxymethyl)benzyl] 2h 1,3 diazepam 2
one: PD, pharmacology
a 77003: DT, drug therapy
a 77003: PD, pharmacology
abacavir: DT, drug therapy
abacavir: PD, pharmacology
adefovir dipivoxil: DT, drug therapy
adefovir dipivoxil: PD, pharmacology

adefovir: DT, drug therapy
 adefovir: PD, pharmacology
 amprenavir: DT, drug therapy
 amprenavir: PD, pharmacology
 atevirdine mesylate: DT, drug therapy
 atevirdine mesylate: PD, pharmacology
 behenyl alcohol: DT, drug therapy
 behenyl alcohol: PD, pharmacology
 castanospermine 6 butyrate: DT, drug therapy
 castanospermine 6 butyrate: PD, pharmacology
 delavirdine: DT, drug therapy
 delavirdine: PD, pharmacology
 didanosine: DT, drug therapy
 didanosine: PD, pharmacology
 efavirenz: DT, drug therapy
 efavirenz: PD, pharmacology
 emivirine: DT, drug therapy
 emivirine: PD, pharmacology
 emtricitabine: DT, drug therapy
 emtricitabine: PD, pharmacology
 foscarnet: DT, drug therapy
 foscarnet: PD, pharmacology
 hydroxyurea: DT, drug therapy
 hydroxyurea: PD, pharmacology
 hypericin: DT, drug therapy
 hypericin: PD, pharmacology
 indinavir: DT, drug therapy
 indinavir: PD, pharmacology
 kynostatin 272: DT, drug therapy
 kynostatin 272: PD, pharmacology
 nevirapine: DT, drug therapy
 nevirapine: PD, pharmacology
 talviraline: DT, drug therapy
 talviraline: PD, pharmacology
 trecovirsen: DT, drug therapy
 trecovirsen: PD, pharmacology
 zintevir: DT, drug therapy
 zintevir: PD, pharmacology
 foscarnet sodium
 lamivudine
 isis 5320
 3 [(4,7 dichloro 2 benzoxazolylmethyl)amino] 5
 ethyl 6 methyl 2(1h) pyridone
 (1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11
 tetraazacyclotetradecane)) 155148-31-5; (1,3 bis(3
 aminobenzyl) 4,7 dibenzyl 2,3,4,5,6,7 hexahydro 5,6
 dihydroxy 1h 1,3 diazepam 2 one) 177932-89-7; (3'
 azido 2',3' dideoxy 5 methylcytidine) 87190-79-2;
 (3' fluorothymidine) 25526-93-6; (4,7
 dibenzyl 2,3,4,5,6,7 hexahydro 5,6 dihydroxy 1,3
 bis[4 (hydroxymethyl)benzyl] 2h 1,3 diazepam 2 one)
 151867-81-1; (a 77003) 134878-17-4; (abacavir)
 136470-78-5, 188062-50-2; (adefovir dipivoxil)
 142340-99-6; (adefovir) 106941-25-7; (amprenavir)
 161814-49-9; (atevirdine mesylate) 138540-32-6;
 (behenyl alcohol) 30303-65-2; (castanospermine 6
 butyrate) 121104-96-9, 141117-12-6; (delavirdine)
 136817-59-9; (didanosine) 69655-05-6; (efavirenz)
 154598-52-4; (emivirine) 149950-60-7;
 (emtricitabine) 137530-41-7, 143491-54-7,
 143491-57-0; (foscarnet) 4428-95-9; (hydroxyurea)
 127-07-1; (hypericin) 548-04-9; (indinavir)
 150378-17-9, 157810-81-6, 180683-37-8; (kynostatin
 272) 147318-81-8; (nevirapine) 129618-40-2
 ; (talviraline) 163451-80-7; (trecovirsen)
 170274-79-0; (zintevir) 171345-51-0; (foscarnet
 sodium) 63585-09-1; (lamivudine) 134678-17-4,

CAS REGISTRY NO.:

134680-32-3; (3 [(4,7 dichloro 2 benzoxazolylmethyl)amino] 5 ethyl 6 methyl 2(1h) pyridone) 135525-78-9

CHEMICAL NAME: (1) Ziagen; (2) Alovudine; (3) Preveon; (4) Amd 3100; (5) Agenerase; (6) Ar 177; (7) Cs 92; (8) Rescriptor; (9) Dmp 323; (10) Videx; (11) Dmp 450; (12) Coactinon; (13) Foscavir; (14) Sustiva; (15) Coviracil; (16) GEM 91; (18) Hydrea; (19) Hby 097; (20) Vimrxyn; (21) Crixivan; (22) Kni 272; (23) Epivir; (24) Isis 5320; (26) L 697661; (27) Viramune

COMPANY NAME: (2) Lederle; (3) Gilead; (4) Anormed; (5) Verla Pharma; (6) Aronex; (8) Pharmacia Upjohn; (12) Mitsubishi; (13) Astra; (14) Du Pont Merck; (15) Triangle; (16) Hybridon; (17) Bristol Myers Squibb; (18) Bristol; (20) Nexell p; (22) Kyoto; (23) Glaxo Wellcome; (24) Isis; (25) Merck and Co; (26) Merck; (27) Roxane lab

TI Current **antiviral** agents FactFile: 1999-2000 update. 5th edition: Part II - **Human immunodeficiency viruses**.

SO International Antiviral News, (2000) Vol. 8, No. 1, pp. 4-21. . Refs: 121
ISSN: 0965-2310 CODEN: IANWEL

AB This FactFile is again an expanded and updated version of the fourth edition, which appeared in October 1998. Part I of the update, which was published in 7:7, covers agents mainly active against herpes, hepatitis and respiratory viruses. The entries are limited to key **antiviral** agents that have undergone or are about to undergo at least Phase I clinical evaluation. Some originally promising compounds whose clinical development or use has been suspended are also included.

CT Medical Descriptors:
***Human immunodeficiency virus infection: DT, drug therapy**
Human immunodeficiency virus 1
Human immunodeficiency virus 2
human
review
Drug Descriptors:
*antivirus agent: DT, drug therapy
*antivirus agent: PD, pharmacology
1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11 tetraazacyclotetradecane): DT, drug therapy
1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11 tetraazacyclotetradecane): PD, pharmacology
1,3 bis(3 aminobenzyl) 4,7 dibenzyl 2,3,4,5,6,7 hexahydro 5,6 dihydroxy 1h 1,3 diazepam 2 one: DT, drug therapy
1,3 bis(3 aminobenzyl) 4,7 dibenzyl 2,3,4,5,6,7 hexahydro 5,6 dihydroxy 1h 1,3 diazepam 2 one: PD, pharmacology
3' azido 2',3' dideoxy 5 methylcytidine: DT, drug therapy
3' azido 2',3' dideoxy 5 methylcytidine: PD, pharmacology
3' fluorothymidine: DT, drug therapy
3' fluorothymidine: PD, pharmacology
4,7 dibenzyl 2,3,4,5,6,7 hexahydro 5,6 dihydroxy 1,3 bis[4 (hydroxymethyl)benzyl] 2h 1,3 diazepam 2 one: DT, drug therapy
4,7 dibenzyl 2,3,4,5,6,7 hexahydro 5,6 dihydroxy 1,3 bis[4 (hydroxymethyl)benzyl] 2h 1,3 diazepam 2 one: PD, pharmacology
a 77003: DT, drug therapy
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3 [(4,7 dichloro 2 benzoxazolylmethyl)amino] 5 ethyl 6 methyl
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 (1,1' [1,4 phenylenebis(methylene)]bis(1,4,8,11
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 87190-79-2; (3' fluorothymidine) 25526-93-6; (4,7
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 (hydroxymethyl)benzyl] 2h 1,3 diazepin 2 one) 151867-81-1; (a
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 sodium) 63585-09-1; (lamivudine) 134678-17-4, 134680-32-3; (3
 [(4,7 dichloro 2 benzoxazolylmethyl)amino] 5 ethyl 6 methyl 2(1h)
 pyridone) 135525-78-9

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human
 review

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 3' azido 2',3' dideoxy 5 methylcytidine: PD, pharmacology
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 adefovir dipivoxil: PD, pharmacology
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RN

4,7 dibenzyl 2,3,4,5,6,7 hexahydro 5,6 dihydroxy 1h 1,3 diazepin 2 one) 177932-89-7; (3' azido 2',3' dideoxy 5 methylcytidine) 87190-79-2; (3' fluorothymidine) 25526-93-6; (4,7 dibenzyl 2,3,4,5,6,7 hexahydro 5,6 dihydroxy 1,3 bis[4 (hydroxymethyl)benzyl] 2h 1,3 diazepin 2 one) 151867-81-1; (a 77003) 134878-17-4; (abacavir) 136470-78-5, 188062-50-2; (adefovir dipivoxil) 142340-99-6; (adefovir) 106941-25-7; (amprenavir) 161814-49-9; (atevirdine mesylate) 138540-32-6; (behenyl alcohol) 30303-65-2; (castanospermine 6 butyrate) 121104-96-9, 141117-12-6; (delavirdine) 136817-59-9; (didanosine) 69655-05-6; (efavirenz) 154598-52-4; (emivirine) 149950-60-7; (emtricitabine) 137530-41-7, 143491-54-7, 143491-57-0; (foscarnet) 4428-95-9; (hydroxyurea) 127-07-1; (hypericin) 548-04-9; (indinavir) 150378-17-9, 157810-81-6, 180683-37-8; (kynostatin 272) 147318-81-8; (nevirapine) 129618-40-2; (talviraline) 163451-80-7; (trecovirsen) 170274-79-0; (zintevir) 171345-51-0; (foscarnet sodium) 63585-09-1; (lamivudine) 134678-17-4, 134680-32-3; (3 [(4,7 dichloro 2 benzoxazolylmethyl)amino] 5 ethyl 6 methyl 2(1h) pyridone) 135525-78-9

CN (1) Ziagen; (2) Alovudine; (3) Preveon; (4) Amd 3100; (5) Agenerase; (6) Ar 177; (7) Cs 92; (8) Rescriptor; (9) Dmp 323; (10) Videx; (11) Dmp 450; (12) Coactinon; (13) Foscavir; (14) Sustiva; (15) Coviracil; (16) GEM 91; (18) Hydrea; (19) Hby 097; (20) Vimrxyn; (21) Crixivan; (22) Kni 272; (23) Epivir; (24) Isis 5320; (26) L 697661; (27) Viramune

CO (2) Lederle; (3) Gilead; (4) Anormed; (5) Verla Pharma; (6) Aronex; (8) Pharmacia Upjohn; (12) Mitsubishi; (13) Astra; (14) Du Pont Merck; (15) Triangle; (16) Hybridon; (17) Bristol Myers Squibb; (18) Bristol; (20) Nexell p; (22) Kyoto; (23) Glaxo Wellcome; (24) Isis; (25) Merck and Co; (26) Merck; (27) Roxane lab

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ACCESSION NUMBER: 97305371 EMBASE Full-text

DOCUMENT NUMBER: 1997305371

TITLE: Current **antiviral** agents FactFile. 3rd Edition: Part II - **Human immunodeficiency viruses**.

AUTHOR: Kinchington D.; Balzarini J.; Field H.J.

SOURCE: International Antiviral News, (1997) Vol. 5, No. 9, pp. 161-174. .

Refs: 100

ISSN: 0965-2310 CODEN: IANWEL

COUNTRY: United Kingdom

DOCUMENT TYPE: Journal; (Short Survey)

FILE SEGMENT: 004 Microbiology
037 Drug Literature Index
038 Adverse Reactions Titles

LANGUAGE: English

ENTRY DATE: Entered STN: 23 Oct 1997

Last Updated on STN: 23 Oct 1997

CONTROLLED TERM: Medical Descriptors:

***human immunodeficiency virus**

blood toxicity: SI, side effect

clinical trial

drug structure

human

phase 1 clinical trial

phase 2 clinical trial

phase 3 clinical trial

short survey

Drug Descriptors:

***antivirus agent: AE, adverse drug reaction**

***antivirus agent: CT, clinical trial**

2',3' dideoxy 5 fluoro 3' thiacytidine

3 [(4,7 dichloro 2 benzoxazolylmethyl)amino] 5

ethyl 6 methyl 2(1h) pyridone
 3' azido 2',3' dideoxy 5 methylcytidine
 3' azido 2',3' dideoxyuridine
 3' fluorothymidine
 3,4 dihydro 4 isopropoxycarbonyl 6 methoxy 3
 (methylthiomethyl) 2(1h) quinoxalinethione
 4,7 dibenzyl 2,3,4,5,6,7 hexahydro 5,6 dihydroxy
 1,3 bis[4 (hydroxymethyl)benzyl] 2h 1,3 diazepin 2
 one
 6 benzyl 1 ethoxymethyl 5 isopropyluracil
 a 77003
 a 80987
 adefovir
 adefovir dipivoxil
 atevirdine mesylate
 behenyl alcohol
 castanospermine 6 butyrate
 delavirdine
 diamide
 didanosine
 foscarnet sodium
 hydroxyurea
 hypericin
 indinavir
 kynostatin 272
 lamivudine
 lobucavir
 loviride
 nelfinavir
 nevirapine
 ritonavir
 unindexed drug

CAS REGISTRY NO.:

(2',3' dideoxy 5 fluoro 3' thiacytidine)
 137530-41-7, 143491-54-7; (3 [(4,7 dichloro 2
 benzoxazolylmethyl)amino] 5 ethyl 6 methyl 2(1h)
 pyridone) 135525-78-9; (3' azido 2',3' dideoxy 5
 methylcytidine) 87190-79-2; (3' azido 2',3'
 dideoxyuridine) 84472-85-5; (3' fluorothymidine)
 25526-93-6; (3,4 dihydro 4
 isopropoxycarbonyl 6 methoxy 3 (methylthiomethyl)
 2(1h) quinoxalinethione) 163451-80-7; (4,7 dibenzyl
 2,3,4,5,6,7 hexahydro 5,6 dihydroxy 1,3 bis[4
 (hydroxymethyl)benzyl] 2h 1,3 diazepin 2 one)
 151867-81-1; (6 benzyl 1 ethoxymethyl 5
 isopropyluracil) 149950-60-7; (a 77003)
 134878-17-4; (adefovir) 106941-25-7; (adefovir
 dipivoxil) 142340-99-6; (atevirdine mesylate)
 138540-32-6; (behenyl alcohol) 30303-65-2;
 (castanospermine 6 butyrate) 121104-96-9;
 (delavirdine) 136817-59-9; (diamide) 10465-78-8;
 (didanosine) 69655-05-6; (foscarnet sodium)
 63585-09-1; (hydroxyurea) 127-07-1; (hypericin)
 548-04-9; (indinavir) 150378-17-9, 157810-81-6;
 (kynostatin 272) 147318-81-8; (lamivudine)
 134678-17-4, 134680-32-3; (lobucavir) 126062-18-8,
 127759-89-1; (loviride) 147362-57-0; (nelfinavir)
 159989-64-7, 159989-65-8; (nevirapine)
 129618-40-2; (ritonavir) 155213-67-5

CHEMICAL NAME:

(1) A 77003; (2) A 80987; (3) Norvir; (4) Epivir;
 (5) Cs 92; (6) 524w91; (7) Videx; (8) Dmp 323; (9)
 FoscaVir; (10) Crixivan; (11) L 697661; (12) Hby
 097; (13) Hydrea; (14) Kni 272; (15) Mdl 28574;
 (16) Mkc 442; (17) Lidakol; (18) Viracept; (19)
 Viramune

COMPANY NAME:

(3) Abbott; (4) Glaxo; (6) Triangle; (7) Bristol
 Myers squibb; (8) Du pont merck; (9) Astra; (11)
 Merck; (12) Hoechst; (13) Squibb; (14) Kyoto; (15)

Marion merrell dow; (16) Mitsubishi; (17) Lidak;
 (18) Agouron; (19) Roxane; Gilead; Hubriphar; Isis;
 Johnson matthey; Aronex; Ciba geigy; Scotia;
 Hybridon; Janssen; Pharmacia upjohn; National
 institute of health; National cancer institute;
 Japan energy; Procept; Takeda

- TI Current **antiviral** agents FactFile. 3rd Edition: Part II
 - **Human immunodeficiency viruses**.
- SO International Antiviral News, (1997) Vol. 5, No. 9, pp. 161-174. .
 Refs: 100
 ISSN: 0965-2310 CODEN: IANWEL
- CT Medical Descriptors:
 ***human immunodeficiency virus**
 blood toxicity: SI, side effect
 clinical trial
 drug structure
 human
 phase 1 clinical trial
 phase 2 clinical trial
 phase 3 clinical trial
 short survey
 Drug Descriptors:
 *antivirus agent: AE, adverse drug reaction
 *antivirus agent: CT, clinical trial
 2',3' dideoxy 5 fluoro 3' thiacytidine
 3 [(4,7 dichloro 2 benzoxazolylmethyl)amino] 5 ethyl 6 methyl
 2(1h) pyridone
 3' azido 2',3' dideoxy 5 methylcytidine
 3' azido 2',3' dideoxyuridine
 3' fluorothymidine
 3,4 dihydro 4 isopropoxycarbonyl 6 methoxy 3 (methylthiomethyl)
 2(1h) quinoxalinethione
 4,7 dibenzyl 2,3,4,5,6,7 hexahydro 5,6 dihydroxy 1,3 bis[4
 (hydroxymethyl)benzyl] 2h 1,3 diazepam 2 one
 6 benzyl 1 ethoxymethyl 5 isopropyluracil
 a 77003
 a 80987
 adefovir
 adefovir dipivoxil
 atevirdine mesylate
 behenyl alcohol
 castanospermine 6 butyrate
 delavirdine
 diamide
 didanosine
 foscarnet sodium
 hydroxyurea
 hypericin
 indinavir
 kynostatin 272
 lamivudine
 lobucavir
 loviride
 nelfinavir
 nevirapine
 ritonavir
 unindexed drug
- RN (2',3' dideoxy 5 fluoro 3' thiacytidine) 137530-41-7, 143491-54-7;
 (3 [(4,7 dichloro 2 benzoxazolylmethyl)amino] 5 ethyl 6 methyl
 2(1h) pyridone) 135525-78-9; (3' azido 2',3' dideoxy 5
 methylcytidine) 87190-79-2; (3' azido 2',3' dideoxyuridine)
 84472-85-5; (3' fluorothymidine) 25526-93-6; (3,4
 dihydro 4 isopropoxycarbonyl 6 methoxy 3 (methylthiomethyl) 2(1h)
 quinoxalinethione) 163451-80-7; (4,7 dibenzyl 2,3,4,5,6,7
 hexahydro 5,6 dihydroxy 1,3 bis[4 (hydroxymethyl)benzyl] 2h 1,3
 diazepam 2 one) 151867-81-1; (6 benzyl 1 ethoxymethyl 5
 isopropyluracil) 149950-60-7; (a 77003) 134878-17-4; (adefovir)

106941-25-7; (adefovir dipivoxil) 142340-99-6; (atevirdine mesylate) 138540-32-6; (behenyl alcohol) 30303-65-2; (castanospermine 6 butyrate) 121104-96-9; (delavirdine) 136817-59-9; (diamide) 10465-78-8; (didanosine) 69655-05-6; (foscarnet sodium) 63585-09-1; (hydroxyurea) 127-07-1; (hypericin) 548-04-9; (indinavir) 150378-17-9, 157810-81-6; (kynostatin 272) 147318-81-8; (lamivudine) 134678-17-4, 134680-32-3; (lobucavir) 126062-18-8, 127759-89-1; (loviride) 147362-57-0; (nelfinavir) 159989-64-7, 159989-65-8; (nevirapine) 129618-40-2; (ritonavir) 155213-67-5

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human

phase 1 clinical trial

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short survey

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(hydroxymethyl)benzyl] 2h 1,3 diazepam 2 one

6 benzyl 1 ethoxymethyl 5 isopropyluracil

a 77003

a 80987

adefovir

adefovir dipivoxil

atevirdine mesylate

behenyl alcohol

castanospermine 6 butyrate

delavirdine

diamide

didanosine

foscarnet sodium

hydroxyurea

hypericin

indinavir

kynostatin 272

lamivudine

lobucavir

loviride

nelfinavir

nevirapine

ritonavir

unindexed drug

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 (foscarnet sodium) 63585-09-1; (hydroxyurea) 127-07-1; (hypericin)
 548-04-9; (indinavir) 150378-17-9, 157810-81-6; (kynostatin 272)
 147318-81-8; (lamivudine) 134678-17-4, 134680-32-3; (lobucavir)
 126062-18-8, 127759-89-1; (loviride) 147362-57-0; (nelfinavir)
 159989-64-7, 159989-65-8; (nevirapine) 129618-40-2;
 (ritonavir) 155213-67-5
 CN (1) A 77003; (2) A 80987; (3) Norvir; (4) Epivir; (5) Cs 92; (6)
 524w91; (7) Videx; (8) Dmp 323; (9) Foscavir; (10) Crixivan; (11)
 L 697661; (12) Hby 097; (13) Hydrea; (14) Kni 272; (15) Mdl 28574;
 (16) Mkc 442; (17) Lidakol; (18) Viracept; (19) Viramune
 CO (3) Abbott; (4) Glaxo; (6) Triangle; (7) Bristol myers squibb; (8)
 Du pont merck; (9) Astra; (11) Merck; (12) Hoechst; (13) Squibb;
 (14) Kyoto; (15) Marion merrell dow; (16) Mitsubishi; (17) Lidak;
 (18) Agouron; (19) Roxane; Gilead; Hubriphar; Isis; Johnson
 matthey; Aronex; Ciba geigy; Scotia; Hybridon; Janssen; Pharmacia
 upjohn; National institute of health; National cancer institute;
 Japan energy; Procept; Takeda

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ACCESSION NUMBER: 96248921 EMBASE Full-text

DOCUMENT NUMBER: 1996248921

TITLE: What can be expected from non-nucleoside reverse
 transcriptase inhibitors (NNRTIs) in the treatment
 of **human immunodeficiency**
virus type 1 (HIV-1) infections?

AUTHOR: De Clercq E.

CORPORATE SOURCE: Rega Institute for Medical Research, Katholieke
 Universite Leuven, Minderbroedersstraat 10, B-3000
 Leuven, Belgium

SOURCE: Reviews in Medical Virology, (1996) Vol. 6, No. 2,
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 ISSN: 1052-9276 CODEN: RMVIEW

COUNTRY: United Kingdom

DOCUMENT TYPE: Journal; General Review

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 030 Pharmacology
 037 Drug Literature Index

LANGUAGE: English

ENTRY DATE: Entered STN: 15 Oct 1996
 Last Updated on STN: 15 Oct 1996

CONTROLLED TERM: Medical Descriptors:
 *human immunodeficiency virus infection: ET,
 etiology
 *human immunodeficiency virus infection: DT,
 drug therapy
 *virus infection: PC, prevention
 *virus infection: ET, etiology
 *virus infection: DT, drug therapy
 drug conformation
 drug potentiation
 drug resistance
 drug structure
 human
 human immunodeficiency virus 1
 nonhuman
 review
 simian virus
 structure activity relation
 virus inhibition
 virus replication
 Drug Descriptors:
 *2',3' dideoxynucleoside derivative: DT, drug
 therapy

*acyclic nucleoside: DT, drug therapy
 *nucleoside derivative: DT, drug therapy
 *proteinase inhibitor: DT, drug therapy
 *rna directed dna polymerase inhibitor: AN, drug analysis
 *rna directed dna polymerase inhibitor: DT, drug therapy
 abacavir: DT, drug therapy
 abacavir: DV, drug development
 2',3' dideoxy 5 fluoro 3' thiacytidine: DT, drug therapy
 2',3' dideoxy 5 fluoro 3' thiacytidine: DV, drug development
 zalcitabine: DT, drug therapy
 zalcitabine: IT, drug interaction
 zalcitabine: CB, drug combination
 didanosine: DT, drug therapy
 didanosine: CB, drug combination
 didanosine: IT, drug interaction
 3 [(4,7 dichloro 2 benzoxazolylmethyl)amino] 5 ethyl 6 methyl 2(1h) pyridone: AN, drug analysis
 3 [2 (2 benzoxazolyl)ethyl] 5 ethyl 6 methyl 2(1h) pyridone: CB, drug combination
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 raluridine: DV, drug development
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 6 benzyl 1 ethoxymethyl 5 isopropyluracil: AN, drug analysis
 8 chloro 4,5,6,7 tetrahydro 5 methyl 6 (3 methyl 2 butenyl)imidazo[4,5,1 jk][1,4]benzodiazepine 2(1h) thione: IT, drug interaction
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 9 (2 phosphonylmethoxypropyl)adenine: DT, drug therapy
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 adefovir: DT, drug therapy
 adefovir: DV, drug development
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 dipivoxil: DT, drug therapy
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 loviride: DV, drug development
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 oxathiin derivative: AN, drug analysis
 oxathiin derivative: DV, drug development
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 quinoxaline derivative: AN, drug analysis
 stavudine: DT, drug therapy
 trovirdine: DV, drug development
 unindexed drug
 zidovudine: DT, drug therapy
 zidovudine: IT, drug interaction
 zidovudine: CB, drug combination
 unclassified drug

CAS REGISTRY NO.: (proteinase inhibitor) 37205-61-1; (abacavir)
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 30516-87-1
 CHEMICAL NAME: 935u83; 524w91; 1592u89; Bi rg 587; L 696229; L
 697661; R 86183; Mkc 442; U 90152; R 89439; Ly
 300046

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CN 935u83; 524w91; 1592u89; Bi rg 587; L 696229; L 697661; R 86183; Mkc 442; U 90152; R 89439; Ly 300046

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ACCESSION NUMBER: 96238338 EMBASE Full-text
 DOCUMENT NUMBER: 1996238338
 TITLE: AIDS research highlights.
 AUTHOR: Graul A.I.
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 ISSN: 0214-0934 CODEN: DNPEED
 COUNTRY: Spain
 DOCUMENT TYPE: Journal; Conference Article
 FILE SEGMENT: 004 Microbiology
 006 Internal Medicine

030 Pharmacology
 037 Drug Literature Index
 LANGUAGE: English
 ENTRY DATE: Entered STN: 1 Oct 1996
 Last Updated on STN: 1 Oct 1996
 CONTROLLED TERM: Medical Descriptors:
 *acquired immune deficiency syndrome: DT, drug therapy
 *human immunodeficiency virus infection: DT, drug therapy
 antiviral activity
 clinical research
 clinical trial
 conference paper
 human
 nonhuman
 phase 1 clinical trial
 phase 2 clinical trial
 virus replication
 Drug Descriptors:
 *anti human immunodeficiency virus agent: DT, drug therapy
 *human immunodeficiency virus vaccine: DT, drug therapy
 *human immunodeficiency virus vaccine: CT, clinical trial
 *integrase: EC, endogenous compound
 *monoclonal antibody
 *proteinase inhibitor: DT, drug therapy
 *proteinase inhibitor: CT, clinical trial
 *rna directed dna polymerase inhibitor: CT, clinical trial
 *rna directed dna polymerase inhibitor: DT, drug therapy
 didanosine: CB, drug combination
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 didanosine: DT, drug therapy
 3 aminobenzamide: PD, pharmacology
 3 aminobenzamide: CB, drug combination
 raluridine: DT, drug therapy
 raluridine: CB, drug combination
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 6 benzyl 1 ethoxymethyl 5 isopropyluracil: CB, drug combination
 6 benzyl 1 ethoxymethyl 5 isopropyluracil: CT, clinical trial
 6 benzyl 1 ethoxymethyl 5 isopropyluracil: DT, drug therapy
 acetylcysteine: CB, drug combination
 acetylcysteine: PD, pharmacology
 boromycin: PD, pharmacology
 castanospermine 6 butyrate: CT, clinical trial
 castanospermine 6 butyrate: DT, drug therapy
 delavirdine: CT, clinical trial
 delavirdine: DT, drug therapy
 glycoprotein gp 120: EC, endogenous compound
 gramicidin: PD, pharmacology
 indinavir: CT, clinical trial
 indinavir: DT, drug therapy
 lamivudine: CB, drug combination
 lamivudine: DT, drug therapy
 lamivudine: CT, clinical trial
 mdl 28574a
 nelfinavir: DT, drug therapy
 nelfinavir: CT, clinical trial
 nelfinavir: CB, drug combination
 nevirapine: CT, clinical trial

nevirapine: DT, drug therapy
 nevirapine: CB, drug combination
 nonoxinol 9: DT, drug therapy
 nonoxinol 9: CT, clinical trial
 pentafuside: PD, pharmacology
 pro 2000: DT, drug therapy
 pro 2000: CT, clinical trial
 ritonavir: DT, drug therapy
 ritonavir: CT, clinical trial
 saquinavir: DT, drug therapy
 saquinavir: CT, clinical trial
 spv 30: DT, drug therapy
 spv 30: CT, clinical trial
 stavudine: DT, drug therapy
 stavudine: CT, clinical trial
 stavudine: CB, drug combination
 transactivator protein: EC, endogenous compound
 unindexed drug
 zidovudine: DT, drug therapy
 zidovudine: CB, drug combination
 zidovudine: CT, clinical trial
 t 20
 unclassified drug
 CAS REGISTRY NO.: (proteinase inhibitor) 37205-61-1; (didanosine) 69655-05-6; (3 aminobenzamide) 3544-24-9; (raluridine) 119644-22-3; (6 benzyl 1 ethoxymethyl 5 isopropyluracil) 149950-60-7; (acetylcysteine) 616-91-1; (boromycin) 34524-20-4; (castanospermine 6 butyrate) 121104-96-9; (delavirdine) 136817-59-9; (gramicidin) 1405-97-6; (indinavir) 150378-17-9, 157810-81-6; (lamivudine) 134678-17-4, 134680-32-3; (nelfinavir) 159989-64-7, 159989-65-8; (nevirapine) 129618-40-2; (nonoxinol 9) 96827-50-8; (ritonavir) 155213-67-5; (saquinavir) 127779-20-8; (stavudine) 3056-17-5; (zidovudine) 30516-87-1
 CHEMICAL NAME: (1) Invirase; (2) Norvir; (3) Crixivan; (4) Retrovir; (5) Mkc 442; (6) 935u83; (7) Mdl 28574a; (8) Spv 30; (9) Pro 2000; T 20
 COMPANY NAME: (1) Hoffmann la roche; (2) Abbott; (3) Merck and co; (5) Mitsubishi; (6) Glaxo; (7) Hoechst; (8) Arkopharma (France); (9) Procept
 TI AIDS research highlights.
 SO Drug News and Perspectives, (1996) Vol. 9, No. 6, pp. 380-384. . ISSN: 0214-0934 CODEN: DNPEED
 CT Medical Descriptors:
 *acquired immune deficiency syndrome: DT, drug therapy
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 antiviral activity
 clinical research
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 phase 1 clinical trial
 phase 2 clinical trial
 virus replication
 Drug Descriptors:
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 *human immunodeficiency virus vaccine: CT, clinical trial
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 *monoclonal antibody
 *proteinase inhibitor: DT, drug therapy
 *proteinase inhibitor: CT, clinical trial
 *rna directed dna polymerase inhibitor: CT, clinical trial
 *rna directed dna polymerase inhibitor: DT, drug therapy

didanosine: CB, drug combination
 didanosine: CT, clinical trial
 didanosine: DT, drug therapy
 3 aminobenzamide: PD, pharmacology
 3 aminobenzamide: CB, drug combination
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 6 benzyl 1 ethoxymethyl 5 isopropyluracil: CB, drug combination
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 6 benzyl 1 ethoxymethyl 5 isopropyluracil: DT, drug therapy
 acetylcysteine: CB, drug combination
 acetylcysteine: PD, pharmacology
 boromycin: PD, pharmacology
 castanospermine 6 butyrate: CT, clinical trial
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lamivudine: DT, drug therapy

lamivudine: CT, clinical trial

mdl 28574a

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nelfinavir: CT, clinical trial

nelfinavir: CB, drug combination

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pro 2000: CT, clinical trial

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ritonavir: CT, clinical trial

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saquinavir: CT, clinical trial

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CO (1) Hoffmann la roche; (2) Abbott; (3) Merck and co; (5)
Mitsubishi; (6) Glaxo; (7) Hoechst; (8) Arkopharma (France); (9)
Procept

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ACCESSION NUMBER: 96212034 EMBASE Full-text

DOCUMENT NUMBER: 1996212034

TITLE: [Adverse effects of antiretrovirals].
EFECTOS ADVERSOS DE LOS ANTIRRETROVIRALES.

AUTHOR: Salinas Rosillo C.; Arias Munoz M.J.; Fernandez
Figares D.

CORPORATE SOURCE: Servicio Farmacia, Hospital Clinico San
Cecilio, Granada, Spain

SOURCE: Farmacia Clinica, (1996) Vol. 13, No. 5, pp.
328-336.

ISSN: 0212-6583 CODEN: FACLE2

COUNTRY: Spain

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 004 Microbiology
037 Drug Literature Index
038 Adverse Reactions Titles

LANGUAGE: Spanish

SUMMARY LANGUAGE: English; Spanish

ABSTRACT: The therapeutic arsenal of drugs currently used for the treatment of **human immunodeficiency virus**

is continually growing in order to try and offset both the high number
of resistances that have appeared and their adverse effects. Depending
on their mechanism of action they may be divided into inverse
transcriptase inhibitors, protease inhibitors recently approved by the
FDA such as saquinavir and ritonavir, and transcription and translation
inhibitors at all levels of the virus biological cycle. In this study
we give prime consideration to their adverse effects, both in the case
of authorized drugs and ones currently being researched.

CONTROLLED TERM: Medical Descriptors:

*adverse drug reaction: SI, side effect

*virus infection: DR, drug resistance

drug mechanism

drug resistance

human

immune deficiency

review

Drug Descriptors:

*antivirus agent: AE, adverse drug reaction

*ritonavir: AE, adverse drug reaction

*saquinavir: AE, adverse drug reaction

zalcitabine: AE, adverse drug reaction

didanosine: AE, adverse drug reaction

3' fluorothymidine: AE, adverse drug reaction

acetylcysteine: AE, adverse drug reaction

alpha interferon: AE, adverse drug reaction
 delavirdine: AE, adverse drug reaction
 foscarnet: AE, adverse drug reaction
 interleukin 2: AE, adverse drug reaction
 lamivudine: AE, adverse drug reaction
 n butyldeoxynojirimycin: AE, adverse drug reaction
 nevirapine: AE, adverse drug reaction
 stavudine: AE, adverse drug reaction
 trichosanthin: AE, adverse drug reaction
 zidovudine: AE, adverse drug reaction

CAS REGISTRY NO.: (ritonavir) 155213-67-5; (saquinavir) 127779-20-8;
 (zalcitabine) 7481-89-2; (didanosine) 69655-05-6;
 (3' fluorothymidine) 25526-93-6;
 (acetylcysteine) 616-91-1; (delavirdine)
 136817-59-9; (foscarnet) 4428-95-9; (interleukin 2)
 85898-30-2; (lamivudine) 134678-17-4, 134680-32-3;
 (n butyldeoxynojirimycin) 72599-27-0; (nevirapine)
 129618-40-2; (stavudine) 3056-17-5;
 (trichosanthin) 60318-52-7; (zidovudine) 30516-87-1

CHEMICAL NAME: Glq 223

SO Farmacia Clinica, (1996) Vol. 13, No. 5, pp. 328-336. .
 ISSN: 0212-6583 CODEN: FACLE2

AB The therapeutic arsenal of drugs currently used for the treatment of **human immunodeficiency virus** is continually growing in order to try and offset both the high number of resistances that have appeared and their adverse effects. Depending on their mechanism of action they may be divided into inverse transcriptase inhibitors, protease inhibitors recently approved by the FDA such as saquinavir and ritonavir, and transcription and translation inhibitors at all levels of the virus biological cycle. In this study we give prime consideration to their adverse effects, both in the case of authorized drugs and ones currently being researched.

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 7481-89-2; (didanosine) 69655-05-6; (3' fluorothymidine)
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 136817-59-9; (foscarnet) 4428-95-9; (interleukin 2) 85898-30-2;
 (lamivudine) 134678-17-4, 134680-32-3; (n butyldeoxynojirimycin)
 72599-27-0; (nevirapine) 129618-40-2; (stavudine)
 3056-17-5; (trichosanthin) 60318-52-7; (zidovudine) 30516-87-1

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 *virus infection: DR, drug resistance
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 drug resistance
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 review
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 *saquinavir: AE, adverse drug reaction
 zalcitabine: AE, adverse drug reaction
 didanosine: AE, adverse drug reaction
 3' fluorothymidine: AE, adverse drug reaction
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3056-17-5; (trichosanthin) 60318-52-7; (zidovudine) 30516-87-1

CN Glq 223

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ACCESSION NUMBER: 96130491 EMBASE Full-text

DOCUMENT NUMBER: 1996130491

TITLE: New initiatives in combination antiretroviral
chemotherapy.

AUTHOR: Rooney J.F.; Warwick J.C.; Elkins M.M.; St. Clair
M.H.; Barry D.W.

CORPORATE SOURCE: Department of Infectious Diseases, Burroughs
Wellcome Co., Research Triangle Park, NC, United
States

SOURCE: Advances in Experimental Medicine and Biology,
(1996) Vol. 394, pp. 373-382. .

ISSN: 0065-2598 CODEN: AEMBAP

COUNTRY: United States

DOCUMENT TYPE: Journal; Conference Article

FILE SEGMENT: 004 Microbiology
006 Internal Medicine
030 Pharmacology
037 Drug Literature Index

LANGUAGE: English

ENTRY DATE: Entered STN: 29 May 1996

Last Updated on STN: 29 May 1996

CONTROLLED TERM: Medical Descriptors:

*human immunodeficiency virus infection: DT,
drug therapy

antiviral activity

clinical protocol

clinical trial

conference paper

controlled study

drug choice

drug efficacy

human

human immunodeficiency virus

phase 1 clinical trial

phase 2 clinical trial

phase 3 clinical trial

priority journal

treatment outcome

virus inhibition

Drug Descriptors:

*anti human immunodeficiency virus agent: PD,
pharmacology

*anti human immunodeficiency virus agent: CB,
drug combination

*anti human immunodeficiency virus agent: CM,
drug comparison

*anti human immunodeficiency virus agent: CT,
clinical trial

*anti human immunodeficiency virus agent: DV,
drug development

*anti human immunodeficiency virus agent: DT,
drug therapy

2',3' dideoxy 5 fluoro 3' thiacytidine: CT,
clinical trial

2',3' dideoxy 5 fluoro 3' thiacytidine: DT, drug
therapy

2',3' dideoxy 5 fluoro 3' thiacytidine: PD,
pharmacology

zalcitabine: DT, drug therapy

zalcitabine: CT, clinical trial

zalcitabine: CB, drug combination
 zalcitabine: CM, drug comparison
 didanosine: CT, clinical trial
 didanosine: DT, drug therapy
 didanosine: CM, drug comparison
 didanosine: CB, drug combination
 raluridine: PD, pharmacology
 raluridine: CT, clinical trial
 raluridine: DT, drug therapy
 4 amino n [2 hydroxy 4 phenyl 3 (tetrahydrofuran 3
 yloxy carbonylamino)butyl] n
 isobutylbenzenesulfonamide: CT, clinical trial
 4 amino n [2 hydroxy 4 phenyl 3 (tetrahydrofuran 3
 yloxy carbonylamino)butyl] n
 isobutylbenzenesulfonamide: PD, pharmacology
 4 amino n [2 hydroxy 4 phenyl 3 (tetrahydrofuran 3
 yloxy carbonylamino)butyl] n
 isobutylbenzenesulfonamide: DT, drug therapy
 abacavir: PD, pharmacology
 abacavir: DT, drug therapy
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 lamivudine: CM, drug comparison
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 lamivudine: CT, clinical trial
 lamivudine: DT, drug therapy
 nevirapine: CB, drug combination
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 nevirapine: CM, drug comparison
 nevirapine: CT, clinical trial
 nucleoside analog: PD, pharmacology
 nucleoside analog: CT, clinical trial
 nucleoside analog: DT, drug therapy
 proteinase inhibitor: CT, clinical trial
 proteinase inhibitor: DT, drug therapy
 proteinase inhibitor: PD, pharmacology
 saquinavir: CB, drug combination
 saquinavir: DT, drug therapy
 saquinavir: CM, drug comparison
 saquinavir: CT, clinical trial
 tucareol: CT, clinical trial
 tucareol: PD, pharmacology
 tucareol: DT, drug therapy
 zidovudine: DT, drug therapy
 zidovudine: CM, drug comparison
 zidovudine: CB, drug combination
 zidovudine: CT, clinical trial

CAS REGISTRY NO.: (2',3' dideoxy 5 fluoro 3' thiacytidine)
 137530-41-7, 143491-54-7; (zalcitabine) 7481-89-2;
 (didanosine) 69655-05-6; (raluridine)
 119644-22-3; (4 amino n [2 hydroxy 4 phenyl
 3 (tetrahydrofuran 3 yloxy carbonylamino)butyl] n
 isobutylbenzenesulfonamide) 161814-49-9; (abacavir)
 136470-78-5, 188062-50-2; (lamivudine) 134678-17-4,
 134680-32-3; (nevirapine) 129618-40-2;
 (proteinase inhibitor) 37205-61-1; (saquinavir)
 127779-20-8; (tucareol) 84290-27-7; (zidovudine)
 30516-87-1

CHEMICAL NAME: (1) Bw 935u83; (2) Bw 524w91; (3) Bw 589c80; (4) Vx
 478; (5) Bw 1592u89; (6) Bw 141w94

COMPANY NAME: (4) Vertex; (6) Burroughs wellcome

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zalcitabine: CM, drug comparison

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4 amino n [2 hydroxy 4 phenyl 3 (tetrahydrofuran 3

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 zidovudine: CB, drug combination
 zidovudine: CT, clinical trial

RN (2',3' dideoxy 5 fluoro 3' thiacytidine) 137530-41-7, 143491-54-7;
 (zalcitabine) 7481-89-2; (didanosine) 69655-05-6; (raluridine)
 119644-22-3; (4 amino n [2 hydroxy 4 phenyl 3
 (tetrahydrofuran 3 yloxycarbonylamino)butyl] n
 isobutylbenzenesulfonamide) 161814-49-9; (abacavir) 136470-78-5,
 188062-50-2; (lamivudine) 134678-17-4, 134680-32-3; (nevirapine)
 129618-40-2; (proteinase inhibitor) 37205-61-1;
 (saquinavir) 127779-20-8; (tucaresol) 84290-27-7; (zidovudine)
 30516-87-1

CN (1) Bw 935u83; (2) Bw 524w91; (3) Bw 589c80; (4) Vx 478; (5) Bw
 1592u89; (6) Bw 141w94

CO (4) Vertex; (6) Burroughs wellcome

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ACCESSION NUMBER: 96071473 EMBASE Full-text

DOCUMENT NUMBER: 1996071473

TITLE: Rapid screening of antiretroviral combinations.

AUTHOR: St. Clair M.; Pennington K.N.; Rooney J.; Barry
 D.W.

CORPORATE SOURCE: Department of Virology, Burroughs Wellcome Co.,
 3030 Cornwallis Road, Res. Triangle Park, NC
 27709-4498, United States

SOURCE: Journal of Acquired Immune Deficiency Syndromes and
 Human Retrovirology, (1995) Vol. 10, No. SUPPL. 1,
 pp. S24-S27.

ISSN: 1077-9450 CODEN: JDSRET

COUNTRY: United States

DOCUMENT TYPE: Journal; Article

FILE SEGMENT: 004 Microbiology
 030 Pharmacology
 037 Drug Literature Index

LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 19 Mar 1996

Last Updated on STN: 19 Mar 1996

ABSTRACT: Increasing evidence supports the view that therapy with combinations of
 antiretroviral drugs provides greater and more

sustained benefits in the treatment of HIV infection than either monotherapy or sequential therapy. As the number of licensed and developmental antiretroviral agents grows, in vitro analysis is increasingly being used to aid in the selection of effective combinations. An assay has been designed to ascertain the inhibitory action of drug combinations on HIV-infected MT4 cells, allowing rapid evaluation of those that may be of use in the clinic. Manipulation of this system also provides data on the efficacy of drugs under conditions of high viral load and against resistant strains, providing valuable information for the treatment of antiretroviral-experienced patients with advanced disease.

CONTROLLED TERM: Medical Descriptors:
 *human immunodeficiency virus infection: DT,
 drug therapy
 antiviral activity
 article
 concentration response
 controlled study
 drug choice
 drug efficacy
 drug screening
 human
 human cell
 in vitro study
 priority journal
 Drug Descriptors:
 *antivirus agent: CB, drug combination
 *antivirus agent: CM, drug comparison
 *antivirus agent: DO, drug dose
 *antivirus agent: DT, drug therapy
 *antivirus agent: PD, pharmacology
 zalcitabine: CM, drug comparison
 zalcitabine: DT, drug therapy
 zalcitabine: PD, pharmacology
 zalcitabine: CB, drug combination
 zalcitabine: DO, drug dose
 didanosine: DT, drug therapy
 didanosine: DO, drug dose
 didanosine: CM, drug comparison
 didanosine: CB, drug combination
 didanosine: PD, pharmacology
 raluridine: CM, drug comparison
 raluridine: DO, drug dose
 raluridine: DT, drug therapy
 raluridine: PD, pharmacology
 foscarnet: DT, drug therapy
 indinavir: CB, drug combination
 indinavir: DO, drug dose
 indinavir: PD, pharmacology
 indinavir: DT, drug therapy
 indinavir: CM, drug comparison
 interferon: DT, drug therapy
 lamivudine: PD, pharmacology
 lamivudine: DT, drug therapy
 lamivudine: DO, drug dose
 lamivudine: CM, drug comparison
 lamivudine: CB, drug combination
 nevirapine: DT, drug therapy
 nevirapine: CM, drug comparison
 nevirapine: CB, drug combination
 nevirapine: DO, drug dose
 nevirapine: PD, pharmacology
 ribavirin: DT, drug therapy
 saquinavir: CM, drug comparison
 saquinavir: DO, drug dose
 saquinavir: DT, drug therapy

saquinavir: PD, pharmacology
 saquinavir: CB, drug combination
 stavudine: DT, drug therapy
 zidovudine: PD, pharmacology
 zidovudine: CB, drug combination
 zidovudine: CM, drug comparison
 zidovudine: DO, drug dose
 zidovudine: DT, drug therapy

CAS REGISTRY NO.: (zalcitabine) 7481-89-2; (didanosine) 69655-05-6;
 (raluridine) **119644-22-3**; (foscarnet)
 4428-95-9; (indinavir) 150378-17-9, 157810-81-6;
 (lamivudine) 134678-17-4, 134680-32-3; (nevirapine)
129618-40-2; (ribavirin) 36791-04-5;
 (saquinavir) 127779-20-8; (stavudine) 3056-17-5;
 (zidovudine) 30516-87-1

CHEMICAL NAME: (1) Mk 639; (2) L 735524; 935u83
 COMPANY NAME: (2) Merck

SO Journal of Acquired Immune Deficiency Syndromes and Human
 Retrovirology, (1995) Vol. 10, No. SUPPL. 1, pp. S24-S27. .
 ISSN: 1077-9450 CODEN: JDSRET

AB Increasing evidence supports the view that therapy with combinations of antiretroviral
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 119644-22-3; (foscarnet) 4428-95-9; (indinavir)
 150378-17-9, 157810-81-6; (lamivudine) 134678-17-4, 134680-32-3;
 (nevirapine) 129618-40-2; (ribavirin) 36791-04-5;
 (saquinavir) 127779-20-8; (stavudine) 3056-17-5; (zidovudine)
 30516-87-1
 CN (1) Mk 639; (2) L 735524; 935u83
 CO (2) Merck

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ACCESSION NUMBER: 94295946 EMBASE Full-text

DOCUMENT NUMBER: 1994295946

TITLE: Agents for treating **human immunodeficiency virus** infection.

AUTHOR: Acosta E.P.; Fletcher C.V.

CORPORATE SOURCE: Department of Pharmacy Practice, University of Minnesota, 7-115 Health Sciences Unit, 308 Harvard Street, S.E., Minneapolis, MN 55455, United States

SOURCE: American Journal of Hospital Pharmacy, (1994) Vol. 51, No. 18, pp. 2251-2267+2286-2287.

ISSN: 0002-9289 CODEN: AJHPA

COUNTRY: United States

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 004 Microbiology
 030 Pharmacology
 037 Drug Literature Index
 038 Adverse Reactions Titles

LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 19 Oct 1994

Last Updated on STN: 19 Oct 1994

ABSTRACT: The replicative cycle of the **human ***immunodeficiency*** virus (HIV)** is reviewed,

and currently used and investigational agents directed against the virus are discussed. The first step in the replication of HIV is selective binding of the envelope glycoprotein to CD4 receptors located on T lymphocytes. The virion is then uncoated within the cytoplasm, yielding viral genomic RNA. Reverse transcriptase uses the viral RNA as a template to form single-stranded DNA, which is duplicated to form proviral DNA through the activity of ribonuclease H.

Host RNA polymerases transcribe the integrated proviral DNA into messenger RNA, and there is subsequent translation to viral proteins. After translation, further modification of precursor polyproteins is necessary to produce functional peptides. The assembled virus then buds from the cell surface and invades other cells. Targets of drug intervention in the replicative cycle include (1) binding and entry, (2) reverse transcriptase, (3) transcription and translation, and (4) viral maturation and budding. Inhibitors of binding and entry include recombinant soluble CD4, immunoadhesins, peptide T, and hypericin. Nucleoside reverse-transcriptase inhibitors include zidovudine, didanosine, zalcitabine, and stavudine. Foscarnet, tetrahydroimidazo[4,5-b]pyridine compounds, and nevirapine are some non-nucleoside reverse-transcriptase inhibitors. Inhibitors of transcription and translation include antagonists of the tat gene and GLQ223. Castanospermine, N-butyldeoxynojirimycin, and protease inhibitors interfere with viral maturation and budding. Drug combinations that have been or are being investigated include zidovudine plus interferon alfa, zidovudine plus zalcitabine, and zidovudine plus didanosine. Four agents currently have approved labeling for use against HIV infection: zidovudine, didanosine, zalcitabine, and stavudine. Monotherapy with zidovudine remains the treatment of first choice. Although progress has been made in developing drug therapies for HIV infection, more selective and more potent drugs are urgently needed. The best approach at present is to optimize the use of available agents, continue to investigate new therapies, and educate the public about prevention.

CONTROLLED TERM: Medical Descriptors:
 *human immunodeficiency virus infection: PC,
 prevention
 *human immunodeficiency virus infection: DT,
 drug therapy
 anemia: SI, side effect
 blood toxicity: SI, side effect
 diarrhea: SI, side effect
 drug design
 drug mechanism
 flatulence: SI, side effect
 human
 kidney disease: SI, side effect
 neutropenia: SI, side effect
 pancreatitis: SI, side effect
 peripheral neuropathy: SI, side effect
 priority journal
 review
 virus replication
 virus transcription
 Drug Descriptors:
 *3' fluorothymidine: PD, pharmacology
 *3' fluorothymidine: DO, drug dose
 *3' fluorothymidine: AE, adverse drug reaction
 *3' fluorothymidine: CT, clinical trial
 *antivirus agent: DV, drug development
 *antivirus agent: DO, drug dose
 *antivirus agent: PD, pharmacology
 *antivirus agent: DT, drug therapy
 *lamivudine: CT, clinical trial
 zalcitabine: PD, pharmacology
 zalcitabine: CT, clinical trial
 zalcitabine: CB, drug combination
 zalcitabine: DO, drug dose
 zalcitabine: DT, drug therapy
 zalcitabine: PK, pharmacokinetics
 didanosine: PD, pharmacology
 didanosine: CT, clinical trial
 didanosine: CB, drug combination
 didanosine: DO, drug dose

didanosine: AE, adverse drug reaction
 didanosine: DT, drug therapy
 didanosine: PK, pharmacokinetics
 9 chloro 4,5,6,7 tetrahydro 5 methyl 6 (3 methyl 2
 butenyl)imidazo[4,5,1 jk][1,4]benzodiazepine 2(1h)
 thione
 [7 chloro 5 (1h pyrrol 2 yl) 3h
 benzo[e][1,4]diazepin 2 yl]methylanine
 alpha interferon: CB, drug combination
 azidouridine: DT, drug therapy
 azidouridine: PD, pharmacology
 castanospermine: PK, pharmacokinetics
 castanospermine: AE, adverse drug reaction
 castanospermine: CT, clinical trial
 castanospermine: DO, drug dose
 castanospermine: PD, pharmacology
 cd4 antigen: PD, pharmacology
 cd4 antigen: CT, clinical trial
 delavirdine
 foscarnet: DO, drug dose
 foscarnet: PD, pharmacology
 foscarnet: PK, pharmacokinetics
 foscarnet: DT, drug therapy
 foscarnet: AE, adverse drug reaction
 glycoprotein gp 120: EC, endogenous compound
 hypericin: CT, clinical trial
 hypericin: PD, pharmacology
 immunoadhesin: DT, drug therapy
 immunoadhesin: CT, clinical trial
 immunoadhesin: PD, pharmacology
 indinavir
 n butyldeoxynojirimycin: PK, pharmacokinetics
 n butyldeoxynojirimycin: CT, clinical trial
 n butyldeoxynojirimycin: AE, adverse drug reaction
 n butyldeoxynojirimycin: DO, drug dose
 n butyldeoxynojirimycin: PD, pharmacology
 saquinavir: CT, clinical trial
 nevirapine: CT, clinical trial
 nevirapine: PD, pharmacology
 nevirapine: DT, drug therapy
 nevirapine: DO, drug dose
 nevirapine: CB, drug combination
 peptide t: CT, clinical trial
 peptide t: PD, pharmacology
 r 18893
 rna directed dna polymerase: EC, endogenous
 compound
 sc 49483
 telinavir
 stavudine: PD, pharmacology
 stavudine: PK, pharmacokinetics
 stavudine: DO, drug dose
 stavudine: CT, clinical trial
 stavudine: DT, drug therapy
 tibo derivative: PD, pharmacology
 trichosanthin: CT, clinical trial
 trichosanthin: DO, drug dose
 trichosanthin: PD, pharmacology
 trichosanthin: DT, drug therapy
 trichosanthin: PK, pharmacokinetics
 zidovudine: PD, pharmacology
 zidovudine: PK, pharmacokinetics
 zidovudine: DT, drug therapy
 zidovudine: DO, drug dose
 zidovudine: CB, drug combination
 zidovudine: CT, clinical trial
 zidovudine: AE, adverse drug reaction

1 735525
 unclassified drug
 CAS REGISTRY NO.: (3' fluorothymidine) 25526-93-6;
 (lamivudine) 134678-17-4, 134680-32-3;
 (zalcitabine) 7481-89-2; (didanosine) 69655-05-6;
 (9 chloro 4,5,6,7 tetrahydro 5 methyl 6 (3 methyl 2
 butenyl)imidazo[4,5,1 jk][1,4]benzodiazepine 2(1h)
 thione) 126347-69-1; ([7 chloro 5 (1h.pyrrol 2 yl)
 3h benzo[e][1,4]diazepin 2 yl)methylamine)
 139339-45-0; (castanospermine) 79831-76-8;
 (delavirdine) 136817-59-9; (foscarnet) 4428-95-9;
 (hypericin) 548-04-9; (indinavir) 150378-17-9,
 157810-81-6; (n butyldeoxynojirimycin) 72599-27-0;
 (saquinavir) 127779-20-8; (nevirapine)
 129618-40-2; (rna directed dna polymerase)
 37213-50-6, 9068-38-6; (telinavir) 143224-34-4;
 (stavudine) 3056-17-5; (trichosanthin) 60318-52-7;
 (zidovudine) 30516-87-1
 CHEMICAL NAME: (1) Glq 223; (2) Sc 52151; (3) L 735525; Ro 24
 7429; Sc 49483; L 735524; Ro 31 8959; R 82913; R
 18893; Sc 48334
 COMPANY NAME: (1) Genelabs; (2) Searle; (3) Merck; Upjohn;
 Bristol myers squibb; Burroughs wellcome; Astra;
 Glaxo; Boehringer ingelheim; Hoffmann la roche

TI Agents for treating **human immunodeficiency virus** infection.

SO American Journal of Hospital Pharmacy, (1994) Vol. 51, No. 18, pp.
 2251-2267+2286-2287.
 ISSN: 0002-9289 CODEN: AJHPA

AB The replicative cycle of the **human immunodeficiency virus (HIV)** is reviewed, and currently used and investigational agents directed against the virus are discussed. The first step in the replication of HIV is selective binding of the envelope glycoprotein to CD4 receptors located on T lymphocytes. The virion is then uncoated within the cytoplasm, yielding viral genomic RNA. Reverse transcriptase uses the viral RNA as a template to form single-stranded DNA, which is duplicated to form proviral DNA through the activity of ribonuclease H. Host RNA polymerases transcribe the integrated proviral DNA into messenger RNA, and there is subsequent translation to viral proteins. After translation, further modification of precursor polyproteins is necessary to produce functional peptides. The assembled virus then buds from the cell surface and invades other cells. Targets of drug intervention in the replicative cycle include (1) binding and entry, (2) reverse transcriptase, (3) transcription and translation, and (4) viral maturation and budding. Inhibitors of binding and entry include recombinant soluble CD4, immunoadhesins, peptide T, and hypericin. Nucleoside reverse-transcriptase inhibitors include zidovudine, didanosine, zalcitabine, and stavudine. Foscarnet, tetrahydroimidazobenzo-diazepin thione compounds, and nevirapine are some non-nucleoside reverse-transcriptase inhibitors. Inhibitors of transcription and translation include antagonists of the tat gene and GLQ223. Castanospermine, N-butyldeoxynojirimycin, and protease inhibitors interfere with viral maturation and budding. Drug combinations that have been or are being investigated include zidovudine plus interferon alfa, zidovudine plus zalcitabine, and zidovudine plus didanosine. Four agents currently have approved labeling for use against HIV infection: zidovudine, didanosine, zalcitabine, and stavudine. Monotherapy with zidovudine remains the treatment of first choice. Although progress has been made in developing drug therapies for HIV infection, more selective and more potent drugs are urgently needed. The best approach at present is to optimize the use of available agents, continue to investigate new therapies, and educate the public about prevention.

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 anemia: SI, side effect
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 (saquinavir) 127779-20-8; (nevirapine) 129618-40-2; (rna
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 didanosine: PK, pharmacokinetics
 9 chloro 4,5,6,7 tetrahydro 5 methyl 6 (3 methyl 2
 butenyl)imidazo[4,5,1 jk][1,4]benzodiazepine 2(1h) thione
 [7 chloro 5 (1h pyrrol 2 yl) 3h benzo[e][1,4]diazepin 2
 yl)methylamine
 alpha interferon: CB, drug combination
 azidouridine: DT, drug therapy
 azidouridine: PD, pharmacology
 castanospermine: PK, pharmacokinetics
 castanospermine: AE, adverse drug reaction
 castanospermine: CT, clinical trial
 castanospermine: DO, drug dose
 castanospermine: PD, pharmacology
 cd4 antigen: PD, pharmacology
 cd4 antigen: CT, clinical trial
 delavirdine
 foscarnet: DO, drug dose
 foscarnet: PD, pharmacology
 foscarnet: PK, pharmacokinetics
 foscarnet: DT, drug therapy
 foscarnet: AE, adverse drug reaction
 glycoprotein gp 120: EC, endogenous compound
 hypericin: CT, clinical trial
 hypericin: PD, pharmacology
 immunoadhesin: DT, drug therapy
 immunoadhesin: CT, clinical trial
 immunoadhesin: PD, pharmacology
 indinavir
 n butyldeoxynojirimycin: PK, pharmacokinetics
 n butyldeoxynojirimycin: CT, clinical trial
 n butyldeoxynojirimycin: AE, adverse drug reaction
 n butyldeoxynojirimycin: DO, drug dose
 n butyldeoxynojirimycin: PD, pharmacology
 saquinavir: CT, clinical trial
 nevirapine: CT, clinical trial
 nevirapine: PD, pharmacology
 nevirapine: DT, drug therapy
 nevirapine: DO, drug dose
 nevirapine: CB, drug combination
 peptide t: CT, clinical trial
 peptide t: PD, pharmacology
 r 18893
 rna directed dna polymerase: EC, endogenous compound
 sc 49483
 telinavir
 stavudine: PD, pharmacology
 stavudine: PK, pharmacokinetics
 stavudine: DO, drug dose
 stavudine: CT, clinical trial
 stavudine: DT, drug therapy
 tibo derivative: PD, pharmacology
 trichosanthin: CT, clinical trial
 trichosanthin: DO, drug dose
 trichosanthin: PD, pharmacology

trichosanthin: DT, drug therapy
trichosanthin: PK, pharmacokinetics
zidovudine: PD, pharmacology
zidovudine: PK, pharmacokinetics
zidovudine: DT, drug therapy
zidovudine: DO, drug dose
zidovudine: CB, drug combination
zidovudine: CT, clinical trial
zidovudine: AE, adverse drug reaction
1 735525
unclassified drug
RN (3' fluoro thymidine) 25526-93-6; (lamivudine)
134678-17-4, 134680-32-3; (zalcitabine) 7481-89-2; (didanosine)
69655-05-6; (9 chloro 4,5,6,7 tetrahydro 5 methyl 6 (3 methyl 2
butenyl)imidazo[4,5,1 jk][1,4]benzodiazepine 2(1h) thione)
126347-69-1; ([7 chloro 5 (1h pyrrol 2 yl) 3h
benzo[e][1,4]diazepin 2 yl)methylamine) 139339-45-0;
(castanospermine) 79831-76-8; (delavirdine) 136817-59-9;
(foscarnet) 4428-95-9; (hypericin) 548-04-9; (indinavir)
150378-17-9, 157810-81-6; (n butyldeoxynojirimycin) 72599-27-0;
(saquinavir) 127779-20-8; (nevirapine) 129618-40-2; (rna
directed dna polymerase) 37213-50-6, 9068-38-6; (telinavir)
143224-34-4; (stavudine) 3056-17-5; (trichosanthin) 60318-52-7;
(zidovudine) 30516-87-1
CN (1) Glq 223; (2) Sc 52151; (3) L 735525; Ro 24 7429; Sc 49483; L
735524; Ro 31 8959; R 82913; R 18893; Sc 48334
CO (1) Genelabs; (2) Searle; (3) Merck; Upjohn; Bristol myers squibb;
Burroughs wellcome; Astra; Glaxo; Boehringer ingelheim; Hoffmann
la roche

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ACCESSION NUMBER: 93275483 EMBASE Full-text

DOCUMENT NUMBER: 1993275483

TITLE: [Antiviral drugs].

WIRKUNG ANTIVIRALER SUBSTANZEN.

AUTHOR: Rubsamen-Waigmann H.; Pfutzner A.; Biesert L.

CORPORATE SOURCE: Chemotherapeutisches, Forschungsinstitut,
Paul-Ehrlich-Strasse 42-44, 60596 Frankfurt, Germany
SOURCE: Immunitat und Infektion, (1993) Vol. 21, No. 4, pp.
106-110.

ISSN: 0340-1162 CODEN: IMINDI

COUNTRY: Germany

DOCUMENT TYPE: Journal; (Short Survey)

FILE SEGMENT: 004 Microbiology
030 Pharmacology
037 Drug Literature Index

LANGUAGE: German

SUMMARY LANGUAGE: English; German

ENTRY DATE: Entered STN: 17 Oct 1993
Last Updated on STN: 17 Oct 1993

CONTROLLED TERM: Medical Descriptors:

*antiviral activity
*human immunodeficiency virus infection: DT,
drug therapy
human
inhalational drug administration
intravenous drug administration
oral drug administration
short survey
topical drug administration
virus infection
Drug Descriptors:
*antivirus agent: PD, pharmacology
*antivirus agent: DV, drug development
*antivirus agent: CM, drug comparison
*zidovudine: PD, pharmacology

*zidovudine: CM, drug comparison
 *zidovudine: DV, drug development
 lamivudine: DV, drug development
 2',3' dideoxyadenosine: DV, drug development
 zalcitabine: DV, drug development
 didanosine: DV, drug development
 3' fluorothymidine: DV, drug development
 a 75925: DV, drug development
 aciclovir: DT, drug therapy
 alpha interferon: DV, drug development
 amantadine: DT, drug therapy
 bay 946: DV, drug development
 castanospermine: DV, drug development
 dextran sulfate: DV, drug development
 foscarnet: DV, drug development
 ganciclovir: DT, drug therapy
 hypericin: DV, drug development
 idoxuridine: DT, drug therapy
 saquinavir: DV, drug development
 nevirapine: DV, drug development
 ribavirin: DV, drug development
 ribavirin: DT, drug therapy
 rimantadine: DT, drug therapy
 sr 41476: DV, drug development
 trifluridine: DT, drug therapy
 1 (naphthoxyacetyl)histidyl(5 amino 6 cyclohexyl
 3,4 dihydroxy 2 isopropylhexanoyl)isoleucine n (2
 pyridylmethyl)amide: DV, drug development
 unindexed drug
 vidarabine: DT, drug therapy
 unclassified drug

CAS REGISTRY NO.: (zidovudine) 30516-87-1; (lamivudine) 134678-17-4,
 134680-32-3; (2',3' dideoxyadenosine) 4097-22-7;
 (zalcitabine) 7481-89-2; (didanosine) 69655-05-6;
 (3' fluorothymidine) 25526-93-6;
 (aciclovir) 59277-89-3; (amantadine) 665-66-7,
 768-94-5; (castanospermine) 79831-76-8; (dextran
 sulfate) 9011-18-1, 9042-14-2; (foscarnet)
 4428-95-9; (ganciclovir) 82410-32-0; (hypericin)
 548-04-9; (idoxuridine) 54-42-2; (saquinavir)
 12779-20-8; (nevirapine) 129618-40-2;
 (ribavirin) 36791-04-5; (rimantadine) 13392-28-4,
 1501-84-4; (trifluridine) 70-00-8; (1
 (naphthoxyacetyl)histidyl(5 amino 6 cyclohexyl 3,4
 dihydroxy 2 isopropylhexanoyl)isoleucine n (2
 pyridylmethyl)amide) 112190-24-6; (vidarabine)
 2006-02-2, 5536-17-4
 CHEMICAL NAME: Retrovir; U 75875; Ro 31 8959; Bch 189; Nevirapine;
 A 75925; Sr 41476

TI [Antiviral drugs].
 WIRKUNG ANTIVIRALER SUBSTANZEN.
 SO Immunitat und Infektion, (1993) Vol. 21, No. 4, pp. 106-110..
 ISSN: 0340-1162 CODEN: IMINDI
 CT Medical Descriptors:
 *antiviral activity
 *human immunodeficiency virus infection: DT, drug therapy
 human
 inhalational drug administration
 intravenous drug administration
 oral drug administration
 short survey
 topical drug administration
 virus infection
 Drug Descriptors:
 *antivirus agent: PD, pharmacology
 *antivirus agent: DV, drug development
 *antivirus agent: CM, drug comparison

*zidovudine: PD, pharmacology
 *zidovudine: CM, drug comparison
 *zidovudine: DV, drug development
 lamivudine: DV, drug development
 2',3' dideoxyadenosine: DV, drug development
 zalcitabine: DV, drug development
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 castanospermine: DV, drug development
 dextran sulfate: DV, drug development
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 saquinavir: DV, drug development
 nevirapine: DV, drug development
 ribavirin: DV, drug development
 ribavirin: DT, drug therapy
 rimantadine: DT, drug therapy
 sr 41476: DV, drug development
 trifluridine: DT, drug therapy
 1 (naphthoxyacetyl)histidyl(5 amino 6 cyclohexyl 3,4 dihydroxy 2
 isopropylhexanoyl)isoleucine n (2 pyridylmethyl)amide: DV, drug
 development
 unindexed drug
 vidarabine: DT, drug therapy
 unclassified drug

RN (zidovudine) 30516-87-1; (lamivudine) 134678-17-4, 134680-32-3;
 (2',3' dideoxyadenosine) 4097-22-7; (zalcitabine) 7481-89-2;
 (didanosine) 69655-05-6; (3' fluorothymidine) 25526-93-6
 ; (aciclovir) 59277-89-3; (amantadine) 665-66-7, 768-94-5;
 (castanospermine) 79831-76-8; (dextran sulfate) 9011-18-1,
 9042-14-2; (foscarnet) 4428-95-9; (ganciclovir) 82410-32-0;
 (hypericin) 548-04-9; (idoxuridine) 54-42-2; (saquinavir)
 127779-20-8; (nevirapine) 129618-40-2; (ribavirin)
 36791-04-5; (rimantadine) 13392-28-4, 1501-84-4; (trifluridine)
 70-00-8; (1 (naphthoxyacetyl)histidyl(5 amino 6 cyclohexyl 3,4
 dihydroxy 2 isopropylhexanoyl)isoleucine n (2 pyridylmethyl)amide)
 112190-24-6; (vidarabine) 2006-02-2, 5536-17-4

CT Medical Descriptors:
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 dextran sulfate: DV, drug development
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 rimantadine: DT, drug therapy
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 trifluridine: DT, drug therapy
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 unindexed drug
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 unclassified drug
 RN (zidovudine) 30516-87-1; (lamivudine) 134678-17-4, 134680-32-3;
 (2',3' dideoxyadenosine) 4097-22-7; (zalcitabine) 7481-89-2;
 (didanosine) 69655-05-6; (3' fluorothymidine) 25526-93-6
 ; (aciclovir) 59277-89-3; (amantadine) 665-66-7, 768-94-5;
 (castanospermine) 79831-76-8; (dextran sulfate) 9011-18-1,
 9042-14-2; (foscarnet) 4428-95-9; (ganciclovir) 82410-32-0;
 (hypericin) 548-04-9; (idoxuridine) 54-42-2; (saquinavir)
 127779-20-8; (nevirapine) 129618-40-2; (ribavirin)
 36791-04-5; (rimantadine) 13392-28-4, 1501-84-4; (trifluridine)
 70-00-8; (1 (naphthoxyacetyl)histidyl(5 amino 6 cyclohexyl 3,4
 dihydroxy 2 isopropylhexanoyl)isoleucine n (2 pyridylmethyl)amide)
 112190-24-6; (vidarabine) 2006-02-2, 5536-17-4
 CN Retrovir; U 75875; Ro 31 8959; Bch 189; Nevirapine; A 75925; Sr
 41476

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ACCESSION NUMBER: 93168878 EMBASE Full-text

DOCUMENT NUMBER: 1993168878

TITLE: Challenges in the therapy of HIV
infection.

AUTHOR: Yarchoan R.; Mitsuya H.; Broder S.

CORPORATE SOURCE: Retroviral Diseases Section, National Cancer
Institute, National Institutes of Health, Bethesda,
MD 20892, United States

SOURCE: Trends in Pharmacological Sciences, (1993) Vol. 14,
No. 5, pp. 196-202. .

ISSN: 0165-6147 CODEN: TPHSDY

COUNTRY: United Kingdom

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 004 Microbiology
026 Immunology, Serology and Transplantation
030 Pharmacology
037 Drug Literature Index

LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 11 Jul 1993
Last Updated on STN: 11 Jul 1993

ABSTRACT: Drugs that inhibit human immunodeficiency ***virus*** (HIV) replication have
 been shown to have
 clinical utility in patients with HIV infection. However,
 the immunological improvement induced by available anti-HIV
 therapies in patients with acquired immune deficiency syndrome (
 AIDS) is incomplete and transient. Explanations for this may

include immunological barriers to complete reconstitution, low therapeutic indices of the available drugs, and the development of viral resistance. An understanding of these processes, as discussed here by Robert Yarchoan and colleagues, may provide important leads for the development of improved therapy for AIDS.

CONTROLLED TERM: Medical Descriptors:
 *acquired immune deficiency syndrome: DT, drug therapy
 *human immunodeficiency virus
 *immune response
 *virus infection: DT, drug therapy
 drug development
 drug resistance
 human
 human cell
 human tissue
 mouse
 nonhuman
 opportunistic infection: CO, complication
 priority journal
 review
 thymus
 virus replication
 Drug Descriptors:
 *2',3' dideoxynucleoside: PD, pharmacology
 *2',3' dideoxynucleoside: DT, drug therapy
 *2',3' dideoxynucleoside: CM, drug comparison
 *2',3' dideoxynucleoside: DV, drug development
 *2',3' dideoxynucleoside: AN, drug analysis
 *aspartic proteinase inhibitor: DV, drug development
 *cytokine: EC, endogenous compound
 *enzyme inhibitor: DV, drug development
 *human immunodeficiency virus vaccine: DV, drug development
 *virus vaccine: DV, drug development
 lamivudine: DV, drug development
 stavudine: DV, drug development
 zalcitabine: DV, drug development
 zalcitabine: AN, drug analysis
 zalcitabine: CM, drug comparison
 zalcitabine: DT, drug therapy
 zalcitabine: PD, pharmacology
 didanosine: PD, pharmacology
 didanosine: DV, drug development
 didanosine: CM, drug comparison
 didanosine: DT, drug therapy
 didanosine: AN, drug analysis
 3 [(4,7 dichloro 2 benzoxazolylmethyl)amino] 5 ethyl 6 methyl 2(1h) pyridone: DV, drug development
 3' fluorothymidine: DV, drug development
 alpha interferon: DT, drug therapy
 antisense oligodeoxynucleotide: DV, drug development
 complementary rna: DV, drug development
 interleukin 6: EC, endogenous compound
 nevirapine: DV, drug development
 tumor necrosis factor alpha: EC, endogenous compound
 zidovudine: PD, pharmacology
 zidovudine: CM, drug comparison
 zidovudine: AN, drug analysis
 zidovudine: DT, drug therapy
 zidovudine: DV, drug development
 CAS REGISTRY NO.: (lamivudine) 134678-17-4, 134680-32-3; (stavudine) 3056-17-5; (zalcitabine) 7481-89-2; (didanosine)

69655-05-6; (3 [(4,7 dichloro 2
benzoxazolylmethyl)amino] 5 ethyl 6 methyl 2(1h)
pyridone) 135525-78-9; (3' fluorothymidine)
25526-93-6; (nevirapine)
129618-40-2; (zidovudine) 30516-87-1

CHEMICAL NAME: L 697661

TI Challenges in the therapy of HIV infection.

SO Trends in Pharmacological Sciences, (1993) Vol. 14, No. 5, pp.
196-202.

ISSN: 0165-6147 CODEN: TPHSDY

AB Drugs that inhibit **human immunodeficiency virus (HIV)** replication have been shown to have clinical utility in patients with HIV infection. However, the immunological improvement induced by available anti- HIV therapies in patients with acquired immune deficiency syndrome (AIDS) is incomplete and transient. Explanations for this may include immunological barriers to complete reconstitution, low therapeutic indices of the available drugs, and the development of viral resistance. An understanding of these processes, as discussed here by Robert Yarchoan and colleagues, may provide important leads for the development of improved therapy for AIDS.

CT Medical Descriptors:

*acquired immune deficiency syndrome: DT, drug therapy

***human immunodeficiency virus**

*immune response

*virus infection: DT, drug therapy

drug development

drug resistance

human

human cell

human tissue

mouse

nonhuman

opportunistic infection: CO, complication

priority journal

review

thymus

virus replication

Drug Descriptors:

*2',3' dideoxynucleoside: PD, pharmacology

*2',3' dideoxynucleoside: DT, drug therapy

*2',3' dideoxynucleoside: CM, drug comparison

*2',3' dideoxynucleoside: DV, drug development

*2',3' dideoxynucleoside: AN, drug analysis

*aspartic proteinase inhibitor: DV, drug development

*cytokine: EC, endogenous compound

*enzyme inhibitor: DV, drug development

***human immunodeficiency virus vaccine: DV, drug
development**

*virus vaccine: DV, drug development

lamivudine: DV, drug development

stavudine: DV, drug development

zalcitabine: DV, drug development

zalcitabine: AN, drug analysis

zalcitabine: CM, drug comparison

zalcitabine: DT, drug therapy

zalcitabine: PD, pharmacology

didanosine: PD, pharmacology

didanosine: DV, drug development

didanosine: CM, drug comparison

didanosine: DT, drug therapy

didanosine: AN, drug analysis

3 [(4,7 dichloro 2 benzoxazolylmethyl)amino] 5 ethyl 6 methyl

2(1h) pyridone: DV, drug development

3' fluorothymidine: DV, drug development

alpha interferon: DT, drug therapy

antisense oligodeoxynucleotide: DV, drug development

complementary rna: DV, drug development

interleukin 6: EC, endogenous compound

nevirapine: DV, drug development

tumor necrosis factor alpha: EC, endogenous compound
 zidovudine: PD, pharmacology
 zidovudine: CM, drug comparison
 zidovudine: AN, drug analysis
 zidovudine: DT, drug therapy
 zidovudine: DV, drug development
 RN (lamivudine) 134678-17-4, 134680-32-3; (stavudine) 3056-17-5;
 (zalcitabine) 7481-89-2; (didanosine) 69655-05-6; (3 [(4,7
 dichloro 2 benzoxazolylmethyl)amino] 5 ethyl 6 methyl 2(1h)
 pyridone) 135525-78-9; (3' fluorothymidine) 25526-93-6;
 (nevirapine) 129618-40-2; (zidovudine) 30516-87-1
 CT Medical Descriptors:
 *acquired immune deficiency syndrome: DT, drug therapy
 *human immunodeficiency virus
 *immune response
 *virus infection: DT, drug therapy
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 mouse
 nonhuman
 opportunistic infection: CO, complication
 priority journal
 review
 thymus
 virus replication
 Drug Descriptors:
 *2',3' dideoxynucleoside: PD, pharmacology
 *2',3' dideoxynucleoside: DT, drug therapy
 *2',3' dideoxynucleoside: CM, drug comparison
 *2',3' dideoxynucleoside: DV, drug development
 *2',3' dideoxynucleoside: AN, drug analysis
 *aspartic proteinase inhibitor: DV, drug development
 *cytokine: EC, endogenous compound
 *enzyme inhibitor: DV, drug development
 *human immunodeficiency virus vaccine: DV, drug
 development
 *virus vaccine: DV, drug development
 lamivudine: DV, drug development
 stavudine: DV, drug development
 zalcitabine: DV, drug development
 zalcitabine: AN, drug analysis
 zalcitabine: CM, drug comparison
 zalcitabine: DT, drug therapy
 zalcitabine: PD, pharmacology
 didanosine: PD, pharmacology
 didanosine: DV, drug development
 didanosine: CM, drug comparison
 didanosine: DT, drug therapy
 didanosine: AN, drug analysis
 3 [(4,7 dichloro 2 benzoxazolylmethyl)amino] 5 ethyl 6 methyl
 2(1h) pyridone: DV, drug development
 3' fluorothymidine: DV, drug development
 alpha interferon: DT, drug therapy
 antisense oligodeoxynucleotide: DV, drug development
 complementary rna: DV, drug development
 interleukin 6: EC, endogenous compound
 nevirapine: DV, drug development
 tumor necrosis factor alpha: EC, endogenous compound
 zidovudine: PD, pharmacology
 zidovudine: CM, drug comparison
 zidovudine: AN, drug analysis
 zidovudine: DT, drug therapy
 zidovudine: DV, drug development
 RN (lamivudine) 134678-17-4, 134680-32-3; (stavudine) 3056-17-5;

(zalcitabine) 7481-89-2; (didanosine) 69655-05-6; (3 [(4,7
dichloro 2 benzoxazolylmethyl)amino] 5 ethyl 6 methyl 2(1h)
pyridone) 135525-78-9; (3' fluorothymidine) 25526-93-6;
(nevirapine) 129618-40-2; (zidovudine) 30516-87-1

CN L 697661

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ACCESSION NUMBER: 93098981 EMBASE Full-text

DOCUMENT NUMBER: 1993098981

TITLE: Future therapies in the management of critically
ill AIDS patients.

AUTHOR: Torres R.A.; Franke-Ruta G.; Barr M.R.

CORPORATE SOURCE: AIDS Center, St Vincent's Hospital Medical Center,
153 West 12th Street, New York, NY 10012, United
States

SOURCE: Critical Care Clinics, (1993) Vol. 9, No. 1, pp.
153-176.

ISSN: 0749-0704 CODEN: CCCLEH

COUNTRY: United States

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 004 Microbiology
037 Drug Literature Index
038 Adverse Reactions Titles

LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 16 May 1993

Last Updated on STN: 16 May 1993

ABSTRACT: The advent of **antiviral** therapy for HIV infection and anti-infective agents for
the treatment and prophylaxis

of Pneumocystis carinii pneumonia has had a significant impact on the
survival and quality of life of persons with AIDS and

associated conditions. This article discusses zidovudine and other

*****antiviral***** therapies for HIV infection, as well as

some of the new treatment and prophylactic strategies to manage

opportunistic infections which can ameliorate the course of advanced

*****HIV***** infection in patients who may require critical care.

CONTROLLED TERM: Medical Descriptors:

***human immunodeficiency virus infection: DT,
drug therapy**

***human immunodeficiency virus infection: PC,
prevention**

***human immunodeficiency virus infection: TH,
therapy**

abdominal pain: SI, side effect

acquired immune deficiency syndrome

antiviral activity

bacterial infection: DT, drug therapy

bacterial infection: CO, complication

blood toxicity: SI, side effect

bone marrow toxicity: SI, side effect

cryptococcus neoformans

drowsiness: SI, side effect

drug mixture

headache: SI, side effect

herpes virus

human

human cytomegalovirus

mycobacteriosis: DT, drug therapy

mycobacterium intracellulare avium

mycotic meningitis: DT, drug therapy

nausea: SI, side effect

neurotoxicity: SI, side effect

nonhuman

opportunistic infection: DT, drug therapy

oral drug administration

pancreatitis: SI, side effect
 pneumocystis carinii pneumonia: CO, complication
 pneumocystis carinii pneumonia: DT, drug therapy
 review
 survival rate
 toxoplasma gondii
 toxoplasmosis: DT, drug therapy
 vomiting: SI, side effect

CONTROLLED TERM:

Drug Descriptors:
 *antivirus agent: AE, adverse drug reaction
 *antivirus agent: DO, drug dose
 *antivirus agent: DT, drug therapy
 stavudine: AE, adverse drug reaction
 stavudine: CT, clinical trial
 stavudine: DO, drug dose
 stavudine: DT, drug therapy
 zalcitabine: CM, drug comparison
 zalcitabine: CT, clinical trial
 zalcitabine: DO, drug dose
 zalcitabine: AE, adverse drug reaction
 zalcitabine: DT, drug therapy
 didanosine: CT, clinical trial
 didanosine: DO, drug dose
 didanosine: DT, drug therapy
 didanosine: AE, adverse drug reaction
 3 [(4,7 dichloro 2 benzoxazolylmethyl)amino] 5
 ethyl 6 methyl 2(1h) pyridone: DT, drug therapy
 3' azido 2',3' dideoxyuridine: DT, drug therapy
 3' azido 2',3' dideoxyuridine: AE, adverse drug
 reaction
 3' azido 2',3' dideoxyuridine: CT, clinical trial
 3' fluorothymidine: CT, clinical trial
 3' fluorothymidine: AE, adverse drug reaction
 3' fluorothymidine: DO, drug dose
 3' fluorothymidine: DT, drug therapy
 amikacin: DT, drug therapy
 amikacin: CB, drug combination
 amikacin: CT, clinical trial
 ciprofloxacin: CT, clinical trial
 ciprofloxacin: DT, drug therapy
 ciprofloxacin: CB, drug combination
 corticosteroid: CB, drug combination
 corticosteroid: DT, drug therapy
 cotrimoxazole: CM, drug comparison
 cotrimoxazole: CB, drug combination
 cotrimoxazole: CT, clinical trial
 cotrimoxazole: AE, adverse drug reaction
 cotrimoxazole: DT, drug therapy
 cytidine derivative: DO, drug dose
 cytidine derivative: CT, clinical trial
 cytidine derivative: DT, drug therapy
 erythropoietin: DT, drug therapy
 erythropoietin: DV, drug development
 ethambutol: DT, drug therapy
 ethambutol: CT, clinical trial
 ethambutol: CB, drug combination
 foscarnet: DT, drug therapy
 foscarnet: CM, drug comparison
 foscarnet: CT, clinical trial
 ganciclovir: CM, drug comparison
 ganciclovir: CT, clinical trial
 ganciclovir: DT, drug therapy
 granulocyte colony stimulating factor: DV, drug
 development
 granulocyte colony stimulating factor: DT, drug
 therapy
 granulocyte macrophage colony stimulating factor:

DT, drug therapy
 granulocyte macrophage colony stimulating factor:
 DV, drug development
 interferon: DV, drug development
 interferon: DT, drug therapy
 interferon: CT, clinical trial
 interleukin 2: DT, drug therapy
 interleukin 2: DV, drug development
 methisoprinol: DT, drug therapy
 saquinavir: DT, drug therapy
 saquinavir: CT, clinical trial
 nevirapine: DT, drug therapy
 nucleoside analog: AE, adverse drug reaction
 nucleoside analog: DT, drug therapy
 nucleoside analog: DO, drug dose
 nucleoside analog: CT, clinical trial
 pentamidine: CM, drug comparison
 pentamidine: DT, drug therapy
 pentamidine: AE, adverse drug reaction
 pentamidine: CT, clinical trial
 pentoxifylline: DT, drug therapy
 pentoxifylline: DV, drug development
 proteinase inhibitor: DT, drug therapy
 [7 chloro 5 (1h pyrrol 2 yl) 3h
 benzo[e][1,4]diazepin 2 yl]methylanine: AE, adverse
 drug reaction
 [7 chloro 5 (1h pyrrol 2 yl) 3h
 benzo[e][1,4]diazepin 2 yl]methylanine: CT,
 clinical trial
 [7 chloro 5 (1h pyrrol 2 yl) 3h
 benzo[e][1,4]diazepin 2 yl]methylanine: DT, drug
 therapy
 atevirdine mesylate: CT, clinical trial
 atevirdine mesylate: DO, drug dose
 atevirdine mesylate: DT, drug therapy
 unindexed drug: CT, clinical trial
 unindexed drug: DV, drug development
 unindexed drug: DT, drug therapy
 zidovudine: AE, adverse drug reaction
 zidovudine: CM, drug comparison
 zidovudine: DO, drug dose
 zidovudine: DT, drug therapy
 CAS REGISTRY NO.: (stavudine) 3056-17-5; (zalcitabine) 7481-89-2;
 (didanosine) 69655-05-6; (3 [(4,7 dichloro 2
 benzoxazolylmethyl)amino] 5 ethyl 6 methyl 2(1h)
 pyridone) 135525-78-9; (3' azido 2',3'
 dideoxyuridine) 84472-85-5; (3' fluorothymidine)
 25526-93-6; (amikacin) 37517-28-5,
 39831-55-5; (ciprofloxacin) 85721-33-1;
 (cotrimoxazole) 8064-90-2; (erythropoietin)
 11096-26-7; (ethambutol) 10054-05-4, 1070-11-7,
 3577-94-4, 74-55-5; (foscarnet) 4428-95-9;
 (ganciclovir) 82410-32-0; (interleukin 2)
 85898-30-2; (methisoprinol) 36703-88-5;
 (saquinavir) 127779-20-8; (nevirapine)
 129618-40-2; (pentamidine) 100-33-4;
 (pentoxifylline) 6493-05-6; (proteinase inhibitor)
 37205-61-1; ([7 chloro 5 (1h pyrrol 2 yl) 3h
 benzo[e][1,4]diazepin 2 yl]methylanine)
 139339-45-0; (atevirdine mesylate) 138540-32-6;
 (zidovudine) 30516-87-1

- TI Future therapies in the management of critically ill AIDS patients.
- SO Critical Care Clinics, (1993) Vol. 9, No. 1, pp. 153-176. .
 ISSN: 0749-0704 CODEN: CCCLEH
- AB The advent of **antiviral** therapy for HIV infection and anti-infective agents for the treatment and prophylaxis of *Pneumocystis carinii* pneumonia has had a significant

impact on the survival and quality of life of persons with AIDS and associated conditions. This article discusses zidovudine and other **antiviral** therapies for HIV infection, as well as some of the new treatment and prophylactic strategies to manage opportunistic infections which can ameliorate the course of advanced HIV infection in patients who may require critical care.

CT Medical Descriptors:

*human immunodeficiency virus infection: DT, drug therapy
 *human immunodeficiency virus infection: PC, prevention
 *human immunodeficiency virus infection: TH, therapy
 abdominal pain: SI, side effect
 acquired immune deficiency syndrome
 antiviral activity
 bacterial infection: DT, drug therapy
 bacterial infection: CO, complication
 blood toxicity: SI, side effect
 bone marrow toxicity: SI, side effect
 cryptococcus neoformans
 drowsiness: SI, side effect
 drug mixture
 headache: SI, side effect
 herpes virus
 human
 human cytomegalovirus
 mycobacteriosis: DT, drug therapy
 mycobacterium intracellulare avium
 mycotic meningitis: DT, drug therapy
 nausea: SI, side effect
 neurotoxicity: SI, side effect
 nonhuman
 opportunistic infection: DT, drug therapy
 oral drug administration
 pancreatitis: SI, side effect
 pneumocystis carinii pneumonia: CO, complication
 pneumocystis carinii pneumonia: DT, drug therapy
 review
 survival rate
 toxoplasma gondii
 toxoplasmosis: DT, drug therapy
 vomiting: SI, side effect

CT Drug Descriptors:

*antivirus agent: AE, adverse drug reaction
 *antivirus agent: DO, drug dose
 *antivirus agent: DT, drug therapy
 stavudine: AE, adverse drug reaction
 stavudine: CT, clinical trial
 stavudine: DO, drug dose
 stavudine: DT, drug therapy
 zalcitabine: CM, drug comparison
 zalcitabine: CT, clinical trial
 zalcitabine: DO, drug dose
 zalcitabine: AE, adverse drug reaction
 zalcitabine: DT, drug therapy
 didanosine: CT, clinical trial
 didanosine: DO, drug dose
 didanosine: DT, drug therapy
 didanosine: AE, adverse drug reaction
 3 [(4,7 dichloro 2 benzoxazolylmethyl)amino] 5 ethyl 6 methyl
 2(1h) pyridone: DT, drug therapy
 3' azido 2',3' dideoxyuridine: DT, drug therapy
 3' azido 2',3' dideoxyuridine: AE, adverse drug reaction
 3' azido 2',3' dideoxyuridine: CT, clinical trial
 3' fluorothymidine: CT, clinical trial
 3' fluorothymidine: AE, adverse drug reaction
 3' fluorothymidine: DO, drug dose
 3' fluorothymidine: DT, drug therapy
 amikacin: DT, drug therapy
 amikacin: CB, drug combination

amikacin: CT, clinical trial
 ciprofloxacin: CT, clinical trial
 ciprofloxacin: DT, drug therapy
 ciprofloxacin: CB, drug combination
 corticosteroid: CB, drug combination
 corticosteroid: DT, drug therapy
 cotrimoxazole: CM, drug comparison
 cotrimoxazole: CB, drug combination
 cotrimoxazole: CT, clinical trial
 cotrimoxazole: AE, adverse drug reaction
 cotrimoxazole: DT, drug therapy
 cytidine derivative: DO, drug dose
 cytidine derivative: CT, clinical trial
 cytidine derivative: DT, drug therapy
 erythropoietin: DT, drug therapy
 erythropoietin: DV, drug development
 ethambutol: DT, drug therapy
 ethambutol: CT, clinical trial
 ethambutol: CB, drug combination
 foscarnet: DT, drug therapy
 foscarnet: CM, drug comparison
 foscarnet: CT, clinical trial
 ganciclovir: CM, drug comparison
 ganciclovir: CT, clinical trial
 ganciclovir: DT, drug therapy
 granulocyte colony stimulating factor: DV, drug development
 granulocyte colony stimulating factor: DT, drug therapy
 granulocyte macrophage colony stimulating factor: DT, drug therapy
 granulocyte macrophage colony stimulating factor: DV, drug development
 interferon: DV, drug development
 interferon: DT, drug therapy
 interferon: CT, clinical trial
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 interleukin 2: DV, drug development
 methisoprinol: DT, drug therapy
 saquinavir: DT, drug therapy
 saquinavir: CT, clinical trial
 nevirapine: DT, drug therapy
 nucleoside analog: AE, adverse drug reaction
 nucleoside analog: DT, drug therapy
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 pentoxifylline: DV, drug development
 proteinase inhibitor: DT, drug therapy
 [7 chloro 5 (1h pyrrol 2 yl) 3h benzo[e][1,4]diazepin 2
 yl)methylamine: AE, adverse drug reaction
 [7 chloro 5 (1h pyrrol 2 yl) 3h benzo[e][1,4]diazepin 2
 yl)methylamine: CT, clinical trial
 [7 chloro 5 (1h pyrrol 2 yl) 3h benzo[e][1,4]diazepin 2
 yl)methylamine: DT, drug therapy
 atevirdine mesylate: CT, clinical trial
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 unindexed drug: CT, clinical trial
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 unindexed drug: DT, drug therapy
 zidovudine: AE, adverse drug reaction
 zidovudine: CM, drug comparison
 zidovudine: DO, drug dose
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 RN (stavudine) 3056-17-5; (zalcitabine) 7481-89-2; (didanosine)

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(erythropoietin) 11096-26-7; (ethambutol) 10054-05-4, 1070-11-7,
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82410-32-0; (interleukin 2) 85898-30-2; (methisoprinol)
36703-88-5; (saquinavir) 127779-20-8; (nevirapine)
129618-40-2; (pentamidine) 100-33-4; (pentoxifylline)
6493-05-6; (proteinase inhibitor) 37205-61-1; ([7 chloro 5 (1h
pyrrol 2 yl) 3h benzo[e][1,4]diazepin 2 yl]methylamine)
139339-45-0; (atevirdine mesylate) 138540-32-6; (zidovudine)
30516-87-1

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drowsiness: SI, side effect
drug mixture
headache: SI, side effect
herpes virus
human
human cytomegalovirus
mycobacteriosis: DT, drug therapy
mycobacterium intracellulare avium
mycotic meningitis: DT, drug therapy
nausea: SI, side effect
neurotoxicity: SI, side effect
nonhuman
opportunistic infection: DT, drug therapy
oral drug administration
pancreatitis: SI, side effect
pneumocystis carinii pneumonia: CO, complication
pneumocystis carinii pneumonia: DT, drug therapy
review
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toxoplasma gondii
toxoplasmosis: DT, drug therapy
vomiting: SI, side effect

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*antivirus agent: DO, drug dose
*antivirus agent: DT, drug therapy
stavudine: AE, adverse drug reaction
stavudine: CT, clinical trial
stavudine: DO, drug dose
stavudine: DT, drug therapy
zalcitabine: CM, drug comparison
zalcitabine: CT, clinical trial
zalcitabine: DO, drug dose
zalcitabine: AE, adverse drug reaction
zalcitabine: DT, drug therapy
didanosine: CT, clinical trial
didanosine: DO, drug dose
didanosine: DT, drug therapy
didanosine: AE, adverse drug reaction
3 [(4,7 dichloro 2 benzoxazolylmethyl)amino] 5 ethyl 6 methyl
2(1h) pyridone: DT, drug therapy

3' azido 2',3' dideoxyuridine: DT, drug therapy
 3' azido 2',3' dideoxyuridine: AE, adverse drug reaction
 3' azido 2',3' dideoxyuridine: CT, clinical trial
 3' fluorothymidine: CT, clinical trial
 3' fluorothymidine: AE, adverse drug reaction
 3' fluorothymidine: DO, drug dose
 3' fluorothymidine: DT, drug therapy
 amikacin: DT, drug therapy
 amikacin: CB, drug combination
 amikacin: CT, clinical trial
 ciprofloxacin: CT, clinical trial
 ciprofloxacin: DT, drug therapy
 ciprofloxacin: CB, drug combination
 corticosteroid: CB, drug combination
 corticosteroid: DT, drug therapy
 cotrimoxazole: CM, drug comparison
 cotrimoxazole: CB, drug combination
 cotrimoxazole: CT, clinical trial
 cotrimoxazole: AE, adverse drug reaction
 cotrimoxazole: DT, drug therapy
 cytidine derivative: DO, drug dose
 cytidine derivative: CT, clinical trial
 cytidine derivative: DT, drug therapy
 erythropoietin: DT, drug therapy
 erythropoietin: DV, drug development
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 granulocyte colony stimulating factor: DT, drug therapy
 granulocyte macrophage colony stimulating factor: DT, drug therapy
 granulocyte macrophage colony stimulating factor: DV, drug development
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 interferon: CT, clinical trial
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 pentoxifylline: DV, drug development
 proteinase inhibitor: DT, drug therapy
 [7 chloro 5 (1h pyrrol 2 yl) 3h benzo[e][1,4]diazepin 2
 yl]methylamine: AE, adverse drug reaction
 [7 chloro 5 (1h pyrrol 2 yl) 3h benzo[e][1,4]diazepin 2
 yl]methylamine: CT, clinical trial
 [7 chloro 5 (1h pyrrol 2 yl) 3h benzo[e][1,4]diazepin 2
 yl]methylamine: DT, drug therapy
 atevirdine mesylate: CT, clinical trial
 atevirdine mesylate: DO, drug dose

atevirdine mesylate: DT, drug therapy
 unindexed drug: CT, clinical trial
 unindexed drug: DV, drug development
 unindexed drug: DT, drug therapy
 zidovudine: AE, adverse drug reaction
 zidovudine: CM, drug comparison
 zidovudine: DO, drug dose
 zidovudine: DT, drug therapy
 RN (stavudine) 3056-17-5; (zalcitabine) 7481-89-2; (didanosine)
 69655-05-6; (3 [(4,7 dichloro 2 benzoxazolylmethyl)amino] 5 ethyl
 6 methyl 2(1h) pyridone) 135525-78-9; (3' azido 2',3'
 dideoxyuridine) 84472-85-5; (3' fluorothymidine)
 25526-93-6; (amikacin) 37517-28-5, 39831-55-5;
 (ciprofloxacin) 85721-33-1; (cotrimoxazole) 8064-90-2;
 (erythropoietin) 11096-26-7; (ethambutol) 10054-05-4, 1070-11-7,
 3577-94-4, 74-55-5; (foscarnet) 4428-95-9; (ganciclovir)
 82410-32-0; (interleukin 2) 85898-30-2; (methisoprinol)
 36703-88-5; (saquinavir) 127779-20-8; (nevirapine)
 129618-40-2; (pentamidine) 100-33-4; (pentoxifylline)
 6493-05-6; (proteinase inhibitor) 37205-61-1; ([7 chloro 5 (1h
 pyrrol 2 yl) 3h benzo[e][1,4]diazepin 2 yl)methylamine)
 139339-45-0; (atevirdine mesylate) 138540-32-6; (zidovudine)
 30516-87-1

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ACCESSION NUMBER: 92257016 EMBASE Full-text

DOCUMENT NUMBER: 1992257016

TITLE: [Present and near future of anti-HIV
 therapy].
 ANTI-HIV-BEHANDELING, HEDEN EN NABIJE
 TOEKOMST.

AUTHOR: Danner S.A.; Lange J.M.A.; Cooper D.A.

CORPORATE SOURCE: Academisch Medisch Centrum, Afdeling Interne Zaken,
 Meibergdreef 9, 1105 AZ Amsterdam, Netherlands

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 Vol. 136, No. 31, pp. 1496-1500. .
 ISSN: 0028-2162 CODEN: NETJAN

COUNTRY: Netherlands

DOCUMENT TYPE: Journal; General Review

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 030 Pharmacology
 037 Drug Literature Index

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ENTRY DATE: Entered STN: 20 Sep 1992
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CONTROLLED TERM: Medical Descriptors:
 *human immunodeficiency virus infection: DT,
 drug therapy
 drug efficacy
 drug resistance
 human
 review
 Drug Descriptors:
 *stavudine: DT, drug therapy
 *stavudine: PD, pharmacology
 *zalcitabine: CB, drug combination
 *zalcitabine: PD, pharmacology
 *zalcitabine: DT, drug therapy
 *didanosine: PD, pharmacology
 *didanosine: DT, drug therapy
 *didanosine: CB, drug combination
 *antivirus agent: DV, drug development
 *antivirus agent: DT, drug therapy
 *antivirus agent: CM, drug comparison
 *granulocyte colony stimulating factor: CB, drug

combination
 *granulocyte colony stimulating factor: DT, drug
 therapy
 *nucleoside: DT, drug therapy
 *nucleoside: CB, drug combination
 *zidovudine: CB, drug combination
 *zidovudine: DO, drug dose
 *zidovudine: DT, drug therapy
 *zidovudine: PD, pharmacology
 lamivudine: PD, pharmacology
 lamivudine: DT, drug therapy
 11 cyclopropyl 5,6 dihydro 4 methyl 11h pyrido[3,2
 b]pyrido[3,2 e][1,4]diazepin 6 one
 2,6 diamino 9 (3 fluoro 2
 phosphonomethoxypropyl)purine: PD, pharmacology
 2,6 diamino 9 (3 fluoro 2
 phosphonomethoxypropyl)purine: DT, drug therapy
 2,6 diamino 9 (3 fluoro 2
 phosphonomethoxypropyl)purine: DV, drug development
 3' fluorothymidine: PD, pharmacology
 3' fluorothymidine: DT, drug therapy
 adefovir: DV, drug development
 adefovir: DT, drug therapy
 adefovir: PD, pharmacology
 9 [3 fluoro 2 (phosphonomethoxy)propyl]adenine: DV,
 drug development
 9 [3 fluoro 2 (phosphonomethoxy)propyl]adenine: PD,
 pharmacology
 alpha interferon: DT, drug therapy
 alpha interferon: CB, drug combination
 complementary rna: PD, pharmacology
 foscarnet: DT, drug therapy
 foscarnet: CB, drug combination
 granulocyte macrophage colony stimulating factor:
 CB, drug combination
 granulocyte macrophage colony stimulating factor:
 DT, drug therapy
 imidazo[4,5,1 jk] 1,4 benzodiazepine derivative:
 DV, drug development
 imidazo[4,5,1 jk] 1,4 benzodiazepine derivative:
 PD, pharmacology
 3 [(4,7 dichloro 2 benzoxazolylmethyl)amino] 5
 ethyl 6 methyl 2(1h) pyridone
 ribavirin: PD, pharmacology
 7 chloro 1,3 dihydro 5 (2 pyrrolyl) 2h 1,4
 benzodiazepin 2 one
 tibo derivative: PD, pharmacology
 tibo derivative: DV, drug development
 nevirapine
 unclassified drug
 (stavudine) 3056-17-5; (zalcitabine) 7481-89-2;
 (didanosine) 69655-05-6; (zidovudine) 30516-87-1;
 (lamivudine) 134678-17-4, 134680-32-3; (3'
 fluorothymidine) 25526-93-6; (adefovir)
 106941-25-7; (foscarnet) 4428-95-9; (3 [(4,7
 dichloro 2 benzoxazolylmethyl)amino] 5 ethyl 6
 methyl 2(1h) pyridone) 135525-78-9; (ribavirin)
 36791-04-5; (7 chloro 1,3 dihydro 5 (2 pyrrolyl) 2h
 1,4 benzodiazepin 2 one) 30195-30-3; (nevirapine)
 129618-40-2

CAS REGISTRY NO.:

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CT Medical Descriptors:

***human immunodeficiency virus infection: DT, drug therapy**

drug efficacy

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Drug Descriptors:

*stavudine: DT, drug therapy

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*zalcitabine: PD, pharmacology

*zalcitabine: DT, drug therapy

*didanosine: PD, pharmacology

*didanosine: DT, drug therapy

*didanosine: CB, drug combination

*antivirus agent: DV, drug development

*antivirus agent: DT, drug therapy

*antivirus agent: CM, drug comparison

*granulocyte colony stimulating factor: CB, drug combination

*granulocyte colony stimulating factor: DT, drug therapy

*nucleoside: DT, drug therapy

*nucleoside: CB, drug combination

*zidovudine: CB, drug combination

*zidovudine: DO, drug dose

*zidovudine: DT, drug therapy

*zidovudine: PD, pharmacology

lamivudine: PD, pharmacology

lamivudine: DT, drug therapy

11 cyclopropyl 5,6 dihydro 4 methyl 11h pyrido[3,2 b]pyrido[3,2 e][1,4]diazepin 6 one

2,6 diamino 9 (3 fluoro 2 phosphonomethoxypropyl)purine: PD, pharmacology

2,6 diamino 9 (3 fluoro 2 phosphonomethoxypropyl)purine: DT, drug therapy

2,6 diamino 9 (3 fluoro 2 phosphonomethoxypropyl)purine: DV, drug development

3' fluorothymidine: PD, pharmacology

3' fluorothymidine: DT, drug therapy

adefovir: DV, drug development

adefovir: DT, drug therapy

adefovir: PD, pharmacology

9 [3 fluoro 2 (phosphonomethoxy)propyl]adenine: DV, drug development

9 [3 fluoro 2 (phosphonomethoxy)propyl]adenine: PD, pharmacology

alpha interferon: DT, drug therapy

alpha interferon: CB, drug combination

complementary rna: PD, pharmacology

foscarnet: DT, drug therapy

foscarnet: CB, drug combination

granulocyte macrophage colony stimulating factor: CB, drug combination

granulocyte macrophage colony stimulating factor: DT, drug therapy

imidazo[4,5,1 jk] 1,4 benzodiazepine derivative: DV, drug development

imidazo[4,5,1 jk] 1,4 benzodiazepine derivative: PD, pharmacology

3 [(4,7 dichloro 2 benzoxazolylmethyl)amino] 5 ethyl 6 methyl 2(1h) pyridone

ribavirin: PD, pharmacology

7 chloro 1,3 dihydro 5 (2 pyrrolyl) 2h 1,4 benzodiazepin 2 one

tibo derivative: PD, pharmacology

tibo derivative: DV, drug development

nevirapine

unclassified drug

RN (stavudine) 3056-17-5; (zalcitabine) 7481-89-2; (didanosine) 69655-05-6; (zidovudine) 30516-87-1; (lamivudine) 134678-17-4, 134680-32-3; (3' fluorothymidine) 25526-93-6; (adefovir) 106941-25-7; (foscarnet) 4428-95-9; 3 [(4,7 dichloro 2 benzoxazolylmethyl)amino] 5 ethyl 6 methyl 2(1h) pyridone)

135525-78-9; (ribavirin) 36791-04-5; (7 chloro 1,3 dihydro 5 (2 pyrrolyl) 2h 1,4 benzodiazepin 2 one) 30195-30-3; (nevirapine) 129618-40-2

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 ribavirin: PD, pharmacology
 7 chloro 1,3 dihydro 5 (2 pyrrolyl) 2h 1,4 benzodiazepin 2 one
 tibo derivative: PD, pharmacology
 tibo derivative: DV, drug development
 nevirapine
 unclassified drug

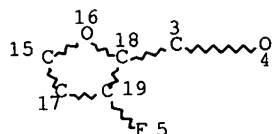
RN (stavudine) 3056-17-5; (zalcitabine) 7481-89-2; (didanosine)

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 106941-25-7; (foscarnet) 4428-95-9; (3 [(4,7 dichloro 2
 benzoxazolylmethyl)amino] 5 ethyl 6 methyl 2(1h) pyridone)
 135525-78-9; (ribavirin) 36791-04-5; (7 chloro 1,3 dihydro 5 (2
 pyrrolyl) 2h 1,4 benzodiazepin 2 one) 30195-30-3; (nevirapine)
 129618-40-2

CN Bi rg 587; L 697661; Ro 5 3335

=> => d que stat 181

L2 8 SEA FILE=REGISTRY ABB=ON PLU=ON (129618-40-2/BI OR
 144114-21-6/BI OR 220750-46-9/BI OR 25526-93-6/BI OR
 52350-85-3/BI OR 770723-01-8/BI OR 9068-38-6/BI OR
 92562-88-4/BI)
 L3 1 SEA FILE=REGISTRY ABB=ON PLU=ON 220750-46-9/RN
 L4 1 SEA FILE=REGISTRY ABB=ON PLU=ON 92562-88-4/RN
 L5 1 SEA FILE=REGISTRY ABB=ON PLU=ON 25526-93-6/RN
 L16 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

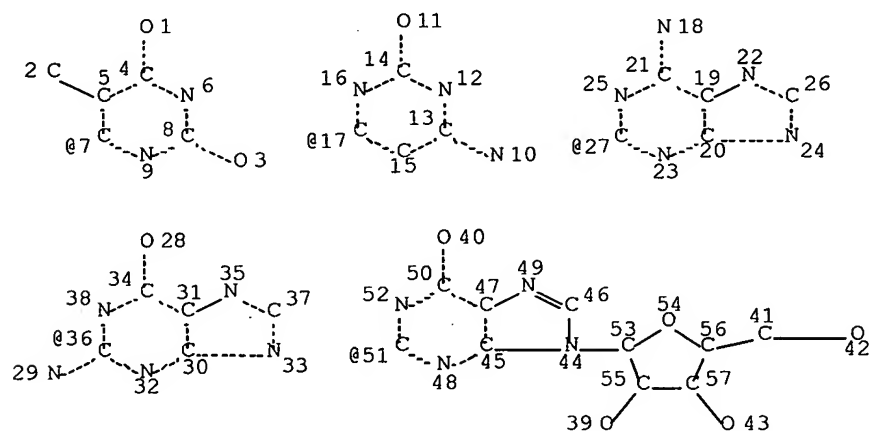
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

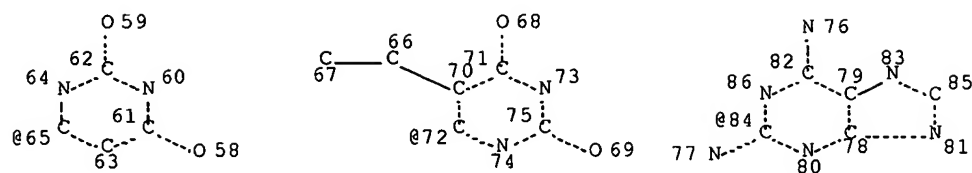
L18 1733 SEA FILE=REGISTRY SSS FUL L16

L19 STR



G1 8

Page 1-B



Page 2-A

VAR G1=7/17/27/36/51/65/72/84

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

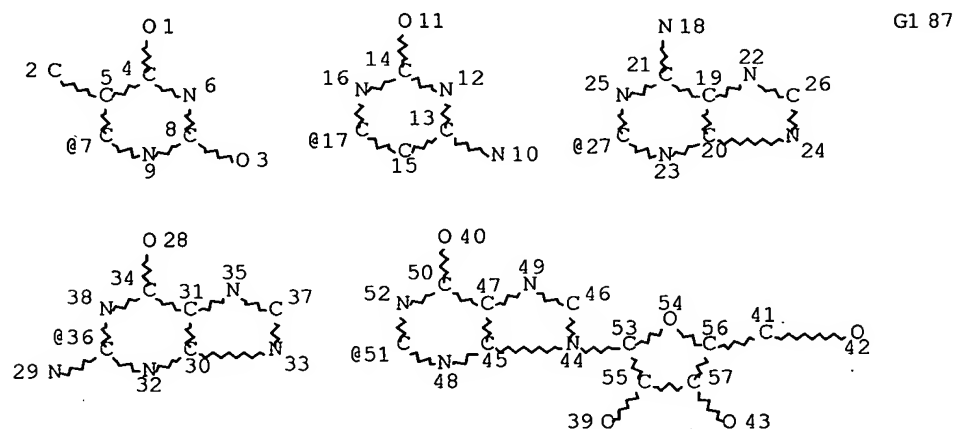
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

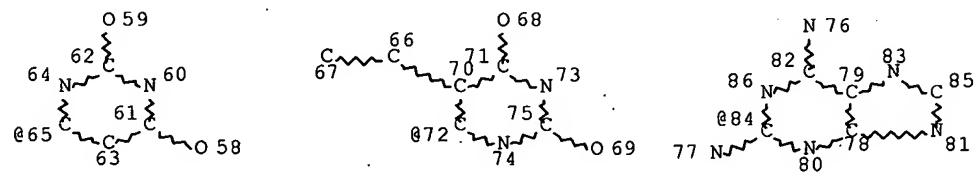
NUMBER OF NODES IS 87

STEREO ATTRIBUTES: NONE

L20 STR



Page 1-A



Page 2-A

VAR G1=7/17/27/36/51/65/72/84

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 87

STEREO ATTRIBUTES: NONE

L23 1142 SEA FILE=REGISTRY SUB=L18 SSS FUL L20
 L24 2 SEA FILE=REGISTRY SUB=L18 SSS FUL L19
 L25 4 SEA FILE=REGISTRY ABB=ON PLU=ON L2 AND L23
 L27 54 SEA FILE=REGISTRY ABB=ON PLU=ON L23 AND ?CYTIDIN?/CNS

 L28 36 SEA FILE=REGISTRY ABB=ON PLU=ON L27 AND 1/F NOT
 (1-5/CL OR 1-5/BR OR 2-5/F)
 L29 9 SEA FILE=REGISTRY ABB=ON PLU=ON L28 AND 3/N AND 3/O
 L30 2 SEA FILE=REGISTRY ABB=ON PLU=ON L29 AND C9H12FN3O3/M
 F
 L31 6 SEA FILE=REGISTRY ABB=ON PLU=ON L23 AND ?FLUOROADENOS
 IN?/CNS
 L32 1 SEA FILE=REGISTRY ABB=ON PLU=ON L31 AND C10 H12 F N5
 O2/MF
 L33 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L3
 L34 49 SEA FILE=HCAPLUS ABB=ON PLU=ON L4
 L35 292 SEA FILE=HCAPLUS ABB=ON PLU=ON L5
 L36 39 SEA FILE=HCAPLUS ABB=ON PLU=ON L30
 L37 35 SEA FILE=HCAPLUS ABB=ON PLU=ON L32
 L38 338 SEA FILE=HCAPLUS ABB=ON PLU=ON (L33 OR L34 OR L35 OR
 L36 OR L37)
 L39 315 SEA FILE=HCAPLUS ABB=ON PLU=ON L25
 L40 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L24
 L41 697 SEA FILE=HCAPLUS ABB=ON PLU=ON L23
 L42 835 SEA FILE=HCAPLUS ABB=ON PLU=ON L18
 L43 697 SEA FILE=HCAPLUS ABB=ON PLU=ON (L38 OR L39 OR L40 OR
 L41)
 L44 1 SEA FILE=REGISTRY ABB=ON PLU=ON 129618-40-2/RN
 L45 1501 SEA FILE=HCAPLUS ABB=ON PLU=ON L44
 L46 33 SEA FILE=HCAPLUS ABB=ON PLU=ON L45 AND L42
 L47 33 SEA FILE=HCAPLUS ABB=ON PLU=ON L45 AND L43
 L48 30 SEA FILE=HCAPLUS ABB=ON PLU=ON L45 AND L38
 L49 33 SEA FILE=HCAPLUS ABB=ON PLU=ON (L46 OR L47 OR L48)
 L50 QUE ABB=ON PLU=ON PHARMAC?/SC, SX
 L51 33 SEA FILE=HCAPLUS ABB=ON PLU=ON L49 AND L50
 L52 57456 SEA FILE=HCAPLUS ABB=ON PLU=ON ANTIVIRAL OR ANTI(A)VI
 RAL
 L53 24 SEA FILE=HCAPLUS ABB=ON PLU=ON L51 AND L52
 L55 35862 SEA FILE=HCAPLUS ABB=ON PLU=ON HUMAN IMMUNODEFICIENCY
 VIRUS?/CT
 L56 20 SEA FILE=HCAPLUS ABB=ON PLU=ON L55 AND L51
 L57 QUE ABB=ON PLU=ON HUMAN(W)IMMUNODEFICIEN?(W)VIRUS? O
 R HIV OR AIDS
 L58 32 SEA FILE=HCAPLUS ABB=ON PLU=ON L51 AND L57
 L59 33 SEA FILE=HCAPLUS ABB=ON PLU=ON L51 OR L53 OR L56 OR
 L58
 L60 24 SEA FILE=HCAPLUS ABB=ON PLU=ON L59 AND 1907-2003/PY,P
 RY
 L61 145 SEA FILE=BIOSIS ABB=ON PLU=ON (L38 OR L39 OR L40 OR
 L41)
 L62 133 SEA FILE=BIOSIS ABB=ON PLU=ON (L33 OR L34 OR L35 OR
 L36 OR L37)
 L63 147 SEA FILE=BIOSIS ABB=ON PLU=ON L18
 L64 147 SEA FILE=BIOSIS ABB=ON PLU=ON (L61 OR L62 OR L63)
 L65 1381 SEA FILE=BIOSIS ABB=ON PLU=ON L44
 L66 2 SEA FILE=BIOSIS ABB=ON PLU=ON L65 AND L64
 L67 2 SEA FILE=BIOSIS ABB=ON PLU=ON L66 AND (L52 OR L57)
 L68 274 SEA FILE=EMBASE ABB=ON PLU=ON L18
 L69 5710 SEA FILE=EMBASE ABB=ON PLU=ON L44
 L70 30 SEA FILE=EMBASE ABB=ON PLU=ON L68 AND L69
 L71 30 SEA FILE=EMBASE ABB=ON PLU=ON L70 AND (L52 OR L57)
 L72 17 SEA FILE=EMBASE ABB=ON PLU=ON L71 AND 1907-2003/PY
 L73 125 SEA FILE=MEDLINE ABB=ON PLU=ON (L33 OR L34 OR L35 OR
 L36 OR L37)
 L74 155 SEA FILE=MEDLINE ABB=ON PLU=ON L18
 L75 155 SEA FILE=MEDLINE ABB=ON PLU=ON (L73 OR L74)

L76 1183 SEA FILE=MEDLINE ABB=ON PLU=ON L44
 L77 1 SEA FILE=MEDLINE ABB=ON PLU=ON L75 AND L76
 L78 43 DUP REM L60 L67 L72 L77 (1 DUPLICATE REMOVED)
 L81 1 SEA FILE=MEDLINE L78

=> d l81 1 ibib abs hit hitind

L81 ANSWER 1 OF 1 MEDLINE on STN
 ACCESSION NUMBER: 1999128283 MEDLINE Full-text
 DOCUMENT NUMBER: PubMed ID: 9927728
 TITLE: Molecular basis for the enantioselectivity of HIV-1
 reverse transcriptase: role of the 3'-hydroxyl
 group of the L-(beta)-ribose in chiral
 discrimination between D- and L-enantiomers of
 deoxy- and dideoxy-nucleoside triphosphate analogs.
 AUTHOR: Maga G; Amacker M; Hubscher U; Gosselin G; Imbach J
 L; Mathe C; Faraj A; Sommadossi J P; Spadari S
 CORPORATE SOURCE: Institute of Biochemical and Evolutionary Genetics,
 National Research Council, I-27100, Pavia, Italy..
 maga@igbe.pv.cnr.it
 SOURCE: Nucleic acids research, (1999 Feb 15) Vol. 27, No.
 4, pp. 972-8.
 Journal code: 0411011. ISSN: 0305-1048.
 PUB. COUNTRY: ENGLAND: United Kingdom
 DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
 LANGUAGE: English
 FILE SEGMENT: Priority Journals; AIDS
 ENTRY MONTH: 199904
 ENTRY DATE: Entered STN: 26 Apr 1999
 Last Updated on STN: 26 Apr 1999
 Entered Medline: 13 Apr 1999

AB In order to identify the basis for the relaxed enantio-selectivity of human
 immunodeficiency virus type 1 (HIV-1) reverse transcriptase (RT) and to evaluate
 possible cross-resistance patterns between L-nucleoside-, D-nucleoside- and non-
 nucleoside RT inhibitors, to be utilised in anti-HIV-1 combination therapy, we applied
 an in vitro approach based on the utilisation of six recombinant HIV-1 RT mutants
 containing single amino acid substitutions known to confer Nevirapine resistance in
 treated patients. The mutants were compared on different RNA/DNA and DNA/DNA
 substrates to the wild type (wt) enzyme for their sensitivity towards inhibition by the
 D- and L-enantiomers of 2'-deoxy- and 2',3'-dideoxynucleoside triphosphate analogs.
 The results showed that the 3'-hydroxyl group of the L-(beta)-2'-deoxyribose moiety
 caused an unfavourable steric hindrance with critical residues in the HIV-1 RT active
 site and this steric barrier was increased by the Y181I mutation. Elimination of the
 3'-hydroxyl group removed this hindrance and significantly improved binding to the HIV-
 1 RT wt and to the mutants. These results demonstrate the critical role of both the
 tyrosine 181 of RT and the 3'-position of the sugar ring, in chiral discrimination
 between D- and L-nucleoside triphosphates. Moreover, they provide an important
 rationale for the combination of D- and L-(beta)-dideoxynucleoside analogs with non-
 nucleoside RT inhibitors in anti-HIV chemotherapy, since non-nucleoside inhibitors
 resistance mutations did not confer cross-resistance to dideoxynucleoside analogs.

RN 129618-40-2 (Nevirapine); 2056-98-6 (2'-deoxycytidine
 5'-triphosphate); 30516-87-1 (Zidovudine); 3352-57-6 (Hydroxyl
 Radical); 365-08-2 (thymidine 5'-triphosphate); 40026-13-9
 (3'-fluorothymidine-5'-triphosphate); 50-69-1 (Ribose);
 55520-40-6 (Tyrosine); 73-32-5 (Isoleucine); 9007-49-2 (DNA);
 92586-35-1 (3'-azido-3'-deoxythymidine 5'-triphosphate)

CT *Anti-HIV Agents: ME, metabolism
 Anti-HIV Agents: PD, pharmacology
 Carbohydrates
 Catalysis
 DNA: BI, biosynthesis
 Deoxycytosine Nucleotides: ME, metabolism
 Deoxycytosine Nucleotides: PD, pharmacology
 Deoxyribonucleosides: ME, metabolism
 Deoxyribonucleosides: PD, pharmacology
 *Dideoxynucleosides: ME, metabolism